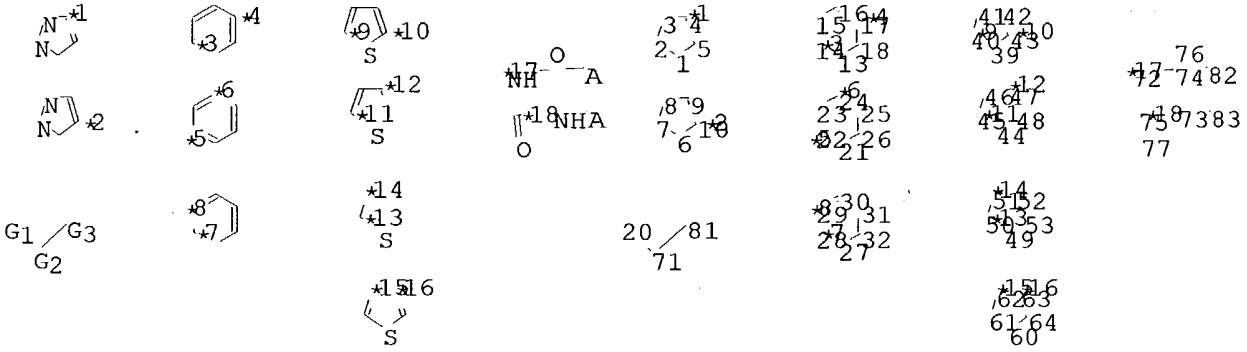
=>
Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736.str



chain nodes :
20 71 72 73 74 75 76 77 81
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 60
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
20-71 71-81 72-74 73-75 73-83 74-76 74-82 75-77
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
exact/norm bonds :
1-2 2-3 3-4 6-7 7-8 8-9 20-71 71-81 72-74 73-75 73-83 74-76 74-82
75-77
exact bonds :
1-5 4-5 6-10 9-10 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47
47-48 49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26
27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :

```
G1:[*1],[*2]

G2:[*3-*4],[*5-*6],[*7-*8],[*9-*10],[*11-*12],[*13-*14],[*15-*16]

G3:[*17],[*18]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  20:CLASS  21:Atom  22:Atom
23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom  31:Atom
32:Atom  39:Atom  40:Atom  41:Atom  42:Atom  43:Atom  44:Atom  45:Atom  46:Atom
47:Atom  48:Atom  49:Atom  50:Atom  51:Atom  52:Atom  53:Atom  60:Atom  61:Atom
62:Atom  63:Atom  64:Atom  71:CLASS  72:CLASS  73:CLASS  74:CLASS  75:CLASS
76:CLASS  77:CLASS  81:CLASS  82:CLASS  83:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 07:04:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   7035 TO ITERATE

 14.2% PROCESSED    1000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       135673 TO   145727
PROJECTED ANSWERS:               0 TO        0

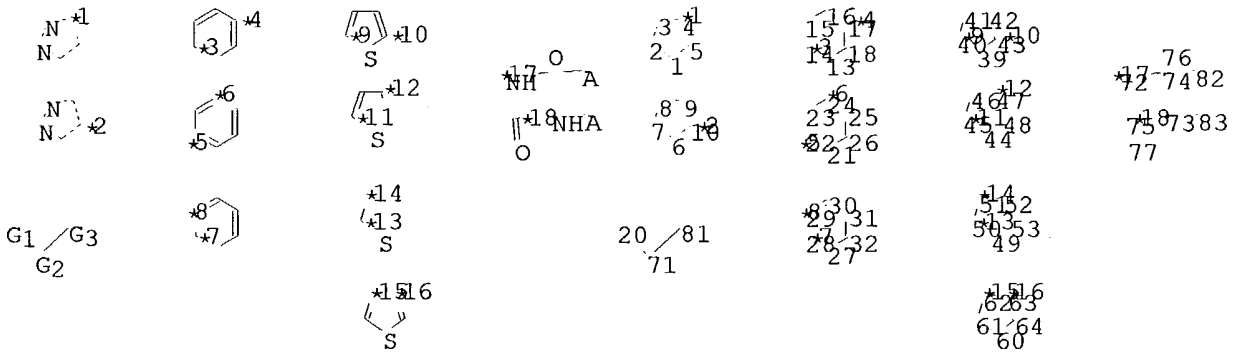
L2            0 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 07:05:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 138334 TO ITERATE

100.0% PROCESSED  138334 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.02

L3            0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (a).str
```



chain nodes :
20 71 72 73 74 75 76 77 81
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 60
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
20-71 71-81 72-74 73-75 73-83 74-76 74-82 75-77
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 20-71 71-81 72-74 73-75
73-83 74-76 74-82 75-77
exact bonds :
39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48 49-50 49-53
50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26
27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :

G1:[*1],[*2]

G2:[*3-*4],[*5-*6],[*7-*8],[*9-*10],[*11-*12],[*13-*14],[*15-*16]

G3:[*17],[*18]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 60:Atom 61:Atom
62:Atom 63:Atom 64:Atom 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 81:CLASS 82:CLASS 83:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam
SAMPLE SEARCH INITIATED 07:07:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7035 TO ITERATE

14.2% PROCESSED 1000 ITERATIONS 37 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 135673 TO 145727
PROJECTED ANSWERS: 4238 TO 6172

L5 37 SEA SSS SAM L4

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

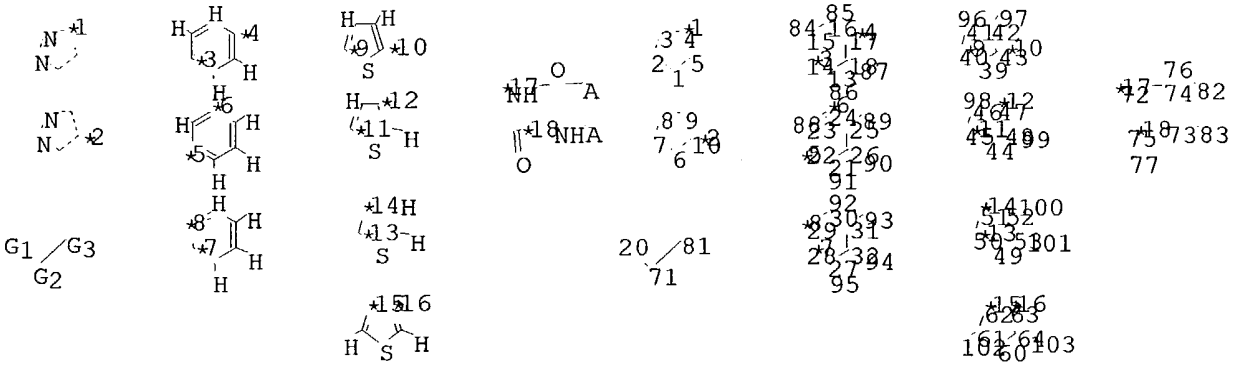
L6 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L7 SCREEN CREATED

=>

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (b).str



chain nodes :
20 71 72 73 74 75 76 77 81 84 85 86 87 88 89 90 91 92 93 94 95
96 97 98 99 100 101 102 103
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 60
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
13-86 15-84 16-85 18-87 20-71 21-91 23-88 25-89 26-90 27-95 30-92 31-93
32-94 41-96 42-97 46-98 48-99 52-100 53-101 61-102 64-103 71-81 72-74
73-75 73-83 74-76 74-82 75-77
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 20-71 71-81 72-74 73-75
73-83 74-76 74-82 75-77
exact bonds :
13-86 15-84 16-85 18-87 21-91 23-88 25-89 26-90 27-95 30-92 31-93 32-94
39-40 39-43 40-41 41-42 41-96 42-43 42-97 44-45 44-48 45-46 46-47 46-98
47-48 48-99 49-50 49-53 50-51 51-52 52-53 52-100 53-101 60-61 60-64
61-62 61-102 62-63 63-64 64-103
normalized bonds :

```
13-14  13-18  14-15  15-16  16-17  17-18  21-22  21-26  22-23  23-24  24-25  25-26
27-28  27-32  28-29  29-30  30-31  31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :


G1:[*1],[*2]

G2:[*3-*4],[*5-*6],[*7-*8],[*9-*10],[*11-*12],[*13-*14],[*15-*16]

G3:[*17],[*18]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  20:CLASS  21:Atom  22:Atom
23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom  31:Atom
32:Atom  39:Atom  40:Atom  41:Atom  42:Atom  43:Atom  44:Atom  45:Atom  46:Atom
47:Atom  48:Atom  49:Atom  50:Atom  51:Atom  52:Atom  53:Atom  60:Atom  61:Atom
62:Atom  63:Atom  64:Atom  71:CLASS  72:CLASS  73:CLASS  74:CLASS  75:CLASS
76:CLASS  77:CLASS  81:CLASS  82:CLASS  83:CLASS  84:CLASS  85:CLASS  86:CLASS
87:CLASS  88:CLASS  89:CLASS  90:CLASS  91:CLASS  92:CLASS  93:CLASS  94:CLASS
95:CLASS  96:CLASS  97:CLASS  98:CLASS  99:CLASS  100:CLASS  101:CLASS  102:CLASS
103:CLASS



L8      STRUCTURE UPLOADED

=> que L8 AND L6 NOT L7

L9   QUE L8 AND L6 NOT L7

=> d l9
L9 HAS NO ANSWERS
L6              SCR 1839
L7              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L9              QUE  L8 AND L6 NOT L7


=> s l9 sss sam
SAMPLE SEARCH INITIATED 07:12:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   6918 TO ITERATE

 14.5% PROCESSED    1000 ITERATIONS                                14 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       133375 TO   143345
PROJECTED ANSWERS:            1347 TO     2527
```

L10 14 SEA SSS SAM L8 AND L6 NOT L7

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

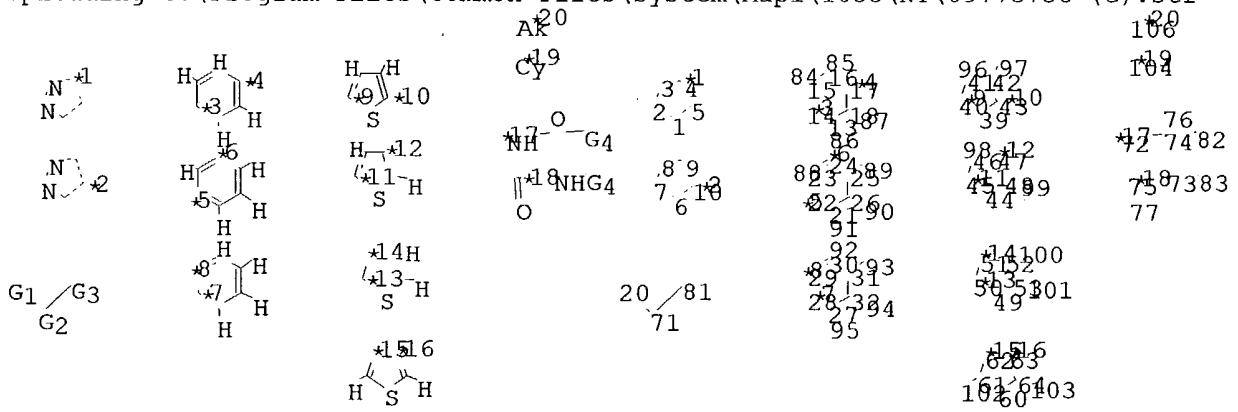
L11 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L12 SCREEN CREATED

=>

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (c).str



chain nodes :
20 71 72 73 74 75 76 77 81 84 85 86 87 88 89 90 91 92 93 94 95
96 97 98 99 100 101 102 103 104 106
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 60
61 62 63 64

```
ring/chain nodes :
82  83
chain bonds :
13-86  15-84  16-85  18-87  20-71  21-91  23-88  25-89  26-90  27-95  30-92  31-93
32-94  41-96  42-97  46-98  48-99  52-100  53-101  61-102  64-103  71-81  72-74
73-75  73-83  74-76  74-82  75-77
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
16-17  17-18  21-22  21-26  22-23  23-24  24-25  25-26  27-28  27-32  28-29  29-30
30-31  31-32  39-40  39-43  40-41  41-42  42-43  44-45  44-48  45-46  46-47  47-48
49-50  49-53  50-51  51-52  52-53  60-61  60-64  61-62  62-63  63-64
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-10  7-8  8-9  9-10  20-71  71-81  72-74  73-75
73-83  74-76  74-82  75-77
exact bonds :
13-86  15-84  16-85  18-87  21-91  23-88  25-89  26-90  27-95  30-92  31-93  32-94
39-40  39-43  40-41  41-42  41-96  42-43  42-97  44-45  44-48  45-46  46-47  46-98
47-48  48-99  49-50  49-53  50-51  51-52  52-53  52-100  53-101  60-61  60-64
61-62  61-102  62-63  63-64  64-103
normalized bonds :
13-14  13-18  14-15  15-16  16-17  17-18  21-22  21-26  22-23  23-24  24-25  25-26
27-28  27-32  28-29  29-30  30-31  31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :


G1:[*1],[*2]

G2:[*3-*4],[*5-*6],[*7-*8],[*9-*10],[*11-*12],[*13-*14],[*15-*16]

G3:[*17],[*18]

G4:[*19],[*20]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  20:CLASS  21:Atom  22:Atom
23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom  31:Atom
32:Atom  39:Atom  40:Atom  41:Atom  42:Atom  43:Atom  44:Atom  45:Atom  46:Atom
47:Atom  48:Atom  49:Atom  50:Atom  51:Atom  52:Atom  53:Atom  60:Atom  61:Atom
62:Atom  63:Atom  64:Atom  71:CLASS  72:CLASS  73:CLASS  74:CLASS  75:CLASS
76:CLASS  77:CLASS  81:CLASS  82:CLASS  83:CLASS  84:CLASS  85:CLASS  86:CLASS
87:CLASS  88:CLASS  89:CLASS  90:CLASS  91:CLASS  92:CLASS  93:CLASS  94:CLASS
95:CLASS  96:CLASS  97:CLASS  98:CLASS  99:CLASS  100:CLASS  101:CLASS  102:CLASS
103:CLASS  104:Atom  106:CLASS
Generic attributes :
104:
Saturation              : Unsaturated
106:
Saturation              : Saturated

Element Count :
Node 106: Limited
    C,C1-8
```

```
L13     STRUCTURE UPLOADED

=> que L13 AND L11 NOT L12

L14  QUE L13 AND L11 NOT L12

=> d l14
L14 HAS NO ANSWERS
L11             SCR 1839
L12             SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L13             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L14             QUE  L13 AND L11 NOT L12


=> s l14 sss sam
SAMPLE SEARCH INITIATED 07:21:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   6918 TO ITERATE

 14.5% PROCESSED    1000 ITERATIONS                              9 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       133375 TO   143345
PROJECTED ANSWERS:             772 TO     1718

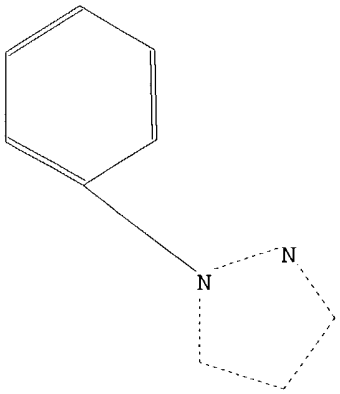
L15           9 SEA SSS SAM L13 AND L11 NOT L12

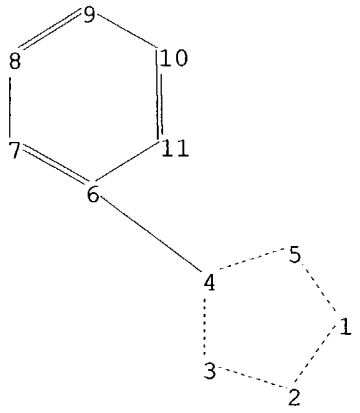
=> => s l14 sss ful
FULL SEARCH INITIATED 07:22:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 135685 TO ITERATE

100.0% PROCESSED  135685 ITERATIONS                          1072 ANSWERS
SEARCH TIME: 00.00.03

L16        1072 SEA SSS FUL L13 AND L11 NOT L12

=>
Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (sub1).str
```



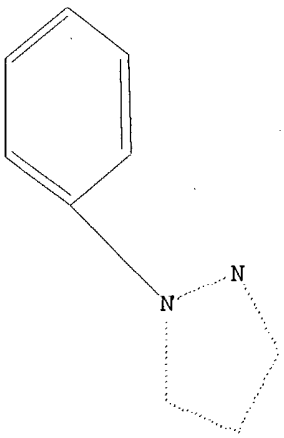


ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
4-6
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom

L17 STRUCTURE UPLOADED

=> d l17
L17 HAS NO ANSWERS
L17 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l17 sub=l16 sss sam
SAMPLE SUBSET SEARCH INITIATED 07:24:10 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -     21 TO ITERATE

100.0% PROCESSED      21 ITERATIONS                          21 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):          ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):         146 TO      694
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):            146 TO      694

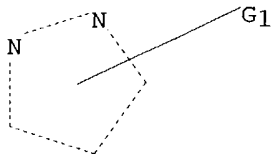
L18          21 SEA SUB=L16 SSS SAM L17

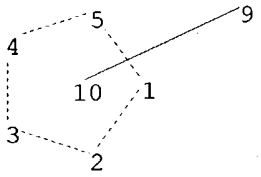
=> s l17 sub=l16 sss ful
FULL SUBSET SEARCH INITIATED 07:24:17 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    456 TO ITERATE

100.0% PROCESSED     456 ITERATIONS                         452 ANSWERS
SEARCH TIME: 00.00.01

L19         452 SEA SUB=L16 SSS FUL L17

=>
Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (sub2).str
Hy*1                                    6 *1
```






```
chain nodes :
6  9
ring nodes :
1  2  3  4  5
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5

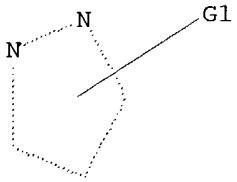
G1:N,[*1]
```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:CLASS 10:CLASS
Generic attributes :
6:
Type of Ring System : Polycyclic

L20 STRUCTURE UPLOADED

=> d l20
L20 HAS NO ANSWERS
L20 STR

Hy[1]



G1 N,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l20 sub=l16 sss sam
SAMPLE SUBSET SEARCH INITIATED 07:26:44 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 51 TO ITERATE

100.0% PROCESSED 51 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 592 TO 1448
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 68 TO 532

L21 15 SEA SUB=L16 SSS SAM L20

=> s l20 sub=l16 sss ful
FULL SUBSET SEARCH INITIATED 07:26:50 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1072 TO ITERATE

```
100.0% PROCESSED    1072 ITERATIONS                          350 ANSWERS
SEARCH TIME: 00.00.01

L22          350 SEA SUB=L16 SSS FUL L20

=> s l19 or l22
L23          767 L19 OR L22

=> s l16 not l23
L24          305 L16 NOT L23

=> => s l24
L25           88 L24

=> d l25 1-88 bib,ab,hitstr
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L25 ANSWER 1 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:311011 CAPLUS
DN 140:321649
TI Preparation of pyrazolyl glycoside derivatives as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters
IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa; Isaji, Masayuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004031203	A1	20040415	WO 2003-JP12477	20030930

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2002-293090 A 20021004
JP 2002-330694 A 20021114
JP 2002-378959 A 20021227

AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = α- or β-D-glucopyranosyloxy or -mannopyranosyloxy or β-D-deoxyglucopyranosyloxy- and the other = (CH2)nAr; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo-α-D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH2Cl2 and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β-D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in COS-7 cells transfected with human

SMINT/PME18S-FL expression plasmid with IC50 of 92 nM.

IT **678994-67-7P**

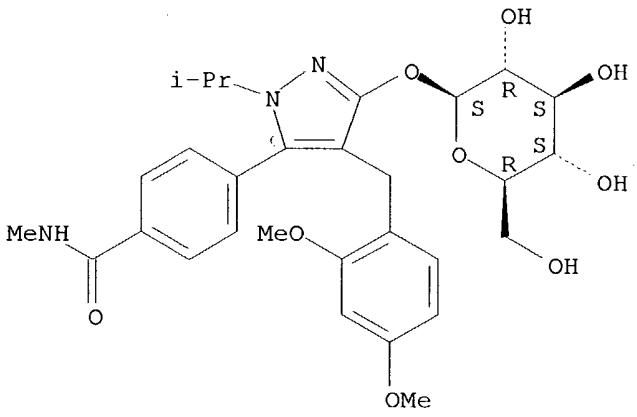
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678994-67-7 CAPLUS

CN Benzamide, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β-D-glucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:290461 CAPLUS
DN 140:303664
TI Process of making phenylpyrazoles useful as selective 5HT2A modulators and intermediates thereof
IN Horns, Stefan; Ray, Max; Teegarden, Bradley; Drouet, Keith; Feichtinger, Konrad; Elwell, Katie
PA Arena Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

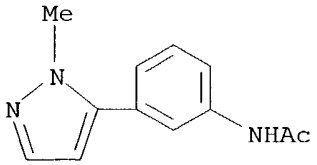
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004028450	A2	20040408	WO 2003-US29736	20030922

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

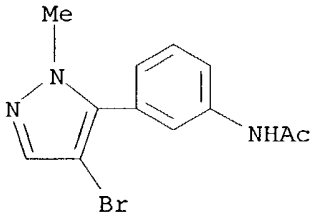
PRAI US 2002-413299P P 20020924
OS CASREACT 140:303664; MARPAT 140:303664
AB The present invention relates to a process for making certain selective 5HT2A modulators of formula (I; wherein R1 = C1-2 alkyl; R2 = C1, Br; R3-R7 = H, halo, haloalkyl; provided that at least one is not H) by hydrolyzing a compound of formula (II; R1, R2, = same as above; R = R10CO; wherein R10 = C1-6 alkyl) and carbamoylation of the resulting amine II (R = H) and the intermediates thereof. The compds. I are useful in the prophylaxis or treatment of 5HT2A mediated diseases, such as, 5HT2A mediated platelet aggregation, asthma, agitation, degenerative diseases of the CNS and the like (no data). Thus, 3-acetamidoacetophenone was condensed with N,N-dimethylformamide di-Me acetal in ethanol under refluxing for 9 h to give 80% 3-dimethylamino-1-(3-acetamidophenyl)-2-propen-1-one which was cyclocondensed with methylhydrazine in a mixture of MeOH and 37% aqueous HCl solution at 0 to -10° for 45-75 min and 10-15° for 2.5-3 h and then treated with aqueous NH3 to give 86% 5-(3-acetamidophenyl)-1-methylpyrazole (III). III was brominated by N-bromosuccinimide in DMF at 20-30° for 40-80 min and 50-60° for 30-60 min and treated with water at 50-60° over 30-60 min to give 5-(3-acetamidophenyl)-4-bromo-1-methylpyrazole which was hydrolyzed in a mixture of 30% aqueous NaOH solution and ethanol under reflux for 17 h to give 61% 5-(3-aminophenyl)-4-bromo-1-methylpyrazole (IV). IV underwent carbamoylation with 4-chlorophenyl isocyanate in CH2Cl2 at 20-25° for .apprx.5 h to give 77% N-(4-chlorophenyl)-N'-[3-(1-methyl-4-bromo-1H-pyrazol-5-yl)phenyl]urea.
IT **676463-88-0P**, 5-(3-Acetamidophenyl)-1-methyl-1H-pyrazole
676463-91-5P, 5-(3-Acetamidophenyl)-4-bromo-1-methylpyrazole
676463-94-8P, 5-(3-Acetylaminophenyl)-4-chloro-1-methyl-1H-pyrazole
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; method for preparation of phenylpyrazoles as selective 5HT2A modulators for treating 5HT2A mediated diseases and intermediates thereof)

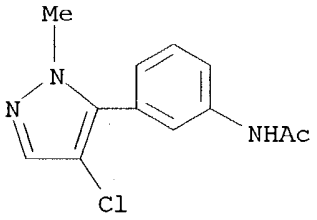
RN 676463-88-0 CAPLUS
CN Acetamide, N-[3-(1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 676463-91-5 CAPLUS
CN Acetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

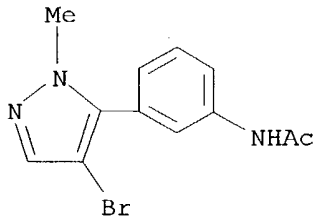


RN 676463-94-8 CAPLUS
CN Acetamide, N-[3-(4-chloro-1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



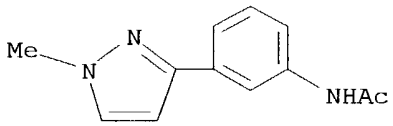
IT **676464-06-5P**, 5-(3-Acetamidophenyl)-4-bromo-1-methylpyrazole hydrobromide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; method for preparation of phenylpyrazoles as selective 5HT2A modulators for treating 5HT2A mediated diseases and intermediates thereof)

RN 676464-06-5 CAPLUS
CN Acetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

IT **676463-89-1P**, 5-(3-Acetamidophenyl)-2-methyl-2H-pyrazole
RL: BYP (Byproduct); PREP (Preparation)
(method for preparation of phenylpyrazoles as selective 5HT2A modulators for treating 5HT2A mediated diseases and intermediates thereof)
RN 676463-89-1 CAPLUS
CN Acetamide, N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

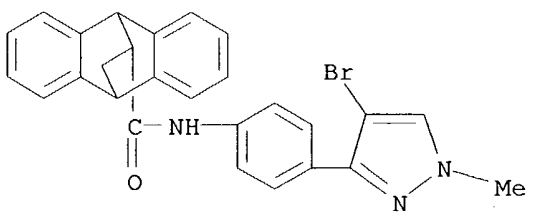


L25 ANSWER 3 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80450 CAPLUS
DN 140:145835
TI Preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of the glucocorticoid receptor
IN Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon; Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.; Li, Wenying; Doweyko, Arthur M.; Chen, Xiao-tao; Doweyko, Lidia
PA Bristol-Myers Squibb Company, USA; et al.
SO PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004009017	A2	20040129	WO 2003-US22300	20030717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-396877P P 20020718
OS MARPAT 140:145835
AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared For instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.
IT **651035-91-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of glucocorticoid receptor)
RN 651035-91-5 CAPLUS
CN 9,10-Ethanoanthracene-11-carboxamide, N-[4-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-9,10-dihydro- (9CI) (CA INDEX NAME)



L25 ANSWER 4 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:1006988 CAPLUS
DN 140:59632
TI Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders
IN Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins, Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer, Cynthia Louise; Deal, Judith Gail
PA Pfizer Inc., USA
SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003106462	A1	20031224	WO 2003-IB2393	20030604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004009965	A1	20040115	US 2003-460010	20030611
PRAI US 2002-389110P	P	20020614		

OS MARPAT 140:59632
AB The invention relates to benzofused heteroaryl amide derivs. of thienopyridines (shown as I; variables defined below; e.g. II) and to prodrugs or metabolites thereof, or pharmaceutically acceptable salts or solvates of said compds., prodrugs, and metabolites. The invention also relates to pharmaceutical compns. containing I and to methods of treating hyperproliferative disorders in a mammal by administering I. Inhibitory activities of >200 examples of I are tabulated for a number of tyrosine kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in human liver microsomes were analyzed. Although the methods of preparation are not claimed, 140 example prepns. are included. For example, II was prepared in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide, and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide (40 %). For I: Y is NH, O, S, or CH2; Z is O, S, or N; R14 is a C1-C6 alkyl, C1-C6 alkylamino, C1-C6 alkylhydroxy, C3-C10 cycloalkylamino, or methylureido group; R15 and R17 = H, halo, or a C1-C6 alkyl group (un)substituted by ≥1 R5 groups. R16 is H or a C1-C6 alkyl group when Z is N, and R16 is absent when Z is O or S; R11 is H, C1-C6 alkyl, C3-C10 cycloalkyl, C(O)NR12R3, C(O)(C6-C10 aryl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tNR12R13, SO2NR12R13 or CO2R12. Each R5 = halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, C(O)R8, C(O)OR8, OC(O)R8, OC(O)OR8, NR6C(O)R7, C(O)NR6R7, NR6R7, OR9, SO2NR6R7, C1-C6 alkyl, C3-C10 cycloalkyl, C1-C6

alkylamino, (CH2)jO(CH2)qNR6R7, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)j(C1-C6 alkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), C(O)(CH2)t(C6-C10 aryl), (CH2)tO(CH2)j(C6-C10 aryl), (CH2)tO(CH2)q(5 to 10 membered heterocyclic), C(O)(CH2)t(5 to 10 membered heterocyclic), (CH2)jNR7(CH2)qN R6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)qNR9C(O)R8, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)j(C1-C6 alkyl), (CH2)jNR7(CH2)tR6, SO2(CH2)t(C6-C10 aryl), and SO2(CH2)t(5 to 10 membered heterocyclic). Each R6 and R7 = H, OH, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, (CH2)tCN(CH2)tOR9, (CH2)tCN(CH2)tR9 and (CH2)tOR9; each R8 = H, C1-C10 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), and (CH2)t(5 to 10 membered heterocyclic); t = 0-6; j = 0-2; q = 2-6; each R9 and R10 = H, OR6, C1-C6 alkyl, and C3-C10 cycloalkyl. Each R12 and R13 = H, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C3-C10 cycloalkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, and (CH2)tOR9; addnl. details including provisos are given in the claims.

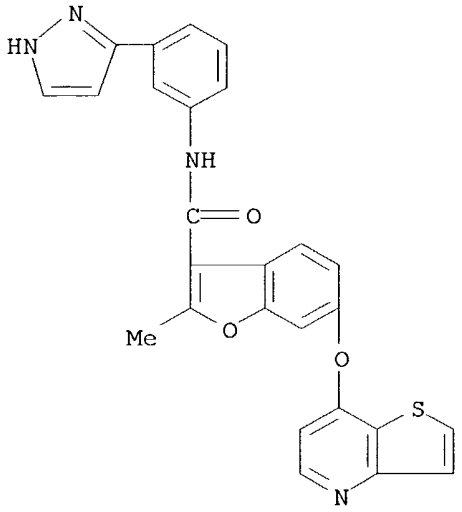
IT **638221-65-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638221-65-5 CAPLUS

CN 3-Benzofurancarboxamide, 2-methyl-N-[3-(1H-pyrazol-3-yl)phenyl]-6-(thieno[3,2-b]pyridin-7-yloxy)- (9CI) (CA INDEX NAME)

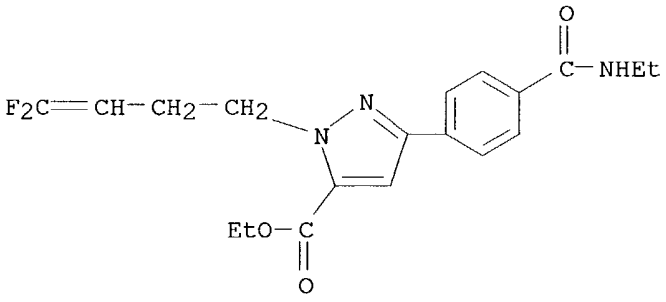


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

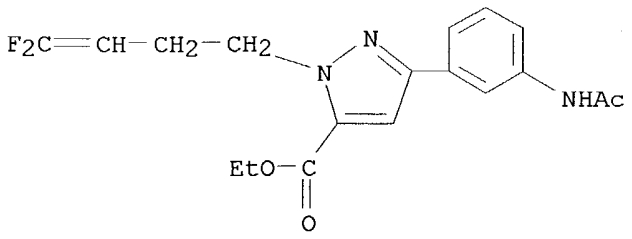
L25 ANSWER 5 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:868109 CAPLUS
DN 139:350729
TI Preparation of 4,4-difluoro-3-butenyl-substituted heterocycles and their insecticidal and acaricidal compositions
IN Manabe, Hiroshi; Takahashi, Nobuyoshi; Endo, Yasuhiro; Sasama, Yasuhiro; Ishii, Naoki
PA Otsuka Chemical Holdings Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003313169	A2	20031106	JP 2002-117310	20020419
PRAI JP 2002-117310		20020419		

OS MARPAT 139:350729
AB QCH2CH2CH:CF2 [Q = (un)substituted N-containing heterocyclyl; Q is bonded to the C via the N; Q ≠ phthalimido], which are not toxic to mammals, are prepared Thus, refluxing 4-(2,4-dichlorophenyl)-1,3-thiazolin-2-one with 4-bromo-1,1-difluoro-1-butene and K2CO3 in MeCN overnight gave the corresponding thiazolinone derivative, which showed 100% insecticidal activity against Nephotettix cincticeps.
IT **618433-45-7P 618433-57-1P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of difluorobutenyl-substituted heterocycles as insecticides and acaricides)
RN 618433-45-7 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 1-(4,4-difluoro-3-butenyl)-3-[4-[(ethylamino)carbonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 618433-57-1 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 3-[3-(acetylamino)phenyl]-1-(4,4-difluoro-3-butenyl)-, ethyl ester (9CI) (CA INDEX NAME)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CH
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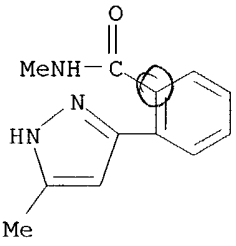
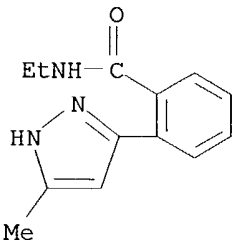
CH2
N
N
NHAc
EtO
C
O

L25 ANSWER 6 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:865842 CAPLUS
DN 140:42078
TI Synthesis of multi-substituted pyrazoles utilizing the N-alkylated 3-hydroxy-3-propargyl- or allenylisoindolines
AU Choi, Yong Hyun; Kim, Kyung Soon; Lee, Sangku; Jeong, Tae-Sook; Lee, Hee-Yoon; Kim, Yong Hae; Lee, Woo Song
CS Department of Chemistry and School of Molecular Science (BK21), Korea Advanced Inst. of Science and Technology, Daejeon, 307-701, S. Korea
SO Heterocycles (2003), 60(11), 2499-2510
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
AB N-Alkyl-substituted phthalimides I (R1 = Me, Et, Me2CH, Me3C) were easily converted to di-, tri-, and tetra-substituted pyrazoles II (R2 = H, Me, Ph, 4-FC6H4, 4-O2NC6H4; R3 = H, Me) via a one-pot addition-ring opening-cyclocondensation process. The structure and regiochem. of II were confirmed by X-ray crystallog. anal. and 1H-nOe expts.
IT **637010-59-4P 637010-60-7P 637010-61-8P**
637010-62-9P 637010-63-0P 637010-67-4P
637010-68-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polysubstituted carbamoylphenyl pyrazoles via one-pot ring-opening/ cyclocondensation of N-alkyl phthalimides with Grignard reagents and hydrazines)
RN 637010-59-4 CAPLUS
CN Benzamide, N-methyl-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

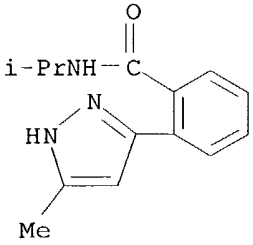


RN 637010-60-7 CAPLUS
CN Benzamide, N-ethyl-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

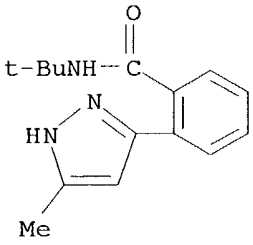


RN 637010-61-8 CAPLUS
CN Benzamide, N-(1-methylethyl)-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA

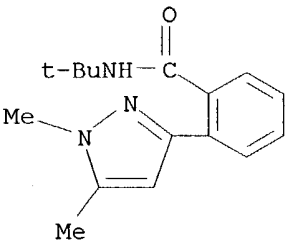
INDEX NAME)



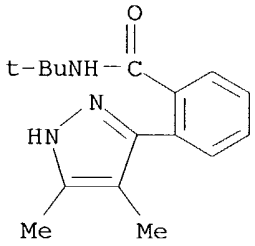
RN 637010-62-9 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



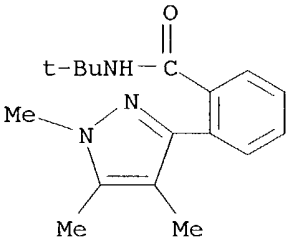
RN 637010-63-0 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(1,5-dimethyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 637010-67-4 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(4,5-dimethyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 637010-68-5 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(1,4,5-trimethyl-1H-pyrazol-3-yl)-
(9CI) (CA INDEX NAME)

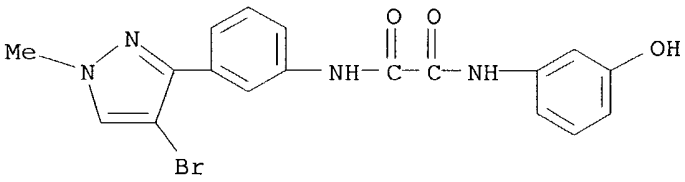


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:826823 CAPLUS
DN 139:317441
TI 2-(3-Hydroxyanilino)-2-oxoacetamide derivatives and interleukin 12 production inhibitors containing them
IN Sato, Masakazu; Matsunaga, Yuiko; Ushiki, Yasunobu; Ito, Nobumasa; Nishimura, Koji
PA Taisho Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003300875	A2	20031021	JP 2002-106023	20020409
PRAI JP 2002-106023		20020409		

OS MARPAT 139:317441
AB 3-(HOC6H4)NHCOCONHR [I; R = (un)substituted Ph, (un)substituted naphthyl, (un)substituted pyridyl, quinolinyl, (alkyl)benzothiazolyl, (un)substituted thienyl, (un)substituted pyrazolyl; substituents are given] and their pharmaceutically acceptable salts and interleukin 12 production inhibitors containing I or their salts are claimed. I [R = C6H3(OMe)2-3,4] at 30 μm showed 89.7% inhibition on INF-γ-stimulated production of interleukin 12 by human peripheral blood monocytes.
IT **614721-58-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 3-hydroxyanilide derivs. [N-(hetero)aryl-N'-(hydroxyphenyl)oxalamides] as 12 production inhibitors)
RN 614721-58-3 CAPLUS
CN Ethanediamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-N'-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



L25 ANSWER 8 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:777523 CAPLUS
DN 139:307756
TI 4,5-Dihydro-1H-pyrazole derivatives useful as mitotic kinesin inhibitors, and their pharmaceutical compositions and use in the treatment of cancer
IN Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Culberson, J. Christopher; Hartman, George D.; Mariano, Brenda J.; Torrent, Maricel
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003079973	A2	20031002	WO 2003-US6403	20030304

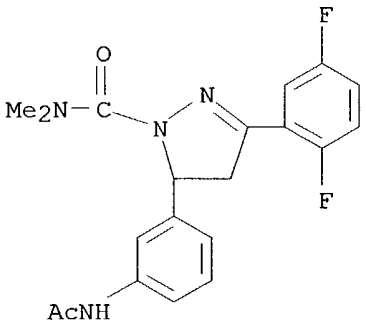
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-362922P P 20020308
OS MARPAT 139:307756
AB The invention relates to dihydropyrazole compds. that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. The compds. are disclosed as pyrazole derivs. I [R1 = various (un)substituted acyl and thioacyl, sulfonyl, alkyl, (hetero)aryl, etc.; R2 = (un)substituted alk(en/yn)yl, aryl, perfluoroalkyl, (hetero)aralkyl, cycloalkyl, or heterocyclyl; R3, R4, R5, R6 = H, (un)substituted alk(en/yn)yl, cycloalkyl, (hetero)aralkyl, or heterocyclyl; or R3R4 or R5R6 (when W and Z are bonds) = atoms to form (CH2)1-5 with one optional replacement of a CH2 by O, S, SO, SO2, NHCO or NH or derivs.; Y, W, Z = bond, CO, C:S, S, SO, SO2, CH(OH), or O] and their pharmaceutically acceptable salts or stereoisomers. Approx. 65 compds. I are prepared and claimed by name, and another 150 compds. are claimed. For instance, 2,5-difluoroacetophenone was lithiated and coupled with 3-(benzyloxy)benzaldehyde, followed by dehydration with trifluoroacetic anhydride, to give chalcone derivative II. This compound was debenzylated with BBr3, then cyclized with hydrazine and acetylated in situ with AcOH, to give title compound III. In a kinesin ATPase in vitro assay, using human KSP motor domain construct and microtubules from bovine brain tubulin, the example compds. had IC50 ≤ 50 μM.
IT **609813-18-5P**, 3-(2,5-Difluorophenyl)-N,N-dimethyl-5-[3-(acetylamino)phenyl]-4,5-dihydro-1H-pyrazole-1-carboxamide
609813-77-6P, 3-(2,5-Difluorophenyl)-N,N-dimethyl-5-(3-aminopropyl)-5-[3-(acetylamino)phenyl]-4,5-dihydro-1H-pyrazole-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of dihydropyrazole derivs. as mitotic kinesin inhibitors for use as anticancer agents)

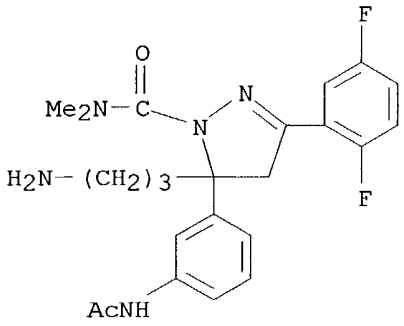
RN 609813-18-5 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 5-[3-(acetylamino)phenyl]-3-(2,5-difluorophenyl)-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 609813-77-6 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 5-[3-(acetylamino)phenyl]-5-(3-aminopropyl)-3-(2,5-difluorophenyl)-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



L25 ANSWER 9 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656795 CAPLUS
DN 139:197770
TI Preparation of lipopeptides having antimicrobial activity
IN Mizuno, Hiroaki; Matsuda, Hiroshi; Toda, Ayako; Matsuya, Takahiro; Barrett, David; Matsuda, Keiji
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

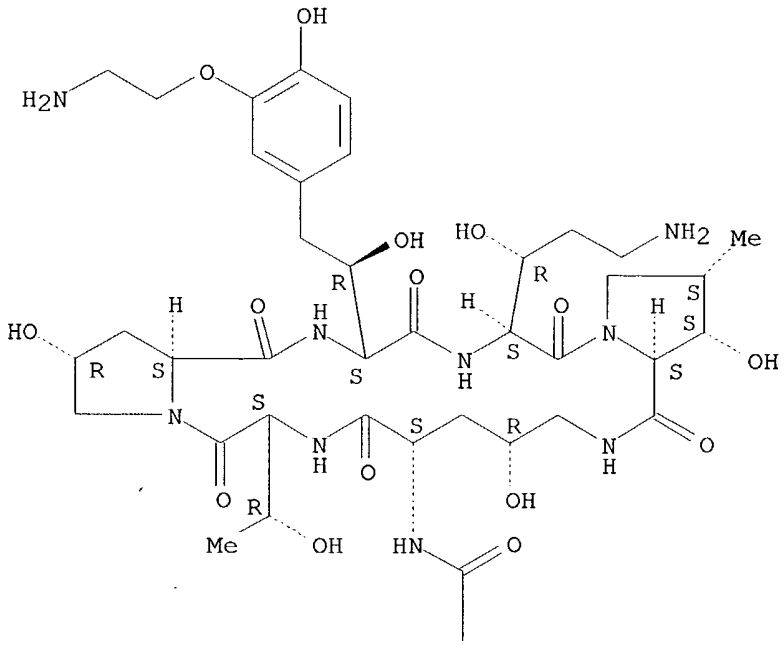
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068807	A2	20030821	WO 2003-JP1107	20030204
WO 2003068807	A3	20040415		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

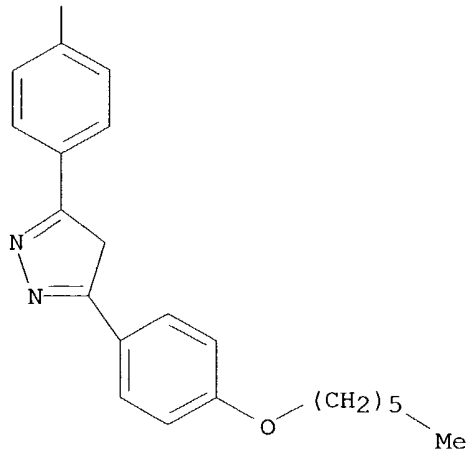
PRAI AU 2002-441 A 20020211
OS MARPAT 139:197770
AB The invention relates to new lipopeptides I [R1 = H, acyl; R2 = carbamoyl, (protected) aminoalkyl or guanidinoalkyl, hydroxy-substituted alkylaminoalkyl; R3 = = H, OH; R4 = aminoalkyl, alkylcarbamoylalkyl, carboxyalkyl, etc.; R5 = OH or protected hydroxy] or their salts which have antimicrobial activities (especially antifungal activity) and inhibitory activity on β-1,3-glucan synthase and to a process for their synthesis. Pharmaceutical compns. containing I are used for prophylactic and/or therapeutic treatment of infectious diseases in a human being or an animal. Thus, cyclic peptide II.2HCl [R = p-[4-[(4-methoxybutoxy)methyl]-1-piperidinyl]phenyl] was prepared by N-acylation of I (R1 = H) and showed MIC < 0.2 μg/mL against Candida albicans.
IT **583056-09-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lipopeptides having antimicrobial activity)
RN 583056-09-1 CAPLUS
CN Echinocandin C, 1-[(4R)-N2-[4-[5-[4-(hexyloxy)phenyl]-4H-pyrazol-3-yl]benzoyl]-4-hydroxy-L-ornithine]-4-[4-[3-(2-aminoethoxy)-4-hydroxyphenyl]-L-threonine]-5-[(3R)-3-hydroxy-L-ornithine]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



●2 HCl

L25 ANSWER 10 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656748 CAPLUS
DN 139:197385
TI Preparation of N-quinolinyl and -isoquinolinyl amides for therapeutic use as vanilloid receptor modulators
IN Rami, Harshad Kantilal; Thompson, Mervyn; MacDonald, Gregor James; Westaway, Susan Marie; Mitchell, Darren Jason
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068749	A1	20030821	WO 2003-GB608	20030213

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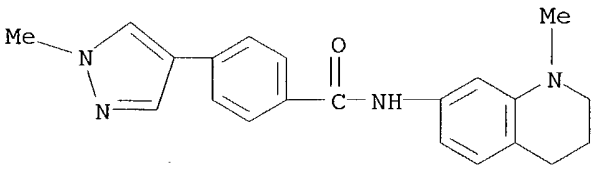
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	GB 2002-3673	A	20020215
	GB 2002-3677	A	20020215
	GB 2002-3680	A	20020215
	GB 2002-9003	A	20020419
	GB 2002-9032	A	20020419
	GB 2002-9035	A	20020419
	GB 2002-21318	A	20020913

OS MARPAT 139:197385
AB N-quinolinyl and -isoquinolinyl amides, such as I [R = substituted or unsubstituted Ph, heteroaryl or heterocyclyl; R1, R2 = CN, NO2, OH, alkyl, halo, alkoxy, cycloalkyl, arylalkyl, alkylamino, alkylsulfonyl, sulfamoyl, etc.; q, r = 0-3; X = N, CO,NR8, CR1, CHR1, C(R1)2; Y = CR1, CHR1, C(R1)2, N, NR8, CO; R8 = H, alkyl, hydroxyalkyl, cycloalkyl, arylalkyl, acyl, alkylsulfonyl, etc.], were prepared for use in pharmaceutical compns. for treatment or prophylaxis of disorders in which antagonism of the vanilloid (VR1) receptor is beneficial. Thus, N-(1-methyl-1,2,3,4-tetrahydroquinolin-7-yl)-1,1'-biphenyl-4-carboxamide (II) was prepared by an amidation reaction of 7-amino-1-methyl-1,2,3,4-tetrahydroquinoline with 4-biphenylcarboxylic acid using 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in CH2Cl2. The prepared amides were tested for VR1 antagonist activity using a FLIPR based calcium assay using astrocytoma 1321N1 cells expressing human VR1 and were tested for FCA-induced hyperalgesia in the guinea pig.
IT **582323-71-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinolinyl and -isoquinolinyl amides for therapeutic use as vanilloid receptor antagonists)
RN 582323-71-5 CAPLUS
CN Benzamide, 4-(1-methyl-1H-pyrazol-4-yl)-N-(1,2,3,4-tetrahydro-1-methyl-7-

quinolinyl)- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 11 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656742 CAPLUS
DN 139:197375
TI Preparation of piperidinyl alcohols as chemokine receptor modulators for treatment of diseases such as asthma
IN Alcaraz, Lilian; Furber, Mark; Purdie, Mark; Springthorpe, Brian
PA Astrazeneca A.B., Swed.
SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068743	A1	20030821	WO 2003-SE258	20030217

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI SE 2002-465 A 20020218
SE 2002-2673 A 20020909
OS CASREACT 139:197375; MARPAT 139:197375
AB The invention provides piperidinyl alcs. (shown as I; variables defined below; e.g. N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide) for use as modulators of chemokine receptor (especially CCR3) activity for use in, for example, treating asthma. For I: X is CH2, O, S(O)2 or NR10; Y is a bond, CH2, NR35, CH2NH, CH2NHC(O), CH(OH), CH(NHCOR33), CH(NHSO2R34), CH2O or CH2S; Z is C(O), or when Y is a bond Z can also be S(O)2; R1 is (un)substituted aryl, (un)substituted heterocyclyl or C4-6 cycloalkyl fused to a benzene ring; addnl. details are given in the claims. Percent inhibition at 3 nM eotaxin of eotaxin-mediated human eosinophil chemotaxis is tabulated for 16 examples of I, e.g. 106 % for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. Histamine H1 receptor binding activity was determined for the same compds., e.g. pKi = 8.4 for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. 49 Example prepns. of intermediates and 234 of I are included. For example, to prepare N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide (0.055 g), a mixture of 2-(methylsulfonyl)benzoic acid (0.063 g), (2R)-1-amino-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]propan-2-ol (0.1 g) and N,N-diisopropylethylamine (0.1 mL) in dry DMF (3 mL) was cooled to 0° with stirring; 2-(1H-9-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (0.13 g) was added and the mixture was stirred at 0° for 1-2 h. The invention also provides a process for making 4-(3,4-dichlorophenoxy)piperidine, which is useful as an intermediate for making certain compds. of the invention. The process comprises (a) reacting 4-hydroxypiperidine with a suitable base in a suitable solvent at room temperature; and (b) heating the mixture so produced and

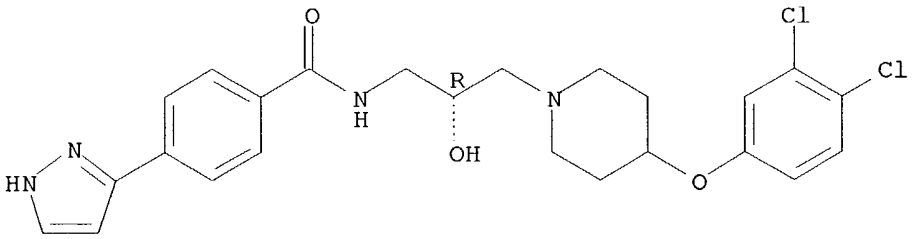
1,2-dichloro-4-fluorobenzene at 50-90°, or at reflux of the solvent used.

IT **583881-54-3P**, N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-4-(1H-pyrazol-3-yl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinyl alcs. as chemokine receptor modulators for treatment of diseases such as asthma)

RN 583881-54-3 CAPLUS

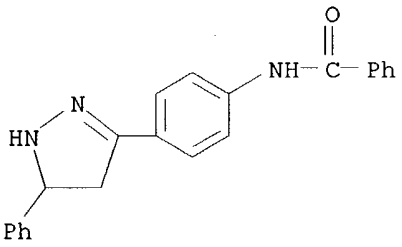
CN Benzamide, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]-4-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

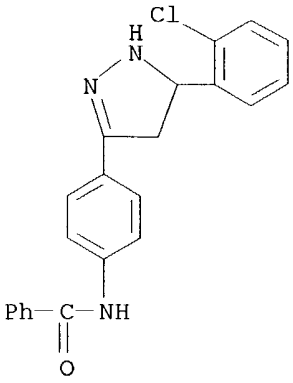


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

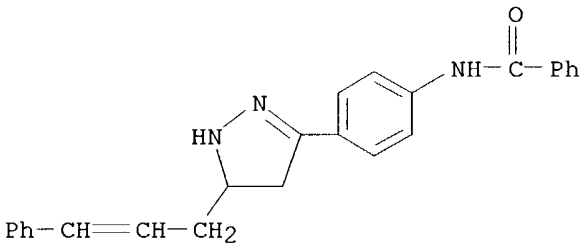
L25 ANSWER 12 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:651482 CAPLUS
DN 140:111332
TI Syntheses, spectral characterization and antimicrobial evaluation of some new 2-pyrazolines
AU Nagar, D. N.; Tushar, Mehta; Mehta; Shah, V. H.
CS Chemical Research Laboratory, Department of Chemistry, Saurashtra University, Rajkot, 360 005, India
SO Oriental Journal of Chemistry (2002), 18(3), 525-528
CODEN: OJCHEG; ISSN: 0970-020X
PB Oriental Scientific Publishing Co.
DT Journal
LA English
AB New 5-aryl-3-(p-benzylaminophenyl)-1-H-pyrazolines, e.g. I, were prepared by the cyclocondensation of 3-aryl-1-(p-benzoylaminophenyl)-2-propene-1-ones, e.g. II, with hydrazine hydrate. The structure of compds. I were confirmed by elemental anal., IR, PMR and mass spectral data. Products I were screened for in vitro antibacterial and antifungal activities. Products I were evaluated for antibacterial activity against Bacillus subtilis, Bacillus megaterium, Escherichia coli, Arobactor arogens, and antifungal activity against Aspergillus awamori using DMF as a solvent at 40 μg/mL concentration by using cup-plate.
IT **648430-57-3P 648430-58-4P 648430-59-5P**
648430-60-8P 648430-61-9P 648430-62-0P
648430-63-1P 648430-64-2P 648430-65-3P
648430-66-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(syntheses, mol structure, and antimicrobial evaluation of pyrazolines via cyclocondensation of aminophenylpropenone with hydrazine)
RN 648430-57-3 CAPLUS
CN Benzamide, N-[4-(4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



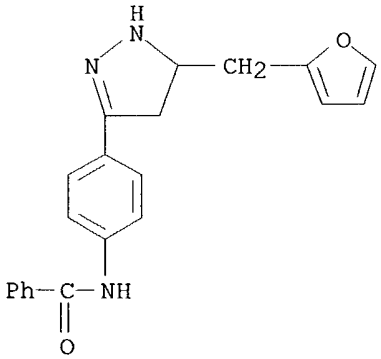
RN 648430-58-4 CAPLUS
CN Benzamide, N-[4-[5-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



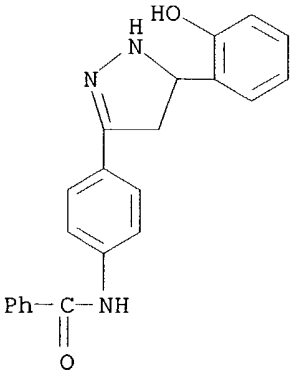
RN 648430-59-5 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(3-phenyl-2-propenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



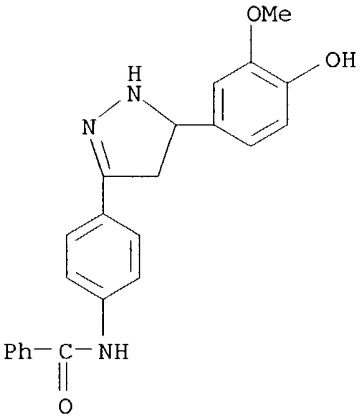
RN 648430-60-8 CAPLUS
CN Benzamide, N-[4-[5-(2-furanylmethyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



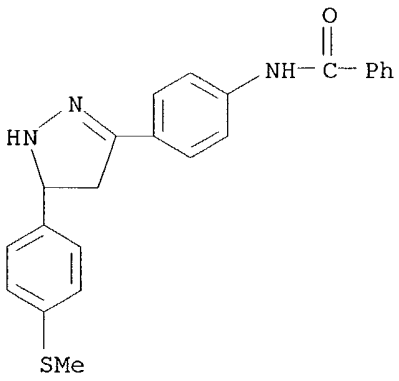
RN 648430-61-9 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(2-hydroxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



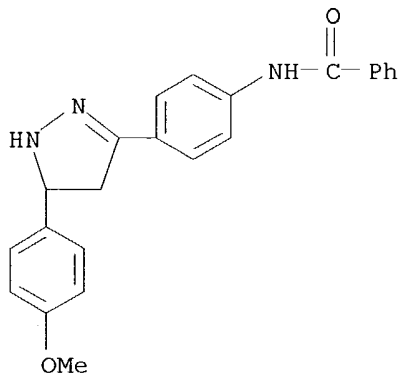
RN 648430-62-0 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(4-hydroxy-3-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



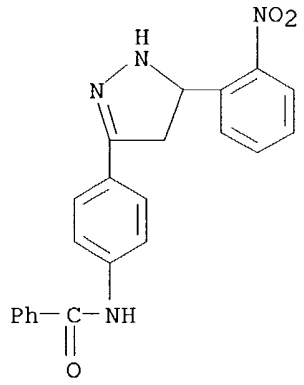
RN 648430-63-1 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-[4-(methylthio)phenyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



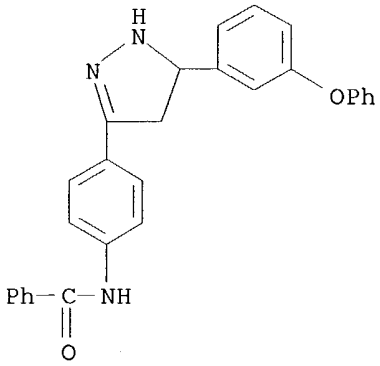
RN 648430-64-2 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]-
(9CI) (CA INDEX NAME)



RN 648430-65-3 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(2-nitrophenyl)-1H-pyrazol-3-yl]phenyl]-
(9CI) (CA INDEX NAME)



RN 648430-66-4 CAPLUS
CN Benzamide, N-[4-[4,5-dihydro-5-(3-phenoxyphenyl)-1H-pyrazol-3-yl]phenyl]-
(9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:591153 CAPLUS
DN 139:164789
TI Preparation of phenylpyrazoles as 5-HT2A serotonin receptor modulators
IN Teegarden, Bradley; Drouet, Keith; Jayakumar, Honnappa; Thomsen, William; Maffuid, Paul; Elwell, Katie; Foster, Richard; Lawless, Michael; Liu, Qian; Smith, Julian; Feichtinger, Konrad
PA Arena Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062206	A2	20030731	WO 2003-US2059	20030123
	WO 2003062206	A3	20040108		

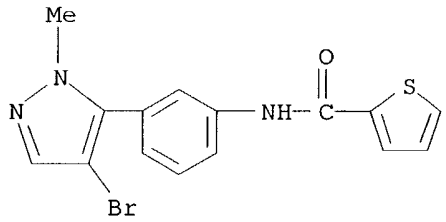
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-386198P P 20020123
US 2002-386384P P 20020605
US 2002-401467P P 20020805
OS MARPAT 139:164789
AB Title compds. I [wherein R1 = H, halo, NR5R6, OH, or OR7; R2 = H, (cyclo)alkyl, or alkenyl; R3 = halo, carboxy, CN, or (un)substituted alkoxycarbonyl, (cyclo)alkyl, alkenyl, alkynyl, or (hetero)aryl; R4 = (cyclo)alkyl or alkenyl; R5 and R6 = independently H or (un)substituted (cyclo)alkyl, alkenyl, aryl(methyl); or NR5R6 = (un)substituted heterocyclyl; R7 = H or alkyl; A = CO, CS, or SO2; B = (NR11)q(CHR12)m(1,2-cyclopropylidene)nQ1 or OQ2; m, n, and q = independently 0-1; R11 and R12 = independently H, (cyclo)alkyl, or alkenyl; Q = (un)substituted Ph; Q2 = (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylaryl, or aryl(alkyl); and pharmaceutically acceptable salts thereof] were prepared as modulators of the 5-HT2A serotonin receptor. For example, reaction of triphosgene with 3-(3-aminophenyl)-4-bromo-2-methylpyrazole in the presence of TEA in CH2Cl2, followed by addition of 4-(trifluoromethoxy)benzylamine provided the N-(pyrazolylphenyl)urea II (68%). The latter exhibited IC50 values of 1.2 μM, 0.45 μM, and 0.0171 μM for AP-1, WT 5-HT2A, and AP-3, resp., in a competitive binding assay. A number of the compds. of the invention evidenced inverse agonist activity against AP-1 (data given). Thus, I and pharmaceutical compns. thereof are directed to methods useful in the prophylaxis or treatment of reducing platelet aggregation, coronary artery disease, myocardial infarction, transient ischemic attack, angina, stroke, atrial fibrillation, reducing the risk of blood clot formation, asthma or symptoms thereof, agitation or a symptom, behavioral disorders, drug induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome, manic disorder, organic or NOS psychosis, psychotic disorder, psychosis, acute schizophrenia, chronic schizophrenia and NOS schizophrenia, and

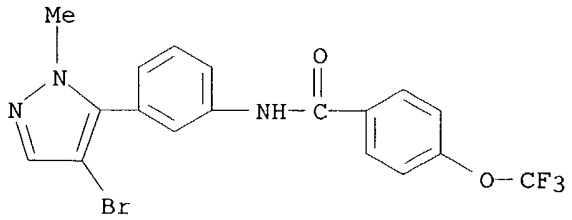
related disorders (no data). The present invention also relates to the method of prophylaxis or treatment of 5-HT2A serotonin receptor mediated disorders in combination with a dopamine D2 receptor antagonist such as haloperidol, administered sep. or together.

IT **573711-39-4P 573711-42-9P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-4-trifluoromethoxyphenylcarboxamide **573711-43-0P 573711-44-1P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-[4-(trifluoromethoxy)phenyl]acetamide **573711-45-2P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(3-fluorophenyl)acetamide **573711-46-3P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(3-methoxyphenyl)acetamide **573711-47-4P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(2-fluorophenyl)acetamide **573711-48-5P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(4-nitrophenyl)acetamide **573711-49-6P**, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(2-methoxyphenyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT2A receptor modulator; preparation of phenylpyrazoles as 5-HT2A serotonin receptor modulators for treatment of heart disease, stroke, psychosis, and other disorders)

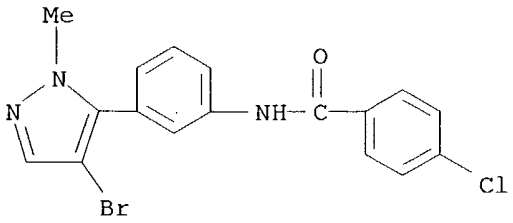
RN 573711-39-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



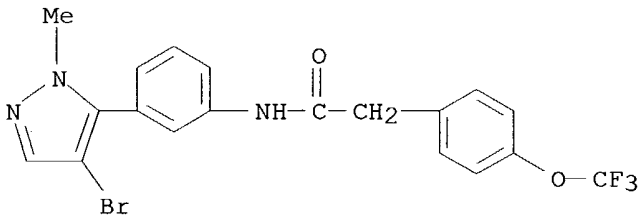
RN 573711-42-9 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



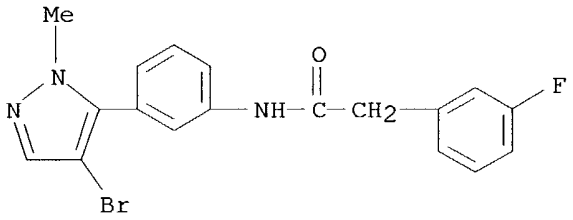
RN 573711-43-0 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)



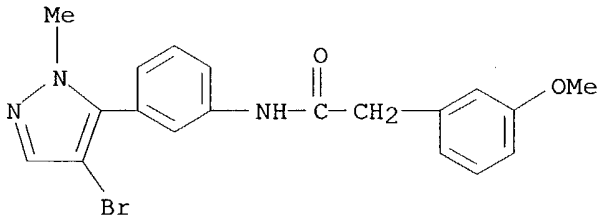
RN 573711-44-1 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 573711-45-2 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-3-fluoro- (9CI) (CA INDEX NAME)

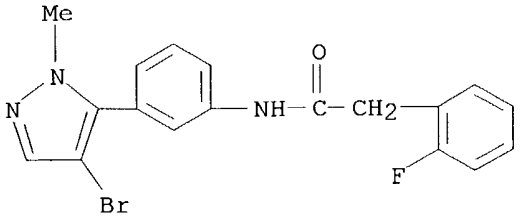


RN 573711-46-3 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

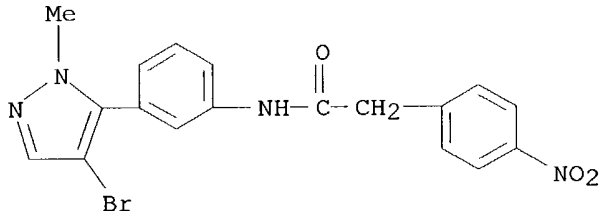


RN 573711-47-4 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-2-fluoro-

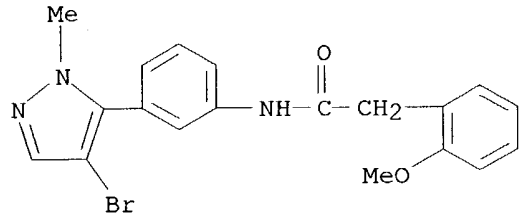
(9CI) (CA INDEX NAME)



RN 573711-48-5 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-nitro-
(9CI) (CA INDEX NAME)



RN 573711-49-6 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-2-methoxy-
(9CI) (CA INDEX NAME)



L25 ANSWER 14 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:570962 CAPLUS
DN 139:133578
TI Preparation of N-acylbenzenesulfonamide derivatives as acetyl CoA carboxylase (ACC) inhibitors
IN Suzuki, Nobuyasu; Nihei, Yukio; Ichinose, Hidehiro; Hatanaka, Toshihiro; Maezono, Katsumi; Ohsumi, Koji; Kondo, Nobuo; Yamamoto, Takashi; Nakanishi, Eiji
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003059886	A1	20030724	WO 2003-JP99	20030109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2002-2344 A 20020109
OS MARPAT 139:133578
AB Acyl sulfonamide derivs. represented by the following general formula (I), its analog or pharmaceutically acceptable salts thereof [wherein R1 = each (un)substituted C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, aromatic hydrocarbyl, aromatic heterocyclyl, NH2, C1-20 alkoxy, C2-20 alkenyloxy, C2-20 alkynyloxy, aromatic hydrocarbyloxy, or aromatic heterocyclyloxy; Y = (un)substituted CR3:CR4, N:CR3 , CR3:N; R3-R6 = each (un)substituted aromatic hydrocarbyl, C1-12 alkyl, C2-12 alkynyl, C1-12 alkoxy, C1-12 amino, or C1-6 alkylthio, H, OH, SH, NO2, halo, cyano; R7, R8 = groups listed in R3-R6, carbonyl, thiocarbonyl, (un)substituted C1-12 alkoxycarbonyl; ring A = each (un)substituted aromatic hydrocarbyl, aromatic heterocyclyl, cyclic alkenyl, or cyclic alkyl; ring B = each (un)substituted aromatic hydrocarbyl, 4- to 9-membered heterocyclyl, cyclic alkyl, or cyclic alkenyl; X = Q, Q1, Q2; wherein R11-R18 = groups listed in R3-R6; Z = CR9:N, N:CR9, CR9:N, S, O; Q = CR9:N; R9 = groups listed in R3-R4; ring C = each (un)substituted aromatic heterocyclyl, cyclic alkyl, or cyclic alkenyl excluding pyridine, furan, or thiophene ring] are prepared having an efficacious ACC activity inhibitory effect. Therefore, the above derivs. have an efficacious ACC activity inhibitory effect and are efficacious in treating obesity and hyperlipemia and fatty liver induced by obesity as well as impaired glucose tolerance, diabetes, diabetic complications, hypertension and arteriosclerosis. Thus, 777 mg 4-[4-[3,5-bis(trifluoromethyl)phenyl]isoth iazol-2-yl]benzoic acid was treated with 2 mL SOCl2, stirred at 70° for 3 h, distilled to remove SOCl2 under reduced pressure, dissolved in 3 mL CH2Cl2, treated dropwise with a solution of 320 mg 2-aminobenzenesulfonamide in 5 mL pyridine at 0°, and stirred at room temperature for 3 h to give, after workup, 44% 2-[4-[4-[3,5-bis(trifluoromethyl)phenyl]isothiazol-2-yl]benzoylamino]benzenesulfonamide which (475 mg) was dissolved in 25 mL THF, treated with 195 mg 4-dimethylaminopyridine and 0.134 mL n-hexanoyl

chloride, and stirred at 60° for 1 h to give, 75% N-hexanoyl-2-[4-[4-[3,5-bis(trifluoromethyl)phenyl]isothiazol-2-yl]benzoylamino]benzenesulfonamide (II). II showed IC50 of 0.29 μM against ACC.

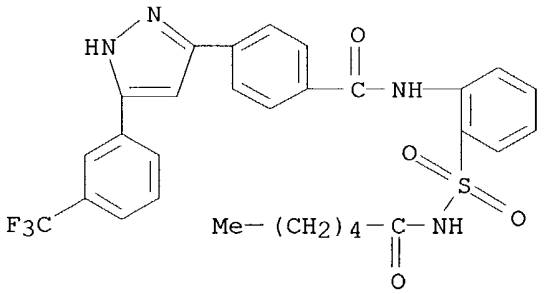
IT **566180-97-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acylbenzenesulfonamide derivs. as acetyl CoA carboxylase inhibitors for treating obesity, hyperlipemia, fatty liver, impaired glucose tolerance, diabetes, diabetic complications, hypertension, and arteriosclerosis)

RN 566180-97-0 CAPLUS

CN Benzamide, N-[2-[[(1-oxohexyl)amino]sulfonyl]phenyl]-4-[5-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



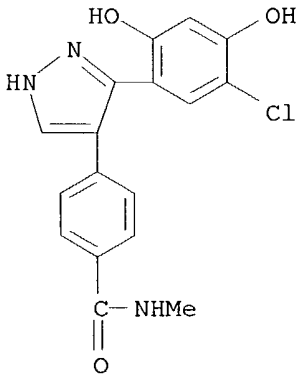
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:532647 CAPLUS
DN 139:101122
TI Preparation of 3,4-diarylpyrazoles as inhibitors of heat shock protein 90 (HSP90) and their use in the therapy of cancer
IN Drysdale, Martin James; Dymock, Brian William; Barril-Alonso, Xavier; Workman, Paul; Pearl, Laurence Harris; Prodromou, Chrisostomos; MacDonald, Edward
PA Ribotargets Limited, UK; Cancer Research Technology Limited; The Institute of Cancer Research
SO PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003055860	A1	20030710	WO 2002-GB5778	20021219

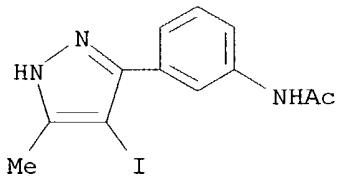
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2001-30733 A 20011221
GB 2002-25688 A 20021104
OS MARPAT 139:101122
AB A method of inhibiting HSP90 comprises administration of title compds. [I; Ar3, Ar4 = (substituted) C5-20 aryl; R5 = H, halo, OH, ether, formyl, acyl, CO2H, ester, acyloxy, oxycarbonyloxy, amido, acylamido, aminocarbonyloxy, tetrazolyl, amino, NO2, cyano, N3, sulfhydryl, thioether, sulfonamido, C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl; R = H, C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl] and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chemical protected forms, and prodrugs thereof. Thus, 7-hydroxy-3-phenylchromen-4-one and hydrazine hydrate were refluxed 45 min. in EtOH to give 4-(4-phenyl-1H-pyrazol-3-yl)benzene-1,3-diol. This inhibited HSP90 activity with IC50 = 10-100 μM.
IT **558649-87-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylpyrazoles as inhibitors of heat shock protein 90 and their use in the therapy of cancer)
RN 558649-87-9 CAPLUS
CN Benzamide, 4-[3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazol-4-yl]-N-methyl- (9CI) (CA INDEX NAME)

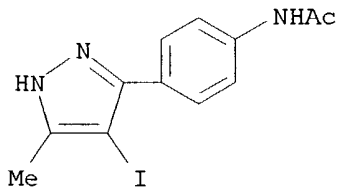


IT **558645-02-6P 558645-06-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diarylpyrazoles as inhibitors of heat shock protein 90 and their use in the therapy of cancer)

RN 558645-02-6 CAPLUS
CN Acetamide, N-[3-(4-iodo-5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 558645-06-0 CAPLUS
CN Acetamide, N-[4-(4-iodo-5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

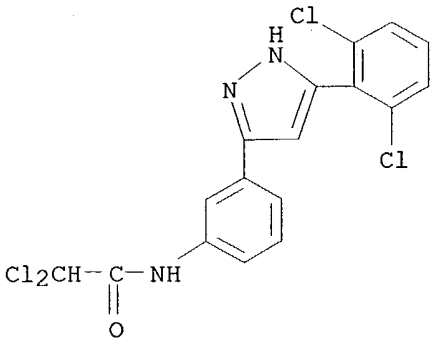


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 16 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:376833 CAPLUS
DN 138:368880
TI Preparation of substituted diphenyl heterocycles for treating HCV infection
IN Singh, Rajinder; Goff, Dane; Lu, Henry; Issankani, Sarkiz D.; Sun, Thomas
PA Rigel Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040112	A1	20030515	WO 2002-US35131	20021101
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003165561	A1	20030904	US 2002-286017	20021101
	BR 2002006266	A	20031230	BR 2002-6266	20021101
PRAI	US 2001-350107P	P	20011102		
	US 2002-405472P	P	20020823		
	WO 2002-US35131	W	20021101		

OS MARPAT 138:368880
AB The title compds. [I; X, Y = N, O, provided that X and Y are not both O; Z = N, CH, provided that Z = CH when X and Y are both N; R2-R6, R8-R10, R13 = H, OH, SH, etc.; R11 = alkyl; R12 = monohalomethyl, dihalomethyl] that inhibit replication of HCV virus, were prepared and formulated. Thus, reacting 2,6-dichloro-N-hydroxybenzenecarboximidoyl chloride with 2,2-dichloro-N-(3-ethynylphenyl)acetamide (prepns. given) in the presence of Et3N in THF afforded I [X = N; Y = O; Z = CH; R2 = Cl; R3-R5 = H; R6 = Cl; R8-R11 = H; R12 = CHCl2; R13 = H] which was evaluated for in rats by both s.c. and i.v. administration, and doses as high as 30 mg/kg/day were well tolerated.
IT **524685-47-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted di-Ph heterocycles for treating HCV infection)
RN 524685-47-0 CAPLUS
CN Acetamide, 2,2-dichloro-N-[3-[5-(2,6-dichlorophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



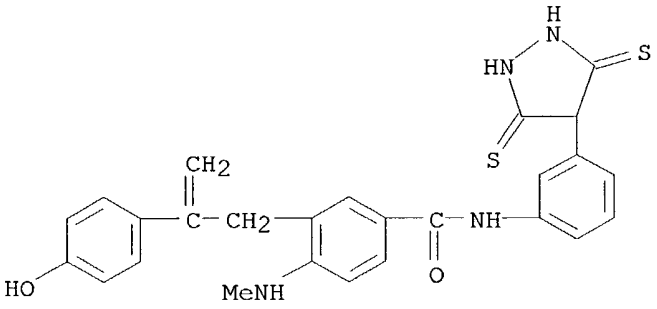
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 17 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:369188 CAPLUS
DN 138:376312
TI Silver halide emulsion for silver halide photographic materials
IN Yamada, Kosaburo; Maeda, Hideki; Asanuma, Naoki
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 80 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003140287	A2	20030514	JP 2002-188536	20020627
PRAI JP 2001-250679	A	20010821		

AB The title silver halide emulsion contains a compound releasing ≥1 electrons after one electron oxidation and after a chemical bond formation. The photog. emulsion provides the silver halide photog. materials of high sensitivity, no fogging, and good storageability.

IT **521749-17-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxidizable compound in silver halide emulsion)
RN 521749-17-7 CAPLUS
CN Benzamide, N-[3-(3,5-dithioxo-4-pyrazolidinyl)phenyl]-3-[2-(4-hydroxyphenyl)-2-propenyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

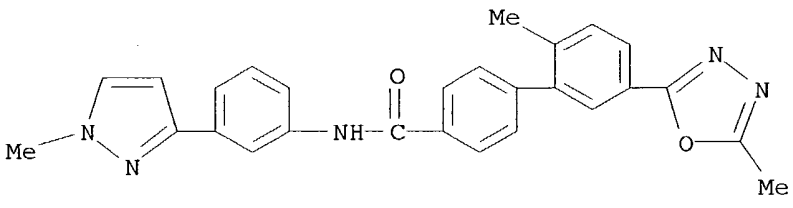


L25 ANSWER 18 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:319886 CAPLUS
DN 138:338155
TI Preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors
IN Angell, Richard Martyn; Bamborough, Paul; Cockerill, George Stuart; Smith, Kathryn Jane; Walker, Ann Louise
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003033482	A1	20030424	WO 2002-EP11574	20021016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2001-24932 A 20011017
OS MARPAT 138:338155
AB The title compds. [I; X = a bond, (un)substituted Ph; R1 = (un)substituted 5-7 membered heterocyclyl, 5-7 membered heteroaryl, fused bicyclyl; R2 = H, alkyl, (CH2)pcycloalkyl; or when X = a bond and m and n are both zero, NR1R2 = 5-6 membered heterocyclyl optionally containing one addnl. heteroatom selected from O and N which can be optionally substituted by alkyl; R3 = II (wherein R4 = H, alkyl); U = Me, halo; V, Y = H, Me, halo; m, n = 0-2; m + n = 0-4; p = 0-1; r = 0-2; with the provisos], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepared E.g., a 6-step synthesis of the carboxamide III, starting from 3-bromo-4-methylbenzoic acid, was given.
IT **515143-65-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors)
RN 515143-65-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

L25 ANSWER 19 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:261813 CAPLUS
DN 138:287667
TI Preparation of 1-[2-(aryloxy)ethyl]-1H-pyrazoles useful in the treatment of hyper-proliferative disorders
IN Khire, Uday; Zhang, Chengzhi; Kluender, Harold C. E.; Mugge, Ingo; Hong, Zhenqiu; Shao, Jianxing; Bifulco, Neil; Trail, Pamela A.; Dumas, Jacques; Lavoie, Rico C.; Liu, Xiao-Gao; Agarwal, Veena; Verma, Sharad K.; Wang, Lei
PA Bayer Corporation, USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

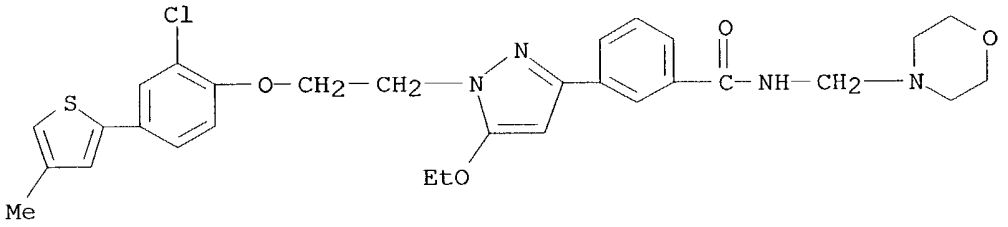
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003027074	A1	20030403	WO 2002-US29958	20020920

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

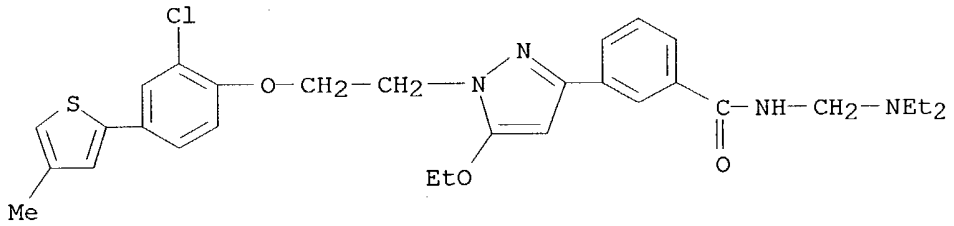
PRAI US 2001-324573P P 20010925
OS MARPAT 138:287667
AB Title compds. I and II [wherein R1 = H, halo, or CN; R2 = H, CN, COR6, halo, or alkyl; R3 = CF3 or (un)substituted alkyl, Ph, furyl, thienyl, isoxazolyl, pyridyl, or benzodioxolyl; R4 = H, alkyl, halo, or CN; X = O or NH; R5 = (un)substituted alkyl; R6 = H or alkyl; R7 = alkoxy, Br, Cl, F, CF3, CN, CO2H, NHCOR14, or (un)substituted alkyl, Ph, thienyl, pyridyl, pyrimidyl, pyrrolyl, furyl, oxazolyl, benzothienyl, benzofuryl, morpholinyl, pyrrolidinyl, piperidinyl, naphthyl, or benzodioxolyl; Y = H, alkyl, alkoxy, CN, or halo; R8 = (un)substituted Ph; R9 = H, alkyl, Br, Cl, or F; R10 = (un)substituted alkyl; R14 = alkyl; n = 0-2; or pharmaceutically acceptable salts thereof] were prepared as angiogenesis inhibitors. For example, etherification of 1,6-dibromo-2-naphthol with dibromoethane gave the bromoethoxy derivative (93%). Addition of NH2NH2•H2O in 2N HCl and CH2Cl2 provided 1-[2-[(1,6-dibromo-2-naphthyl)oxy]ethyl]hydrazine•HCl (78%). Cyclization of the hydrazine with Et benzoylacetate afforded the pyrazolone (39%), which was treated with 1,1'-(azodicarbonyl)dipiperidine, PBu3, and EtOH to give III (78%). In an in vivo tumor model assay using human colon tumor HCT-116 cells implanted in mice, I and II significantly inhibited tumor growth compared to controls. All treatments were well tolerated with no lethality or weight loss in any group. Thus, I and II are useful for the treatment of hyper-proliferative disorders and angiogenesis dependent disorders, especially colon, breast, and lung cancer.
IT **503815-37-0P**, 3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-(4-morpholinylmethyl)benzamide **503815-38-1P**, 3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(diethylamino)methyl]benzamide **503815-39-2P**,

3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(dimethylamino)methyl]benzamide **503815-40-5P**,
3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-(2-methoxyethyl)benzamide **503815-41-6P**,
3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-propylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of [(aryloxy)ethyl]pyrazoles for treatment of hyper-proliferative disorders)

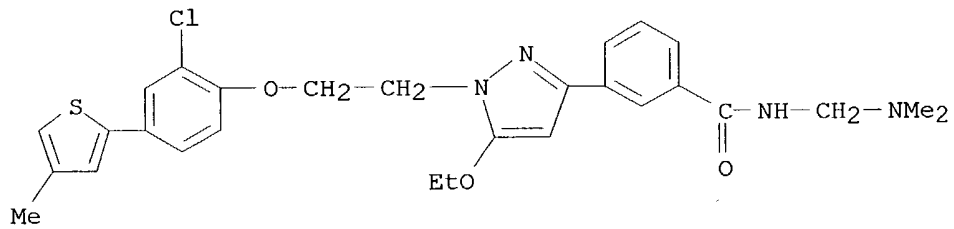
RN 503815-37-0 CAPLUS
CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



RN 503815-38-1 CAPLUS
CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)

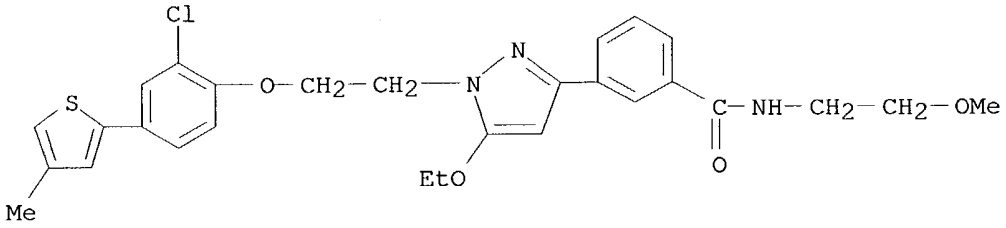


RN 503815-39-2 CAPLUS
CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(dimethylamino)methyl]- (9CI) (CA INDEX NAME)

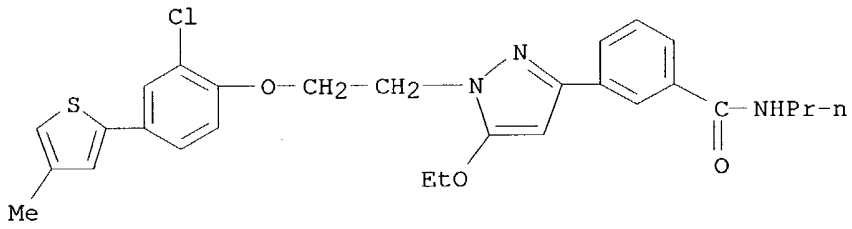


RN 503815-40-5 CAPLUS
CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-

1H-pyrazol-3-yl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 503815-41-6 CAPLUS
CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-propyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

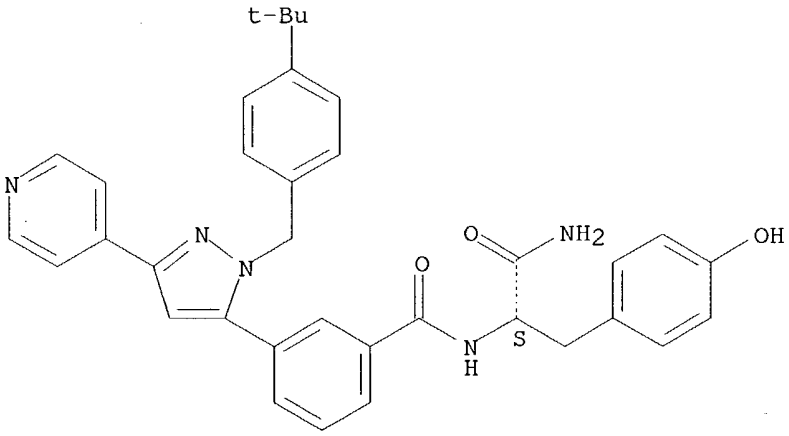
L25 ANSWER 20 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:261667 CAPLUS
DN 138:287976
TI Preparation of pyrazole amino acid derivatives for increasing endogenous testosterone levels
IN Brondyk, William H.; McKenna, Sean; Arkinstall, Stephen J.
PA Applied Research Systems ARS Holding N.V., Neth. Antilles
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003026649	A1	20030403	WO 2002-US30801	20020927

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

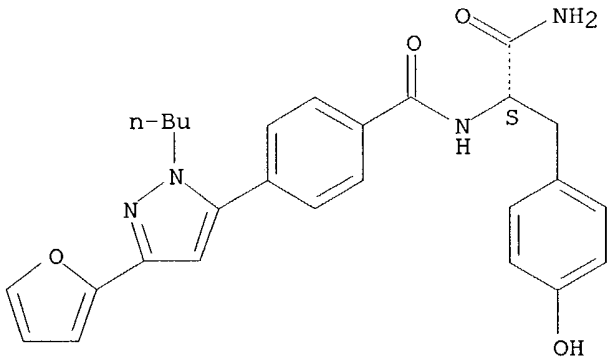
PRAI US 2001-325470P P 20010927
OS MARPAT 138:287976
AB Pyrazole compds., e.g., I [R1 = (un)substituted alk(en)(yn)yl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicyclyl, heteroaralkyl, or heteroalicyclylalkyl; R2, R3 = H, (un)substituted alk(en)(yn)yl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, or ring groups defined for R1; X = (hetero)alk(en)(yn)ylene or ring groups defined for R1; Y = (un)substituted amino or methylene, CO, SO2; Z = optionally-substituted alkylamino, an amino acid, or a glycine; m, n = 0 or 1] or their pharmaceutically-acceptable salts were prepared for treatment of conditions, disorders or diseases which would benefit patients by increasing endogenous testosterone levels. Thus, in vivo testosterone induction activities for regioisomeric 5-[2-(4-tert-butylphenyl)-5-pyridin-3(or 4)-yl-2H-pyrazol-3-yl]pentanoic acid [1-carbamoyl-2-(4-hydroxyphenyl)ethyl]amide are shown in bar graphs.
IT **373607-52-4P 373607-56-8P 373607-67-1P**
373607-69-3P 503862-37-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole amino acid derivs. for increasing endogenous testosterone levels)
RN 373607-52-4 CAPLUS
CN Benzenepropanamide, α-[[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(4-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



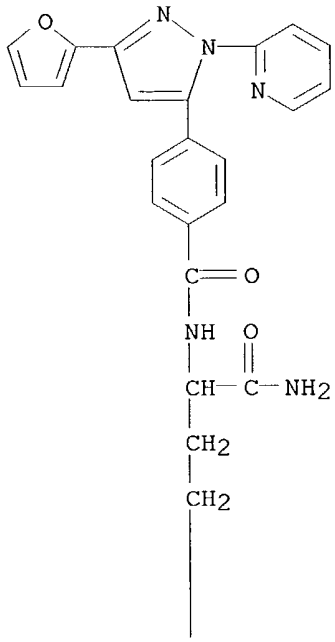
RN 373607-56-8 CAPLUS
CN Benzenepropanamide, α-[[4-[1-butyl-3-(2-furanyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

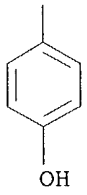


RN 373607-67-1 CAPLUS
CN Benzenebutanamide, α-[[4-[3-(2-furanyl)-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

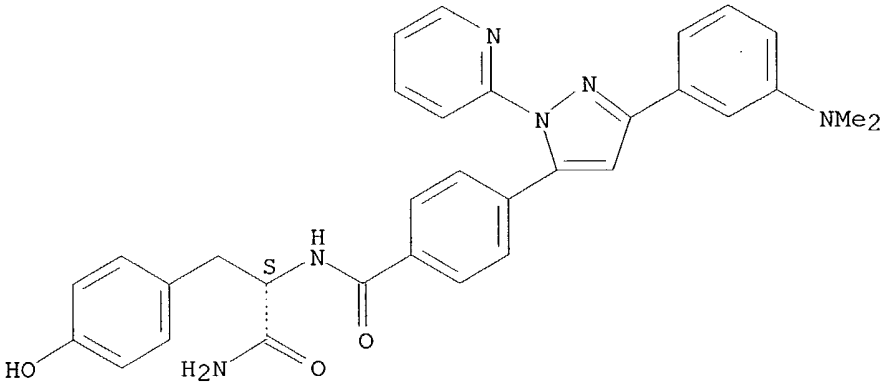


PAGE 2-A



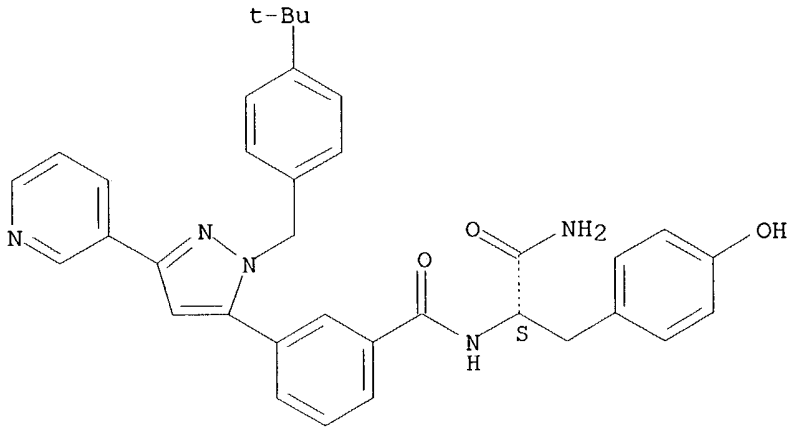
RN 373607-69-3 CAPLUS
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 503862-37-1 CAPLUS
CN Benzenepropanamide, α-[[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(3-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 21 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:254172 CAPLUS
DN 138:281081
TI Drug screening with non-endogenous, constitutively activated human serotonin receptors and small molecule modulators thereof
IN Behan, Dominic P.; Chalmers, Derek T.; Liaw, Chen W.; Russo, Joseph F.; Thomsen, William J.
PA Arena Pharmaceuticals, Inc., USA
SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 60,188.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 16

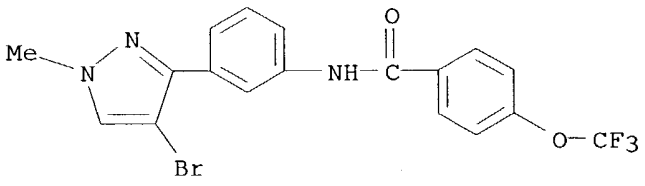
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6541209	B1	20030401	US 1999-292072	19990414
	US 6140509	A	20001031	US 1999-292069	19990414
	US 6420541	B1	20020716	US 2000-767013	20001222
	US 2003224442	A1	20031204	US 2002-55555	20020123
	US 2003153004	A1	20030814	US 2002-176255	20020619
PRAI	US 1997-839449	B2	19970414		
	US 1998-60188	A2	19980414		
	US 1998-90783P	P	19980626		
	US 1998-112909P	P	19981218		
	US 1999-123000P	P	19990305		
	US 1998-90793P	P	19980625		
	US 1999-292072	A3	19990414		
	US 2000-767013	A3	20001222		

Does not disclose compds.

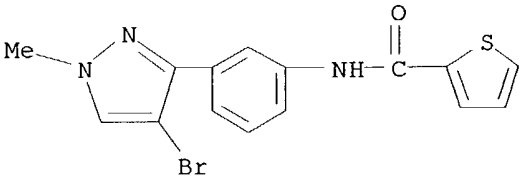
AB Disclosed herein are non-endogenous, constitutively activated forms of the human 5-HT2A and human 5-HT2C receptors and uses of such receptors to screen candidate compds. Further disclosed herein are candidate compds. identified by the screening method which act at the 5HT2A receptors. Yet further disclosed is a new class of compds. which act at the 5HT2A receptors.

IT **247037-94-1P 247037-95-2P 247037-97-4P**
247037-98-5P 247037-99-6P 247038-00-2P
247038-01-3P 247038-02-4P 247038-03-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug screening with non-endogenous, constitutively activated human serotonin receptors and small mol. modulators thereof)

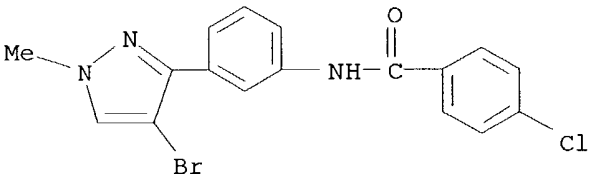
RN 247037-94-1 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



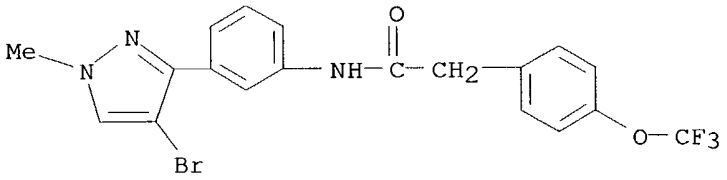
RN 247037-95-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



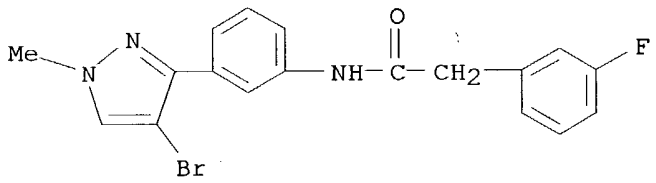
RN 247037-97-4 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)



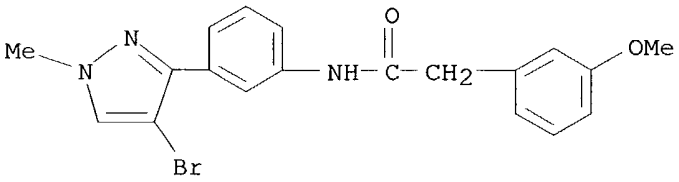
RN 247037-98-5 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



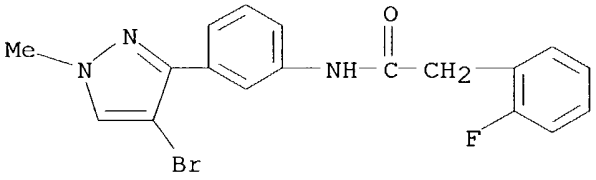
RN 247037-99-6 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-fluoro- (9CI) (CA INDEX NAME)



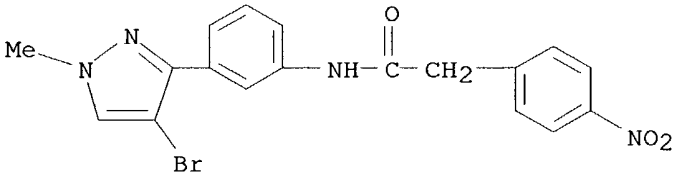
RN 247038-00-2 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



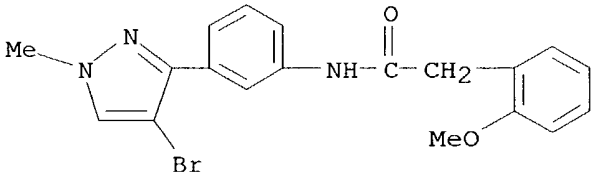
RN 247038-01-3 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 247038-02-4 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-nitro- (9CI) (CA INDEX NAME)



RN 247038-03-5 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

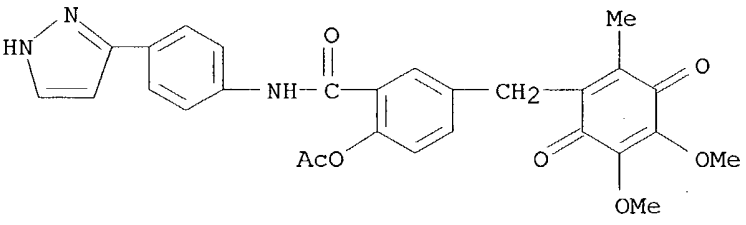


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 22 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:754333 CAPLUS
DN 137:279214
TI Preparation of benzoic acid derivatives as nuclear factor κB inhibitors
IN Suzuki, Kenji; Nunokawa, Youichi; Ogou, Naohisa
PA Suntory Limited, Japan; Suntory Biomedical Research Limited
SO PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076918	A1	20021003	WO 2002-JP3017	20020327
	WO 2002076918	C1	20021031		
	W: BR, CA, CN, HU, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	BR 2002004678	A	20030429	BR 2002-4678	20020327
	EP 1314712	A1	20030528	EP 2002-708696	20020327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRAI	JP 2001-91003	A	20010327		
	WO 2002-JP3017	W	20020327		

OS MARPAT 137:279214
AB The title compds. I [R1 = (1,4-benzoquinon-2-yl)methyl (with substituents selected from H, alkyl, etc.) (generic structure given), etc.; R2 = H, (un)substituted alkyl, etc.; X = carboxyl (which may esterified or amidated)] are prepared In an in vitro test for nuclear factor κB inhibiting activity, N-[5-(5,6-dimethoxy-3-methyl-1,4-benzoquinon-2-yl)methyl-2-hydroxybenzoyl]-4-aminobenzoic acid Et ester showed IC50 value of 3 μg/mL.
IT **464215-68-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzoic acid derivs. as nuclear factor κB inhibitors)
RN 464215-68-7 CAPLUS
CN Benzamide, 2-(acetyloxy)-5-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

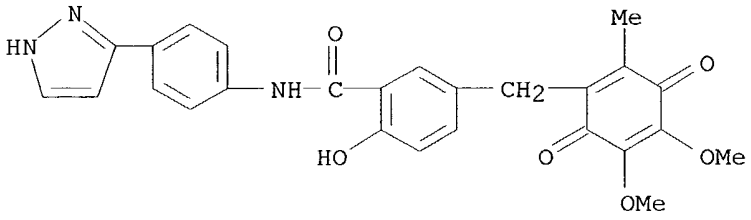


IT **464215-69-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoic acid derivs. as nuclear factor κB inhibitors)

RN 464215-69-8 CAPLUS

CN Benzamide, 5-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-2-hydroxy-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

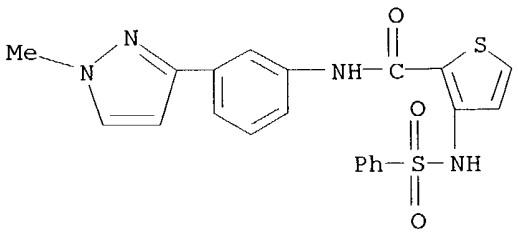


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 23 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:275753 CAPLUS
DN 136:309843
TI Preparation of thiophenes as phosphate transport inhibitors
IN Weinstock, Joseph; Franz, Robert G.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002028353	A2	20020411	WO 2001-US31318	20011005
	WO 2002028353	A3	20020711		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002013048	A5	20020415	AU 2002-13048	20011005
PRAI	US 2000-238068P	P	20001005		
	WO 2001-US31318	W	20011005		

OS MARPAT 136:309843
AB The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared E.g., a 4-step synthesis of I [X = S; R1 = H; R2 = 4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.
IT **409363-05-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenes as phosphate transport inhibitors)
RN 409363-05-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

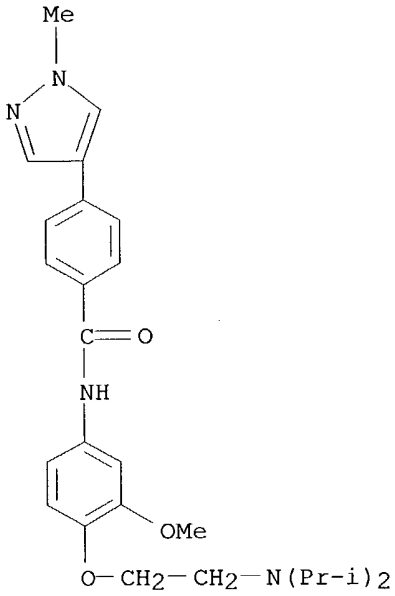


L25 ANSWER 24 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:107327 CAPLUS
DN 136:167394
TI Preparation of carboxamide compounds and their use as antagonists of a human 11CBY receptor
IN Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne; Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

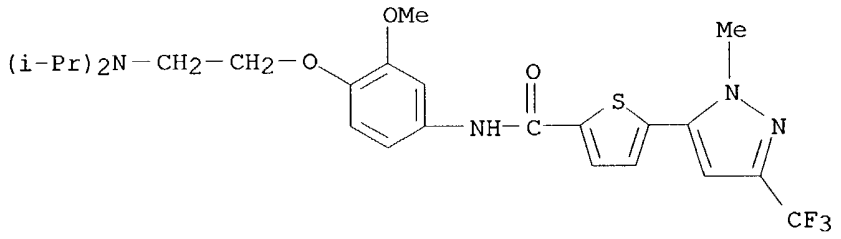
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002010146	A1	20020207	WO 2001-EP8637	20010726
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1305304	A1	20030502	EP 2001-956562	20010726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001012856	A	20030701	BR 2001-12856	20010726
	JP 2004505070	T2	20040219	JP 2002-515877	20010726
	NO 2003000471	A	20030328	NO 2003-471	20030130
	BG 107510	A	20030930	BG 2003-107510	20030130
	US 2004063686	A1	20040401	US 2003-343424	20030930
PRAI	GB 2000-18758	A	20000731		
	GB 2001-12544	A	20010523		
	WO 2001-EP8637	W	20010726		

OS MARPAT 136:167394
AB Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl, C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF3; R3 = H, CH3, CH3CH2; R4 = aromatic carbocycle, heterocycle; Z = O, S, NH, CH2, single bond, at the 3 or 4 position of R4 relative to the carbonyl group; R5 = aromatic carbocycle, heterocycle; Q = XYNR1R2; X = O, S; Y = C2-4 alkylene, C5-6 cycloalkylene; R1, R2 independently = C1-6 alkyl, phenyl-C1-6 alkyl; R1R2 = 5-, 6-, 7-membered ring optionally containing one or more heteroatom selected from O, S, N; etc.], pharmaceutically acceptable salts, and solvate are prepared and as antagonists of a human 11CBY receptor. Title compds. and pharmaceutical composition are useful in the treatment and/or prophylaxis of one or more of the disorder, such as, major depression, manic depression, anxiety, etc. Thus, the title compound II was prepared from 2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.
IT **395677-26-6P 395679-10-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxamide compds. as antagonists of human 11CBY receptor)
RN 395677-26-6 CAPLUS

CN Benzamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-4-(1-methyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

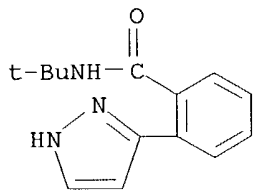


RN 395679-10-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

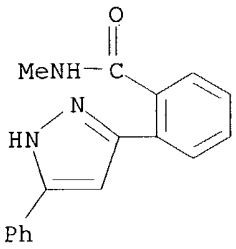


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

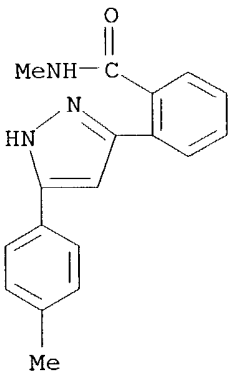
L25 ANSWER 25 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:71213 CAPLUS
DN 136:401679
TI Regioselective synthesis of pyrazoles via the ring cleavage of 3-substituted N-alkylated 3-hydroxyisoindolin-1-ones
AU Chang, Kyu-Tae; Choi, Yong Hyun; Kim, Seung-Ho; Yoon, Yong-Jin; Lee, Woo Song
CS Proteome Research Laboratory, Korea Research Institute of Bioscience and Biotechnology, Taejon, 305-333, S. Korea
SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (2), 207-210
CODEN: JCSPCE; ISSN: 1472-7781
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 136:401679
AB N-Alkyl (Me, Et, iPr, tBu)-substituted phthalimides I (R = Me, Et, i-Pr, t-Bu) were easily transformed to mono-, di-, or tri-substituted pyrazoles, e.g., II via a one-pot addition-decyclization-cyclocondensation process. The regiochem. of the pyrazole ring was determined by X-ray crystallog. anal. and 1H NOE expts.
IT **431877-75-7P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of pyrazoles from N-alkylphthalimides via addition of lithium alkylacetylides, ring cleavage of intermediate N-alkylhydroxyisoindolinones and subsequent regioselective cyclocondensation with hydrazines)
RN 431877-75-7 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



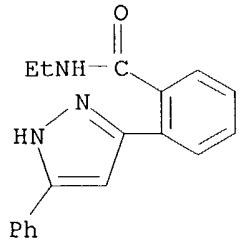
IT **431877-69-9P 431877-70-2P 431877-71-3P**
431877-72-4P 431877-73-5P 431877-74-6P
431877-76-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazoles from N-alkylphthalimides via addition of lithium alkylacetylides, ring cleavage of intermediate N-alkylhydroxyisoindolinones and subsequent regioselective cyclocondensation with hydrazines)
RN 431877-69-9 CAPLUS
CN Benzamide, N-methyl-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



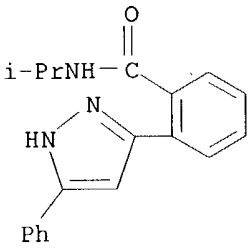
RN 431877-70-2 CAPLUS
CN Benzamide, N-methyl-2-[5-(4-methylphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



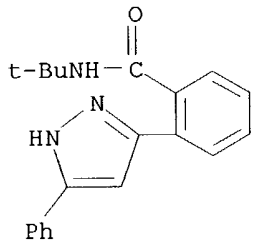
RN 431877-71-3 CAPLUS
CN Benzamide, N-ethyl-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



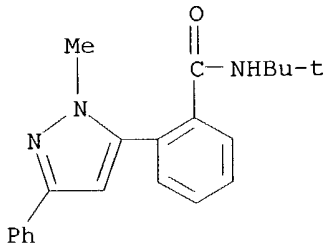
RN 431877-72-4 CAPLUS
CN Benzamide, N-(1-methylethyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



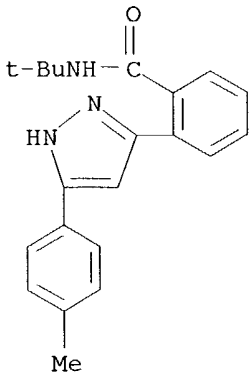
RN 431877-73-5 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 431877-74-6 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(1-methyl-3-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 431877-76-8 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-[5-(4-methylphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



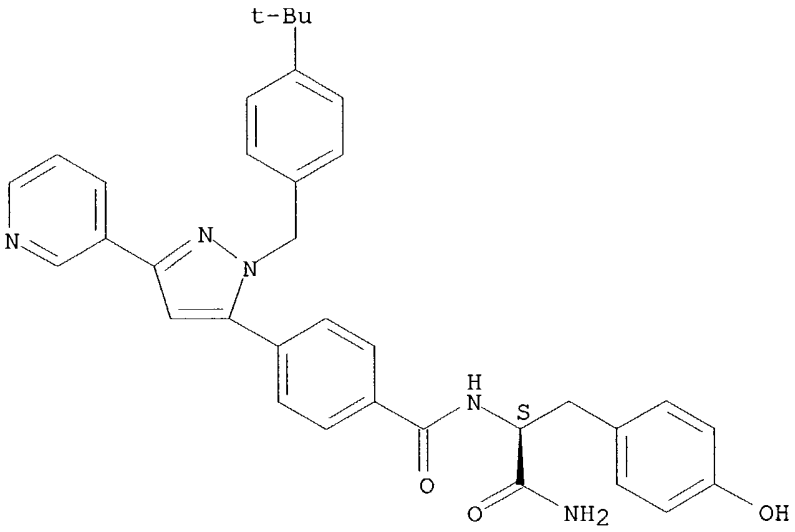
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 26 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:850926 CAPLUS
DN 135:371991
TI Preparation of pyrazole compounds for treatment of infertility
IN Shroff, Hitesh; Reddy, Adulla P.; El Tayar, Nabil; Brugger, Nadia; Jorand-Lebrun, Catherine
PA Serono Reproductive Biology Institute, Inc., USA
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087287	A2	20011122	WO 2001-US16189	20010519
	WO 2001087287	A3	20020516		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002132844	A1	20020919	US 2001-860658	20010519
	EP 1282418	A2	20030212	EP 2001-939143	20010519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501100	T2	20040115	JP 2001-583755	20010519
PRAI	US 2000-205814P	P	20000519		
	WO 2001-US16189	W	20010519		

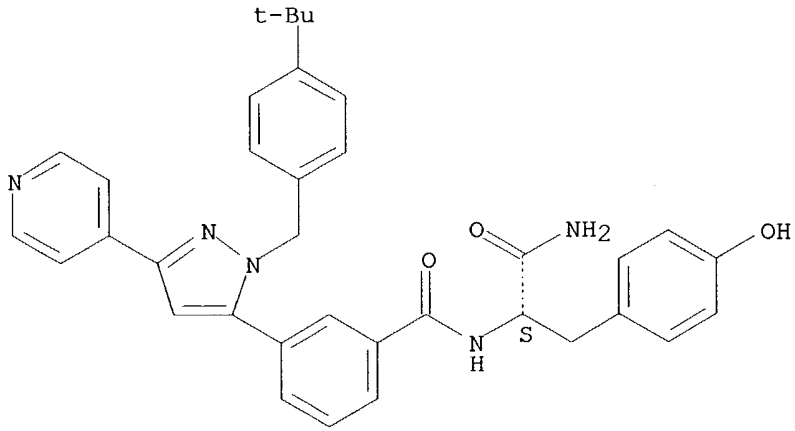
OS MARPAT 135:371991
AB Substituted pyrazole compds. I [R1 is H, optionally substituted alkyl, alkenyl, alkynyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; R2, R3 are H, halo, optionally substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; X is optionally substituted alkylene, alkenylene, alkynylene, heteroalkylene, heteroalkenylene, heteroalkynynylene, alicyclyl, carbocyclic aryl, heteroalicycloalkyl, heteroaryl, heteroaralkyl,or heteroalicycloalkyl; Y is optionally substituted amino or methylene, carbonyl, sulfonyl; Z is an optionally substituted alkylamine, an amino acid or a glycine; m, n are 0 or 1] or their pharmaceutically acceptable salts were prepared for treatment of mammalian infertility. Thus, tyrosinamide II was prepared by the solid-phase method and shown to be human FSH receptor specific in tests on untransfected CHO parental cells.
IT **373607-43-3P 373607-52-4P 373607-56-8P 373607-67-1P 373607-69-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole compds. for treatment of infertility)
RN 373607-43-3 CAPLUS
CN Benzenepropanamide, α-[[4-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(3-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



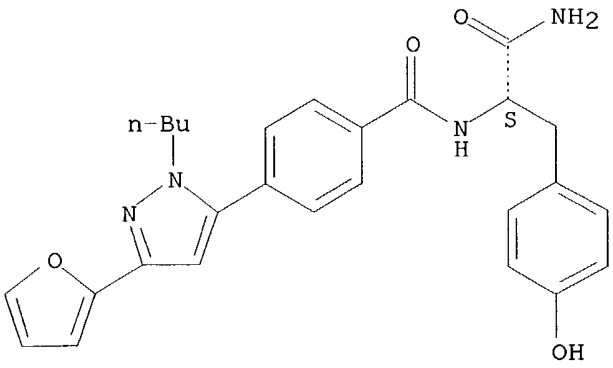
RN 373607-52-4 CAPLUS
CN Benzenepropanamide, α-[[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(4-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



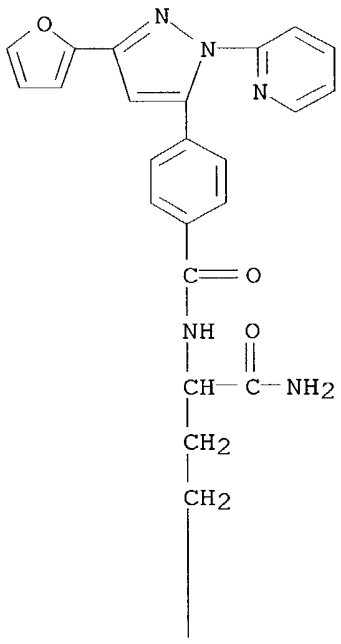
RN 373607-56-8 CAPLUS
CN Benzenepropanamide, α-[[4-[1-butyl-3-(2-furanyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

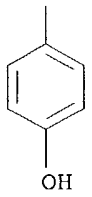


RN 373607-67-1 CAPLUS
CN Benzenebutanamide, α-[[4-[3-(2-furanyl)-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

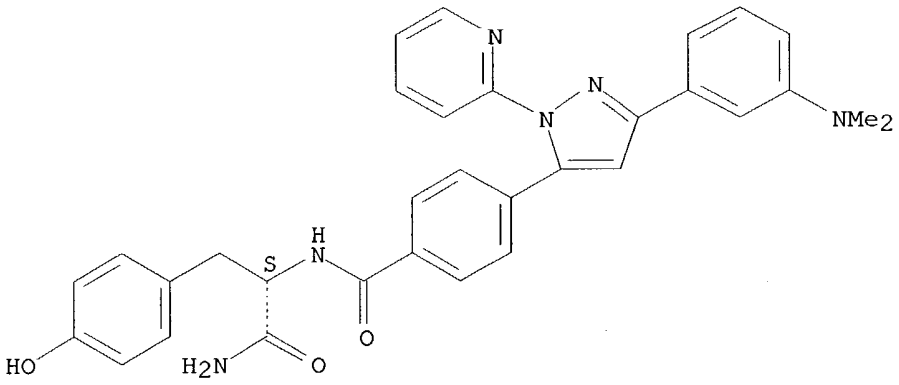


PAGE 2-A



RN 373607-69-3 CAPLUS
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

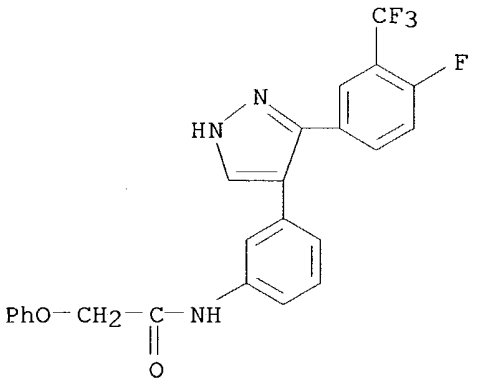
Absolute stereochemistry.



L25 ANSWER 27 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:816458 CAPLUS
DN 135:344479
TI Preparation of pyrazoles and pyrazolones as RNA polymerase inhibitors and antibacterial agent
IN Li, Leping; Chen, Xiaoqi; Cutler, Serena T.
PA Tularik Inc., USA
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001082930	A1	20011108	WO 2001-US14439	20010502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002049205	A1	20020425	US 2001-847962	20010502
US 6673923	B2	20040106		
PRAI US 2000-201988P	P	20000503		

OS MARPAT 135:344479
AB Pyrazoles I and pyrazolones II [R1 = H, OH, alkoxy, (un)substituted NH2; R2, R3 = (un)substituted aryl, heteroaryl, alkyl, heteroalkyl, heteroaralkyl, heteroarylheteroalkyl, arylheteroalkyl] were prepared for use as RNA polymerase inhibitors and antimicrobial agents. Thus, 3-F3CC6H4CH2CN was treated with PhCHO and cyclized with Me3SiCN2 to give I [R1 = H, R2 = Ph, R3 = 3-F3CC6H4], which had min. inhibitory concns. against Staphylococcus aureus and Escherichia coli of <500 μM.
IT **371254-04-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles and pyrazolones as RNA polymerase inhibitors and antibacterial agents)
RN 371254-04-5 CAPLUS
CN Acetamide, N-[3-[3-[4-fluoro-3-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 28 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:581873 CAPLUS
DN 135:152802
TI Preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid derivatives as inhibitors of ERK
IN Green, Jeremy; Cao, Jingrong; Hale, Michael; Baker, Christopher; Maltais, Francois; Janetka, James; Mullican, Michael; Bemis, Guy; Xie, Xiaoling; Straub, Judith; Tang, Qing; Mashall, Robert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001057022	A2	20010809	WO 2001-US3911	20010205
	WO 2001057022	A3	20020307		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001036723	A5	20010814	AU 2001-36723	20010205
	BR 2001004424	A	20020108	BR 2001-4424	20010205
	EP 1200422	A2	20020502	EP 2001-908911	20010205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	TR 200103787	T1	20021021	TR 2001-20010378720010205	
	JP 2003522163	T2	20030722	JP 2001-557854	20010205
	NO 2001004837	A	20011204	NO 2001-4837	20011004
	US 2003040536	A1	20030227	US 2001-972437	20011005
	US 6528509	B2	20030304		
	LT 4981	B	20030127	LT 2001-103	20011017
	BG 106054	A	20020628	BG 2001-106054	20011026
	US 6593357	B1	20030715	US 2002-225719	20020822
	US 2003225151	A1	20031204	US 2003-335793	20030102
	US 6699865	B2	20040302		
	US 2004048861	A1	20040311	US 2003-437419	20030513
	US 2004102506	A1	20040527	US 2003-688613	20031017
PRAI	US 2000-180506P	P	20000205		
	US 2000-191956P	P	20000324		
	US 2000-242935P	P	20001024		
	WO 2001-US3911	W	20010205		
	US 2001-971533	A3	20011005		
	US 2001-972437	A3	20011005		
	US 2002-225719	A3	20020822		
	US 2003-335793	A3	20030102		

OS MARPAT 135:152802
AB The title compds. [I; R1 = R, halo, OR, etc.; T = a bond, linker group; R = H, alkyl; R2 = H, CN, halo, etc.; R3 = R, OH, OR, etc.; Q = a bond, CO, CO2, etc.; R4 = NH2, NHR5, R5, etc.; R5 = alkyl, aryl, aralkyl, etc.], useful as protein kinase inhibitors (such as ERK2, JAK, JNK, Aurora-2, GSK-3, KDR or ATK), were prepared E.g., a 4-step synthesis of I [R1 = H; T

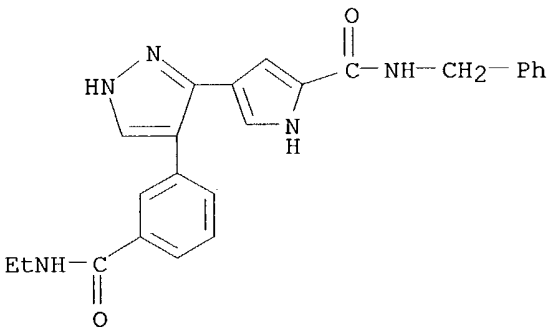
= a bond; R2 = Ph; R3 = H; Q = CO; R4 = NHCH2Ph] which showed Ki of < 1 μM in ERK2 inhibition assay, was given. The compds. I are useful for treating disease states in mammals that are alleviated by a protein kinase inhibitor, particularly diseases such as cancer, inflammatory disorders, restenosis, and cardiovascular disease.

IT **353252-11-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid derivs. as inhibitors of ERK)

RN 353252-11-6 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[4-[3-[(ethylamino)carbonyl]phenyl]-1H-pyrazol-3-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



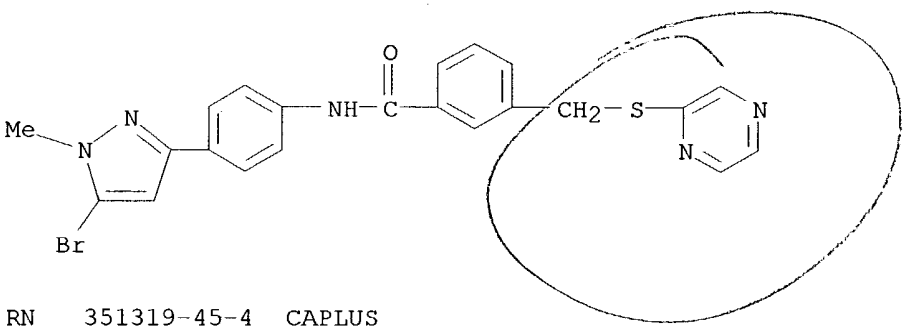
L25 ANSWER 29 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:545674 CAPLUS
DN 135:137516
TI Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases
IN Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps, Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053274	A1	20010726	WO 2001-US1723	20010119
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002103203	A1	20020801	US 2001-764306	20010119
	US 6635641	B2	20031021		
	EP 1252146	A1	20021030	EP 2001-906592	20010119
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001008025	A	20021105	BR 2001-8025	20010119
	JP 2003529558	T2	20031007	JP 2001-553276	20010119
	US 2004092747	A1	20040513	US 2003-621979	20030717
PRAI	US 2000-177059P	P	20000121		
	US 2001-764306	A3	20010119		
	WO 2001-US1723	W	20010119		

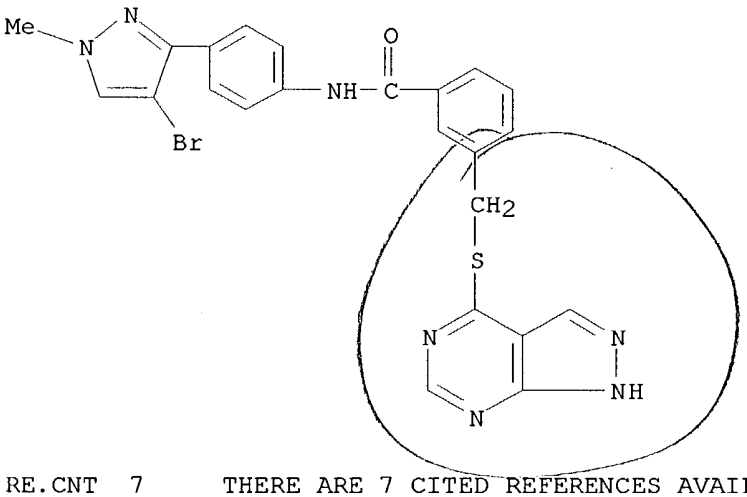
OS MARPAT 135:137516
AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH2, O, S, NH; Y = CH2, O, S, provided at least one of X and Y = CH2 or X and Y form a cyclopropyl ring; R2-3 = H, Me, halo, CF3, CN; R4 = CONHR5, NHCOR6; where R5 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R6 = (un)substituted aryl, heteroaryl, cycloalkyl, etc] are prepared Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with α-chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a β-thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 μM and had Ki = 2.21 nM for VEGF-R2Δ50. Treatment of cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.
IT **351319-33-0P 351319-45-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351319-33-0 CAPLUS
CN Benzamide, N-[4-(5-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(pyrazinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 351319-45-4 CAPLUS
CN Benzamide, N-[4-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]- (9CI) (CA INDEX NAME)



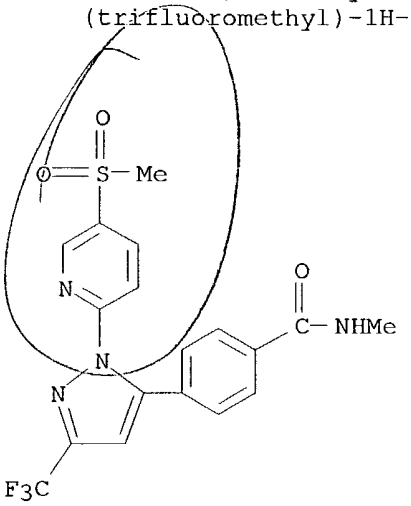
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 30 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:416929 CAPLUS
DN 135:33475
TI Preparation of heterocyclo-alkylsulfonyl pyrazole derivatives as anti-inflammatory/analgesic agents
IN Cheng, Hengmiao; Li, Jin; Lundy, Kristin Marie; Minich, Martha Lou; Sakya, Subas Man; Uchida, Chikara
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040216	A1	20010607	WO 2000-IB1748	20001124
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000016031	A	20020723	BR 2000-16031	20001124
	EP 1233959	A1	20020828	EP 2000-974741	20001124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003517475	T2	20030527	JP 2001-541900	20001124
	US 6531492	B1	20030311	US 2000-724446	20001128
	BG 106694	A	20030331	BG 2002-106694	20020513
	ZA 2002004285	A	20030529	ZA 2002-4285	20020529
	NO 2002002624	A	20020730	NO 2002-2624	20020603
	US 2003236258	A1	20031225	US 2003-342666	20030114
PRAI	US 1999-168701P	P	19991203		
	WO 2000-IB1748	W	20001124		
	US 2000-724446	A3	20001128		

OS MARPAT 135:33475
AB The title compds. [I; A = II-IV (wherein m = 0-2; X = CR8, N; R4 = alkyl optionally substituted by halo; R5 = H, halo, SH, etc.; R8 = H, halo, OH, etc.), etc.; R2 = H, halo, alkyl, etc.; R3 = H, halo, alkyl, etc.; R6 = (un)substituted Ph, Ph fused to (un)saturated 5-7 membered aromatic ring, etc.],
useful in the treatment or alleviation of inflammation and other inflammation associated disorders, such as osteoarthritis, rheumatoid arthritis, colon cancer and Alzheimer's disease, in mammals (preferably humans, dogs, cats and livestock), were prepared and formulated. Thus, reacting 5-hydrazino-2-(methylsulfonyl)pyridine.HCl with 3-phenyl-2-propynal in trifluoroethanol afforded the pyrazole V. Biol. data for compds. I were given.
IT **343628-60-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclo-alkylsulfonyl pyrazole derivs. as anti-inflammatory/analgesic agents)
RN 343628-60-4 CAPLUS

CN Benzamide, N-methyl-4-[1-[5-(methylsulfonyl)-2-pyridinyl]-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 31 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:338350 CAPLUS
DN 134:326537
TI Preparation of acylazole derivatives as kainic acid neurocytotoxicity inhibitors
IN Shishikura, Jun-ichi; Inami, Hiroshi; Kaku, Hidetaka; Tsutsumi, Rie; Yamashita, Hiroshi; Ohno, Kazushige
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032173	A1	20010510	WO 2000-JP7572	20001027

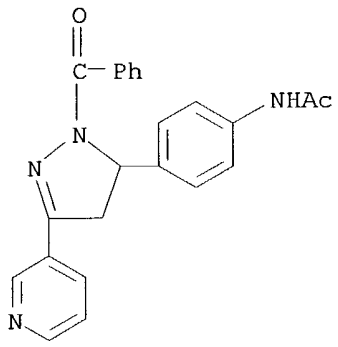
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI JP 1999-310035 A 19991029
OS MARPAT 134:326537
AB Kainic acid neurocytotoxicity inhibitors containing as the active ingredient acyl-nitrogen-containing 5-membered heterocycle derivs. or pharmaceutically acceptable salts thereof [I; A = O, S; X, Y = C, CH, N; Z = C, CH, N, O; n = 0,1; the solid line accompanied with a dotted line represents a single or double bond; R1, R3, R4 = each (un)substituted lower alkyl, alkenyl, hydrocarbyl, heterocyclyl, aryl-lower alkyl, heteroaryl-lower alkyl, aryl-lower alkenyl, or heteroaryl-lower alkenyl; R2 = H, (un)substituted lower alkyl; R5, R6 = absent, H, (un)substituted lower alkyl; or R3 and R5 or R6, or R4 and R6 are united to form an (un)substituted cycloalkane, cycloalkene, heterocycloalkane, or heterocycloalkene] are prepared Also prepared are 1-acyl-2-pyrazoline derivs. [II; A, n, R1, R2 = same as above; one of R3 and R4 = (un)substituted pyridyl or pyrazyl and the other = each (un)substituted lower alkyl, alkenyl, hydrocarbyl, heterocyclyl, aryl-lower alkyl, heteroaryl-lower alkyl, aryl-lower alkenyl, or heteroaryl-lower alkenyl; or R3 and R5 or R6 are united to form an (un)substituted cycloalkane, cycloalkene, heterocycloalkane, or heterocycloalkene and R4 = each (un)substituted lower alkyl, alkenyl, hydrocarbyl, heterocyclyl, aryl-lower alkyl, heteroaryl-lower alkyl, aryl-lower alkenyl, or heteroaryl-lower alkenyl] or pharmaceutically acceptable salts thereof. These compds. also possess noncompetitive antagonism against AMPA (2-amino-3-(3-hydroxy-5-methyl-4-isoxazolyl)propionic acid) receptor and are useful as nerve cell protectants or therapeutics for epilepsy. Thus, a mixture of chalcone, hydrazine monohydrate, and ethanol was refluxed for 1 h to give 1-benzoyl-4,5-dihydro-3,5-diphenyl-1H-pyrazole (III). III and (+)-3-(1-benzoyl-5-phenyl-4,5-dihydro-1H-pyrazol-3-yl)pyridine showed IC50 of 2.6 and 1.3 μM, resp., for inhibiting the.
IT **336795-99-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylazole derivs. as kainic acid neurocytotoxicity inhibitors, nerve cell protectants, and antiepileptics)

RN 336795-99-4 CAPLUS

CN Acetamide, N-[4-[1-benzoyl-4,5-dihydro-3-(3-pyridinyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 32 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:31473 CAPLUS
DN 134:100864
TI Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use
IN Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002369	A2	20010111	WO 2000-US18263	20000630
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000012352	A	20020514	BR 2000-12352	20000630
	EP 1218348	A2	20020703	EP 2000-943375	20000630
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003503481	T2	20030128	JP 2001-507809	20000630
	NZ 516676	A	20030926	NZ 2000-516676	20000630
	US 6531491	B1	20030311	US 2001-983786	20011025
	US 6534524	B1	20030318	US 2001-983783	20011025
	NO 2001005797	A	20020301	NO 2001-5797	20011128
	ZA 2001010061	A	20030206	ZA 2001-10061	20011206
	BG 106380	A	20020930	BG 2002-106380	20020201
PRAI	US 1999-142130P	P	19990702		
	US 2000-609335	B3	20000630		
	WO 2000-US18263	W	20000630		

OS MARPAT 134:100864
AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds., and to methods of treating cancer and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as

diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

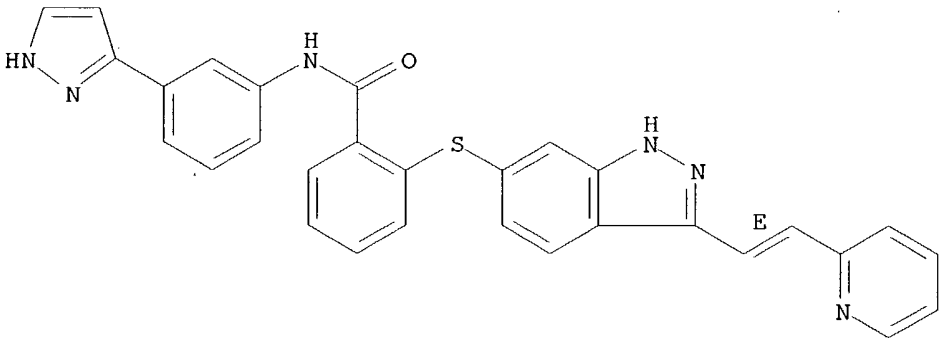
IT **319469-02-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

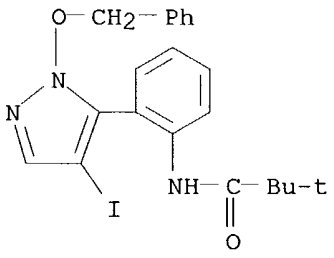
RN 319469-02-8 CAPLUS

CN Benzamide, N-[3-(1H-pyrazol-3-yl)phenyl]-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L25 ANSWER 33 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:847300 CAPLUS
DN 134:147535
TI Synthesis of 1-hydroxy-substituted pyrazolo[3,4-c]- and pyrazolo[4,3-c]quinolines and -isoquinolines from 4- and 5-aryl-Substituted 1-benzyloxypyrazoles
AU Pawlas, Jan; Vedso, Per; Jakobsen, Palle; Huusfeldt, Per Olaf; Begtrup, Mikael
CS Department of Medicinal Chemistry, The Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.
SO Journal of Organic Chemistry (2000), 65(26), 9001-9006
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:147535
AB 1-Hydroxypyrazolo[3,4-c]quinoline (I), 1-hydroxypyrazolo[4,3-c]quinoline (II), 1-hydroxypyrazolo[3,4-c]isoquinoline (III), and 1-hydroxypyrazolo[4,3-c]isoquinoline (IV) were prepared from 1-benzyloxypyrazole, establishing the pyridine B-ring in the terminal step. The pyridine ring of the 1-benzyloxy derivative of pyrazoloquinolines II and I was formed via cyclization of a formyl group at C-4 or C-5 and an amino group of a 2-aminophenyl substituent at C-5 or C-4 in 1-benzyloxypyrazole. The pyridine ring of 1-benzyloxy derivs. of pyrazoloisoquinolines III and IV was created via cyclization of a formyl group in a 2-formylphenyl substituent at C-4 or C-5 with an iminophosphorane group installed at C-5 or C-4 of 1-benzyloxypyrazole by lithiation followed by reaction with tosyl azide and then with tributylphoshine utilizing the Staudinger/aza-Wittig protocol. The 2-aminophenyl and the 2-formylphenyl substituent were introduced at C-5 or C-4 by regioselective metalation followed by transmetalation to the pyrazolylzinc halide and subsequent palladium-catalyzed cross-coupling with 2-iodoaniline or 2-bromobenzaldehyde. The order of reactions and use of protecting groups in the individual sequences have been optimized. The 1-benzyloxy-substituted pyrazoloquinolines and isoquinolines thus obtained were debenzylated by strong acid to the corresponding 1-hydroxy-substituted pyrazoloquinolines and isoquinolines I-IV.
IT **323582-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxy pyrazoloquinolines and -isoquinolines via cyclization of arylbenzyloxypyrazoles)
RN 323582-82-7 CAPLUS
CN Propanamide, N-[2-[4-iodo-1-(phenylmethoxy)-1H-pyrazol-5-yl]phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

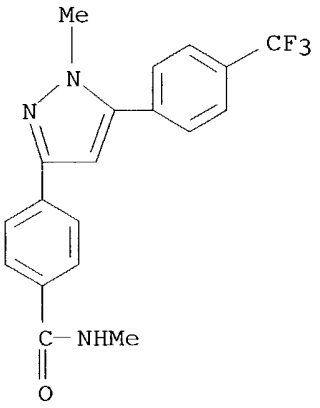


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD

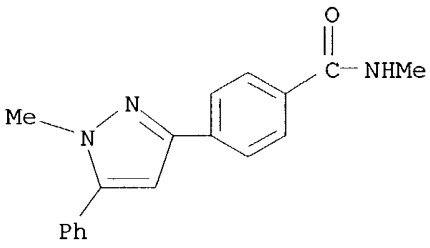
L25 ANSWER 34 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:553562 CAPLUS
DN 133:164049
TI Preparation of pyrazolylbenzamides as antianemic agents
IN Stoltefuss, Jurgen; Braunlich, Gabriele; Hinzen, Berthold; Kramer, Thomas; Pernerstorfer, Josef; Studemann, Thomas; Nielsch, Ulrich; Bechem, Martin; Lohrmann, Emanuel; Gerdes, Christoph; Sperzel, Michael; Lustig, Klemens; Mayr, Lorenz
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000046207	A1	20000810	WO 2000-EP504	20000124
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19904391	A1	20000810	DE 1999-19904391	19990204
PRAI DE 1999-19904391	A	19990204		

OS MARPAT 133:164049
AB Title compds. (I; R = R3NHCOZ)[II; R1 = H or alkyl, R2 = (hetero)aryl; R3 = (cyclo)alkyl; Z = (un)substituted 1,4-phenylene] were prepared Thus, aminoresin-bound 4-(HO2C)C6H4COMe was condensed with 4-(F3C)C6H4CO2Me and the product cyclocondensed with MeNHNH2 to give, after N-methylation and cleavage, II [R1 = R3 = Me, R2 = C6H4(CF3)-4, Z = 1,4-phenylene]. Data for biol. activity of 1 prepared I were given.
IT **287936-37-2P 287936-41-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolylbenzamides as antianemic agents)
RN 287936-37-2 CAPLUS
CN Benzamide, N-methyl-4-[1-methyl-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 287936-41-8 CAPLUS
CN Benzamide, N-methyl-4-(1-methyl-5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 35 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:260283 CAPLUS
DN 132:293757
TI Preparation of novel 4,5-dihydroisoxazole derivatives and their use as pharmaceuticals for T cell-mediated diseases
IN Freyne, Eddy Jean Edgard; Andres-Gil, Jose Ignacio; Deroose, Frederik Dirk; Petit, Davy Petrus Franciscus Maria; Matesanz-Ballesteros, Maria Encarnacion; Alvarez Escobar, Rosa Maria
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

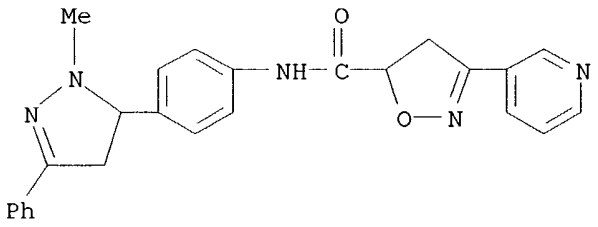
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021959	A1	20000420	WO 1999-EP7803	19991007
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2346396	AA	20000420	CA 1999-2346396	19991007
	EP 1119568	A1	20010801	EP 1999-953847	19991007
	EP 1119568	B1	20040218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002527438	T2	20020827	JP 2000-575865	19991007
	AU 763460	B2	20030724	AU 2000-10393	19991007
	AT 259803	E	20040315	AT 1999-953847	19991007
	US 6583141	B1	20030624	US 2001-807149	20010406
	US 2004019059	A1	20040129	US 2003-403543	20030331
PRAI	EP 1998-203394	A	19981009		
	WO 1999-EP7803	W	19991007		
	US 2001-807149	A3	20010406		

OS MARPAT 132:293757
AB The invention concerns title compds. I and their N-oxides, pharmaceutically acceptable addition salts, quaternary ammonium salts, and stereochem. isomeric forms [wherein m, n, p = 0 or 1; R1 = (un)substituted pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or phenyl; B = amide, ketone, or oxadiazole; D = (un)substituted aryl or heterocyclyl; Q = bond, CO, (un)substituted NH, CONH, CH2, CH(:CH2), C(:NH), SO, SO, 3-oxobutenyl, pyrazole, isoxazole, or thiazole nucleus; L = (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-6 alkyloxy, or (un)substituted C1-6 alkyl]. Also disclosed is a process for their preparation, compns. comprising them, and their medical use. The compds. show growth inhibitory activity against T cell blasts and keratinocytes in vitro. The compds. are claimed for use in the treatment of prevention of rheumatic, arthritic, and inflammatory diseases, psoriasis, T cell leukemia, transplant rejection, and graft-vs.-host disease. For instance, base-catalyzed cycloaddn. of N-hydroxy-3-pyridinecarboximidoyl chloride with Me 2-propenoate gave 98% Me 4,5-dihydro-3-(3-pyridinyl)-5-isoxazolecarboxylate, which was amidated with (4-aminophenyl)phenylmethanone to give 58% title compound II. At a concentration of 10-6 M, II gave 81% inhibition of T cell blast formation in

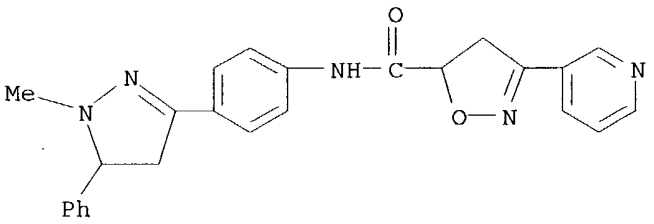
human whole blood.

IT **264605-72-3P 264605-73-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of dihydroisoxazole derivs. as antiproliferatives and immunomodulators)

RN 264605-72-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[4-(4,5-dihydro-1-methyl-3-phenyl-1H-pyrazol-5-yl)phenyl]-4,5-dihydro-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 264605-73-4 CAPLUS
CN 5-Isoxazolecarboxamide, N-[4-(4,5-dihydro-1-methyl-5-phenyl-1H-pyrazol-3-yl)phenyl]-4,5-dihydro-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

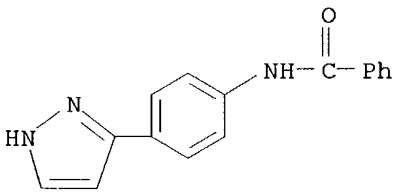


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

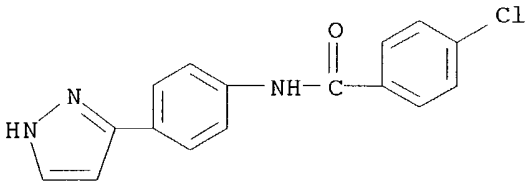
L25 ANSWER 36 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:247417 CAPLUS
DN 132:265193
TI Preparation of phenylpyrazoles and hypolipidemic agents
IN Yamada, Hiroichi; Mochizuki, Nobuo; Uchida, Seiichi; Umeda, Nobihiro
PA Nippon Soda Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000109465	A2	20000418	JP 1999-221791	19990804
PRAI JP 1998-222159		19980805		

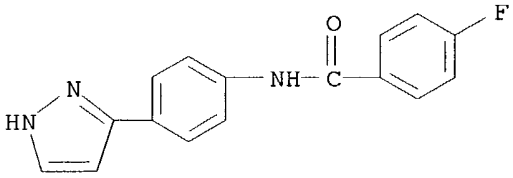
OS CASREACT 132:265193; MARPAT 132:265193
AB Title compds. I [R1 = H, C1-6 alkyl; X = CO, SO2; A = (CR3R2)p(CR4:CR5)q; B = (CR6R7)r; R2, R3, R6, R7 = H, cyano, OH, halo, C1-6 alkyl, C1-6 alkoxy etc.; R4, R5 = H, C1-6 alkyl, C1-6 haloalkyl, (un)substituted benzyl; p, r = 0-6; q = 0-1; Y = O, S, SO, SO2, CO, etc.; n = 0-1; D = (un)substituted Ph; naphthyl, tetrahydronaphthyl, indanyl; R11 = halo, C1-6 alkyl, C1-6 alkoxy; m = 0-2; R12 = H, C1-6 alkyl] or their pharmaceutically acceptable salts are prepared by dehydration of pyrazoles II (R1, R11, R12, m = same as I) with HO2CAYlBD (A, B, Y, D, n = same as I). 5-(4-Aminophenyl)pyrazole (1.59 g) was reacted with 3.09 g benzoyl chloride in the presence of NEt3 in DMF at room temperature for 20 h to give 1.31 g phenyl-N-[4-(pyrazol-5-yl)phenyl]carboxamide showing in vivo good hypolipidemic activity.
IT **263257-72-3P 263257-75-6P 263257-76-7P**
263257-77-8P 263257-78-9P 263257-79-0P
263257-80-3P 263257-81-4P 263257-82-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyrazoles by dehydration of aminophenylpyrazoles and carboxylic acids)
RN 263257-72-3 CAPLUS
CN Benzamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



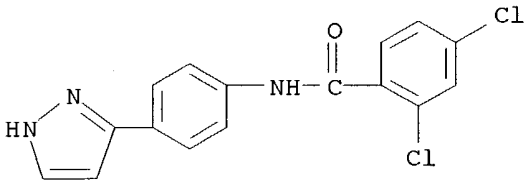
RN 263257-75-6 CAPLUS
CN Benzamide, 4-chloro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



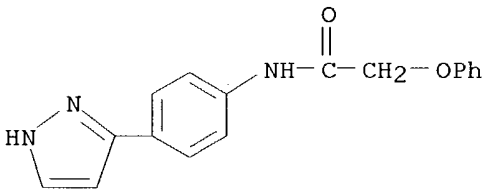
RN 263257-76-7 CAPLUS
CN Benzamide, 4-fluoro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



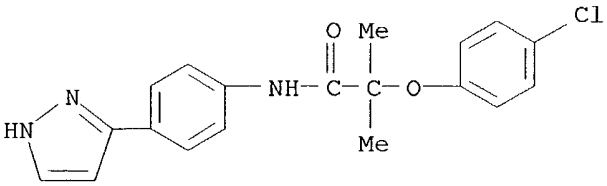
RN 263257-77-8 CAPLUS
CN Benzamide, 2,4-dichloro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



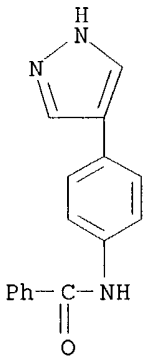
RN 263257-78-9 CAPLUS
CN Acetamide, 2-phenoxy-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



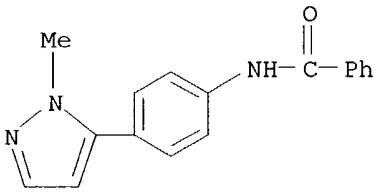
RN 263257-79-0 CAPLUS
CN Propanamide, 2-(4-chlorophenoxy)-2-methyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



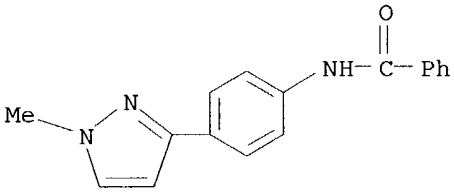
RN 263257-80-3 CAPLUS
CN Benzamide, N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 263257-81-4 CAPLUS
CN Benzamide, N-[4-(1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 263257-82-5 CAPLUS
CN Benzamide, N-[4-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 37 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:98525 CAPLUS
DN 132:137396
TI Phenylazole compounds, process for producing the same and drugs for hyperlipemia
IN Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
PA Nippon Soda Co., Ltd., Japan
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000006550	A1	20000210	WO 1999-JP4070	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339123	AA	20000210	CA 1999-2339123	19990729
AU 9949297	A1	20000221	AU 1999-49297	19990729
AU 753360	B2	20021017		
EP 1101759	A1	20010523	EP 1999-933152	19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1131217	B	20031217	CN 1999-809019	19990729
JP 2000290280	A2	20001017	JP 1999-216581	19990730
JP 2000281656	A2	20001010	JP 1999-221789	19990804
JP 2000281658	A2	20001010	JP 1999-221790	19990804
US 6342516	B1	20020129	US 2001-744786	20010126
PRAI JP 1998-218316	A	19980731		
JP 1998-222157	A	19980805		
JP 1999-16846	A	19990126		
JP 1999-19670	A	19990128		
JP 1999-24318	A	19990201		
WO 1999-JP4070	W	19990729		

OS MARPAT 132:137396
AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2, CO, OCH2, C1-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepared Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et3N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-

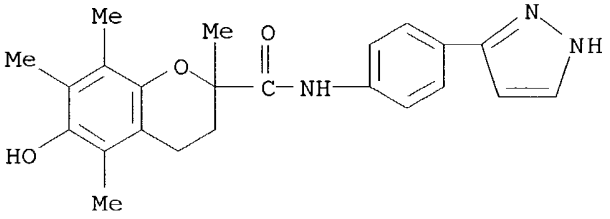
pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

IT **256660-56-7P 256660-90-9P 256660-94-3P 256660-96-5P 256660-98-7P 256661-19-5P 256661-45-7P 256661-55-9P 256661-65-1P 256661-66-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

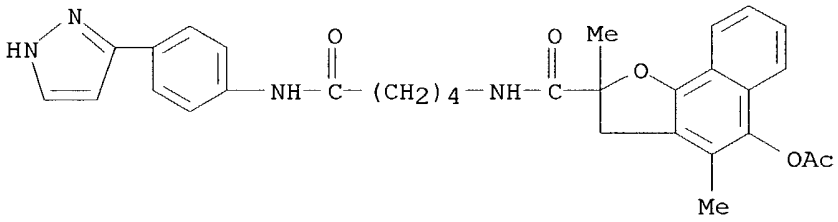
RN 256660-56-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



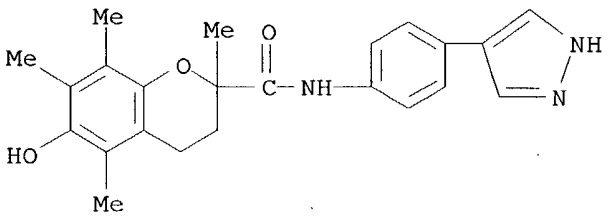
RN 256660-90-9 CAPLUS

CN Naphtho[1,2-b]furan-2-carboxamide, 5-(acetyloxy)-2,3-dihydro-2,4-dimethyl-N-[5-oxo-5-[[4-(1H-pyrazol-3-yl)phenyl]amino]pentyl]- (9CI) (CA INDEX NAME)

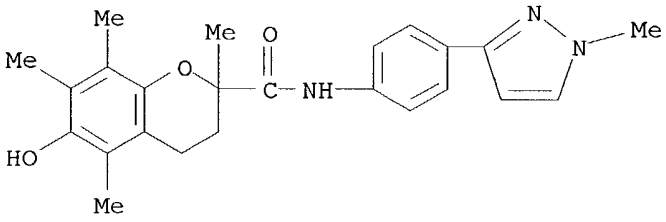


RN 256660-94-3 CAPLUS

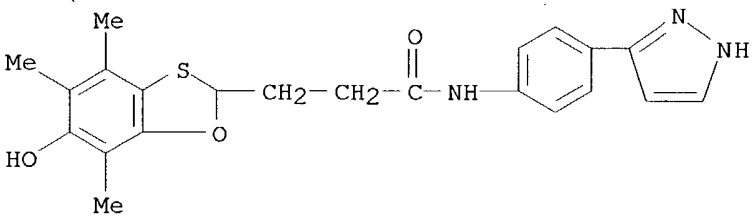
CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



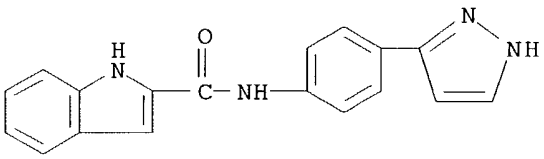
RN 256660-96-5 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



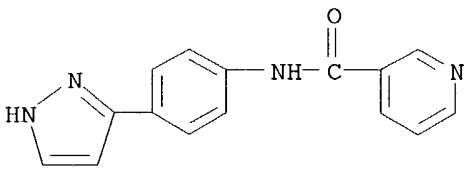
RN 256660-98-7 CAPLUS
CN 1,3-Benzoxathiole-2-propanamide, 6-hydroxy-4,5,7-trimethyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 256661-19-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

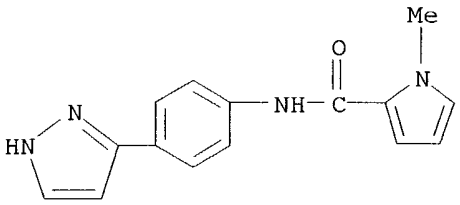


RN 256661-45-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

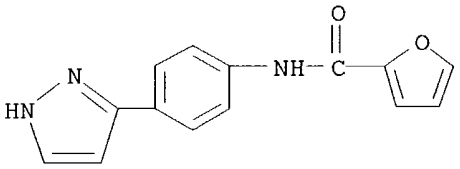


RN 256661-55-9 CAPLUS
CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI)

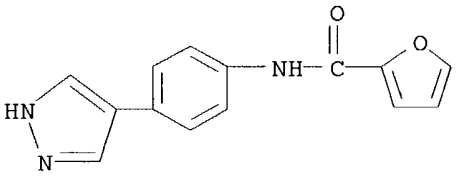
(CA INDEX NAME)



RN 256661-65-1 CAPLUS
CN 2-Furancarboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



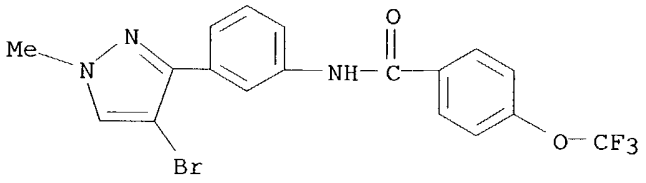
RN 256661-66-2 CAPLUS
CN 2-Furancarboxamide, N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



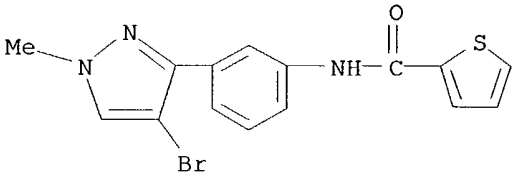
L25 ANSWER 38 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:672842 CAPLUS
DN 131:317743
TI Drug screening with non-endogenous, constitutively activated human serotonin receptors and small molecule modulators thereof
IN Behan, Dominic P.; Chalmers, Derek T.; Foster, Richard J.; Glen, Robert C.; Lawless, Michael S.; Liaw, Chen W.; Liu, Qian; Russo, Joseph F.; Smith, Julian R.; Thomsen, William J.
PA Arena Pharmaceuticals, Inc., USA; Tripos, Inc.
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 16

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9952927	A1	19991021	WO 1999-US8168	19990414
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2325559	AA	19991021	CA 1999-2325559	19990414
	AU 9937466	A1	19991101	AU 1999-37466	19990414
	AU 764766	B2	20030828		
	US 6107324	A	20000822	US 1999-292071	19990414
	US 6140509	A	20001031	US 1999-292069	19990414
	EP 1071701	A1	20010131	EP 1999-919835	19990414
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2003514763	T2	20030422	JP 2000-543483	19990414
PRAI	US 1998-60188	A	19980414		
	US 1998-90783P	P	19980626		
	US 1998-112909P	P	19981218		
	US 1999-123000P	P	19990305		
	WO 1999-US8168	W	19990414		

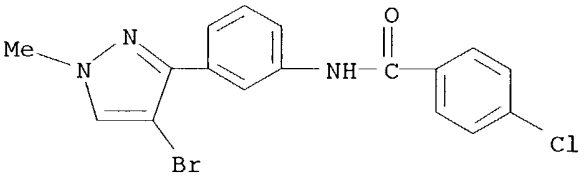
OS MARPAT 131:317743
AB Disclosed herein are non-endogenous, constitutively activated forms of the human 5-HT2A and human 5-HT2C receptors and uses of such receptors to screen candidate compds. Further disclosed herein are candidate compds. identified by the screening method which act at the 5HT2A receptors. Yet further disclosed is a new class of compds. which act at the 5HT2A receptors.
IT **247037-94-1P 247037-95-2P 247037-97-4P**
247037-98-5P 247037-99-6P 247038-00-2P
247038-01-3P 247038-02-4P 247038-03-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug screening with non-endogenous, constitutively activated human serotonin receptors and small mol. modulators thereof)
RN 247037-94-1 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



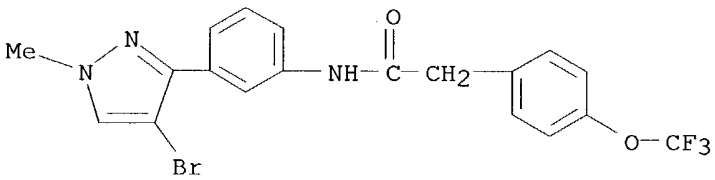
RN 247037-95-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



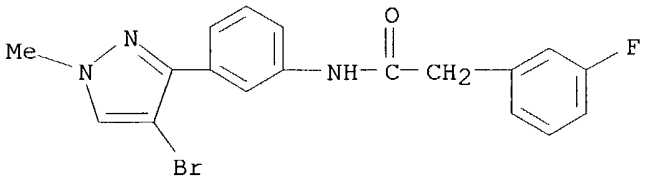
RN 247037-97-4 CAPLUS
CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)



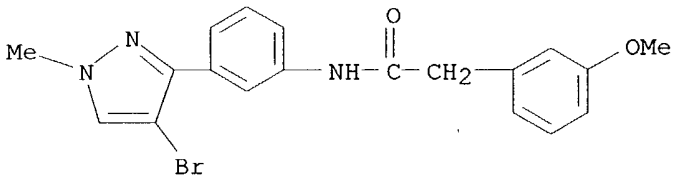
RN 247037-98-5 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4- (trifluoromethoxy)- (9CI) (CA INDEX NAME)



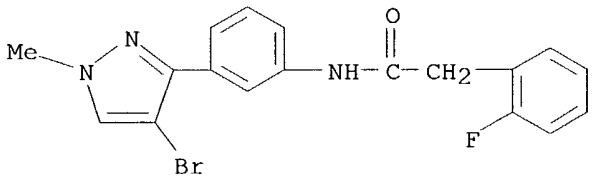
RN 247037-99-6 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-fluoro- (9CI) (CA INDEX NAME)



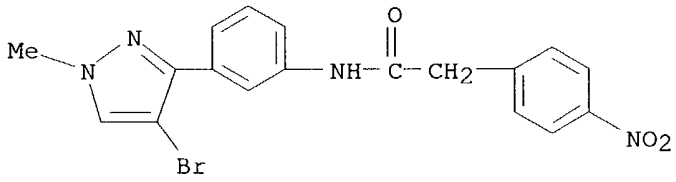
RN 247038-00-2 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



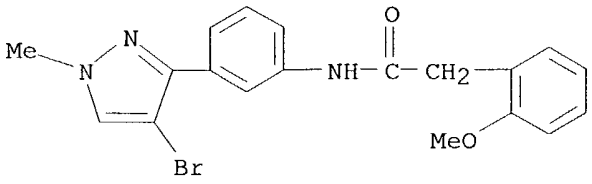
RN 247038-01-3 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 247038-02-4 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-nitro- (9CI) (CA INDEX NAME)



RN 247038-03-5 CAPLUS
CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 39 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:271338 CAPLUS
DN 130:311815
TI Preparation of pyrazole derivatives as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 (IL-2) production
IN Kubota, Hirokazu; Yonetoku, Yasuhiro; Sugasawa, Keizou; Funatsu, Masashi; Kawazoe, Souichirou; Toyoshima, Akira; Okamoto, Yoshinori; Ishikawa, Jun; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

Appl. PCT

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9919303	A1	19990422	WO 1998-JP4583	19981012
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9887139	A1	19990429	AU 1998-87139	19980929
	AU 751139	B2	20020808		
	BR 9803883	A	20000516	BR 1998-3883	19981006
	RU 2185381	C2	20020720	RU 1998-118557	19981009
	CA 2304979	AA	19990422	CA 1998-2304979	19981012
	AU 9894593	A1	19990503	AU 1998-94593	19981012
	EP 1024138	A1	20000802	EP 1998-947818	19981012
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	MX 9808433	A	20000930	MX 1998-8433	19981012
	TW 495498	B	20020721	TW 1998-87116918	19981012
	CN 1218046	A	19990602	CN 1998-121354	19981013
	CN 1107671	B	20030507		
	JP 11240832	A2	19990907	JP 1998-290734	19981013
	US 6348480	B1	20020219	US 2000-529131	20000407
	NO 2000001907	A	20000609	NO 2000-1907	20000412
	US 2001011090	A1	20010802	US 2001-773736	20010202
PRAI	JP 1997-279093	A	19971013		
	WO 1998-JP4583	W	19981012		
	US 2000-529131	A3	20000407		

OS MARPAT 130:311815
AB Pyrazole derivs. represented by general formula [I; ring D = pyrazolyl optionally substituted by 1-3 substituents selected from alkyl, lower alkenyl, lower alkynyl, lower haloalkyl, cycloalkylalkyl, alkoxyalkyl, cycloalkyl, alkoxy, CO2H, alkoxycarbonyl, and halo; ring B = phenylene, a nitrogen-containing, divalent, saturated ring group, or an optionally alkylated, monocyclic, divalent heteroarom. ring group; X = -NR1-CR2R3-, -CR2R3-NR1-, -NR1-SO2-, -SO2-NR1- or -CR4:CR5-; wherein R1 = H, OH, alkyl, alkoxy, alkylcarbonyl; R2, R3 = H or alkyl or R2R3 = O or S; R4, R5 = H, halo, lower haloalkyl; A = (1) Ph optionally having one or more substituents, (2) mono-, di- or tricyclic fused heteroaryl optionally having one or more substituents, (3) cycloalkyl optionally having one or more substituents, (4) a nitrogen-containing, saturated ring group optionally having one or more

substituents, (5) lower alkenyl optionally having one or more substituents, (6) lower alkynyl optionally having one or more substituents, or (7) alkyl optionally having one or more substituents; or A and X are combined together to represent 1-pyrrolidinylcarbonyl, pyrazolidinylcarbonyl, piperidinocarbonyl, piperazinylcarbonyl, morpholinocarbonyl, 3,4-2H-1,4-benzoxazin-4-ylcarbonyl, or indolylcarbonyl] are prepared Also claimed are medicinal compns., in particular, calcium release-dependent calcium channel inhibitors, IL-2 production inhibitors, and therapeutics or preventives for allergies, inflammations, or autoimmune diseases, bronchial asthma, or rheumatoid arthritis for containing the above compds. I as the active ingredients. Thus, 4-methylthiazole-5-carboxylic acid was condensed with 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in 1,2-dichloroethane at room temperature overnight to give the title compound, 4'-pyrazolylthiazole-5-carboxanilide derivative (II). II in vitro showed IC50 of ≤1 μM μg/mL for inhibiting the production of IL-2 in Jurkat cells.

IT **223499-51-2P 223499-52-3P 223499-53-4P**
223499-54-5P 223499-55-6P 223499-56-7P
223499-57-8P 223499-58-9P 223499-62-5P
223499-64-7P 223499-65-8P 223499-68-1P
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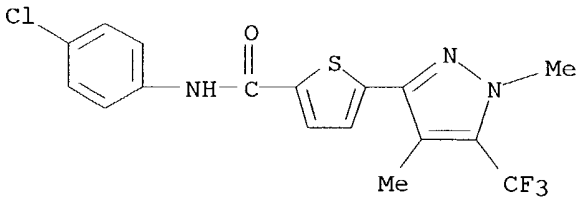
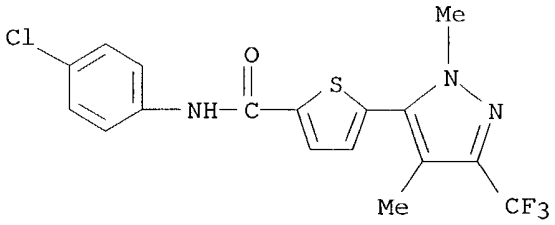
223499-92-1P 223499-93-2P 223499-95-4P
223499-96-5P 223499-98-7P 223499-99-8P
223500-00-3P 223500-01-4P 223500-02-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 production for treatment and prevention of diseases)

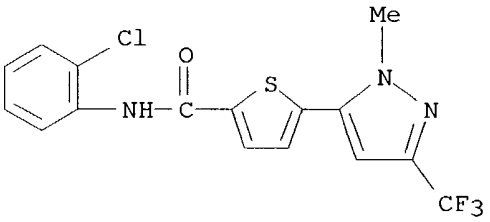
RN 223499-51-2 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1,4-dimethyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



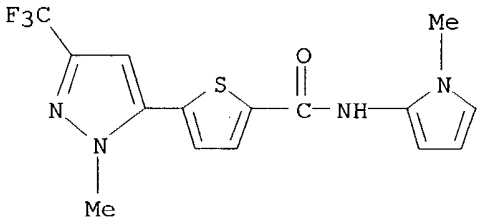
RN 223499-52-3 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1,4-dimethyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



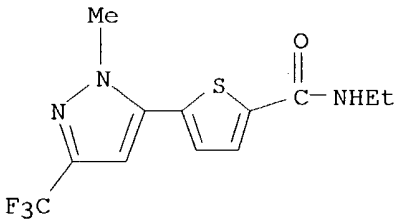
RN 223499-53-4 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-chlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 223499-54-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(1-methyl-1H-pyrrol-2-yl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

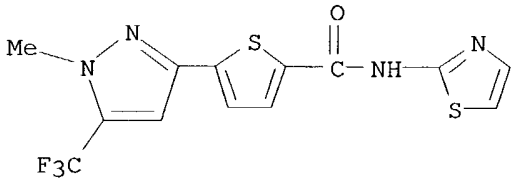


RN 223499-55-6 CAPLUS
CN 2-Thiophenecarboxamide, N-ethyl-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

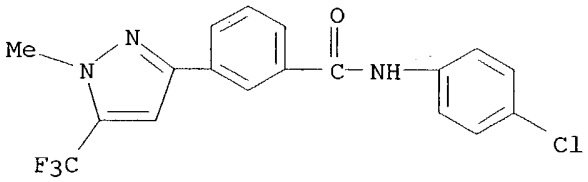


RN 223499-56-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-

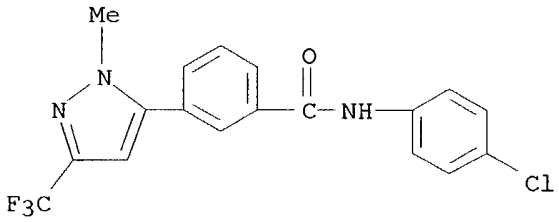
2-thiazolyl- (9CI) (CA INDEX NAME)



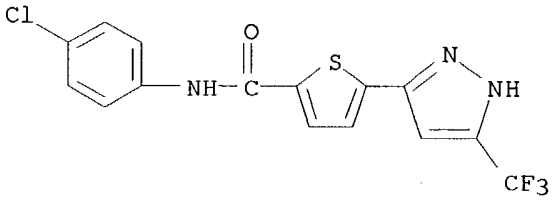
RN 223499-57-8 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-3-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



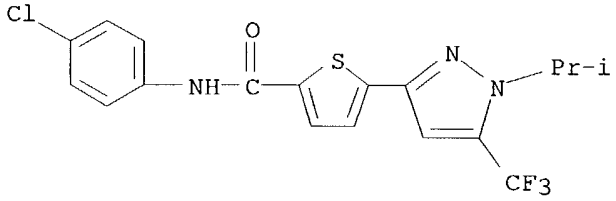
RN 223499-58-9 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-3-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



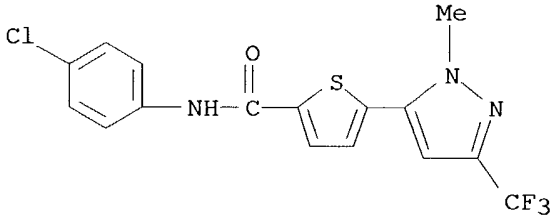
RN 223499-62-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



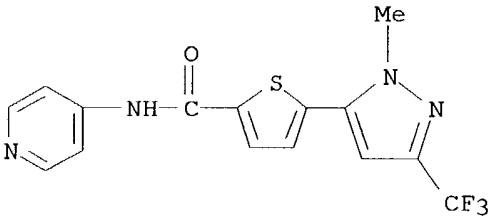
RN 223499-64-7 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-(1-methylethyl)-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 223499-65-8 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

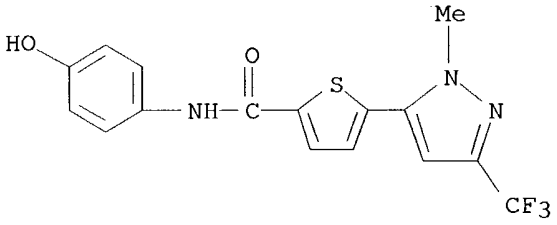


RN 223499-68-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

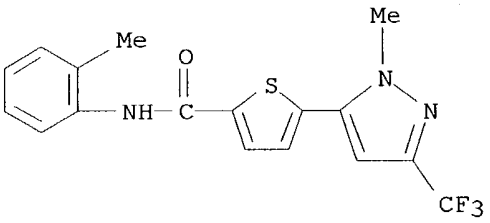


● HCl

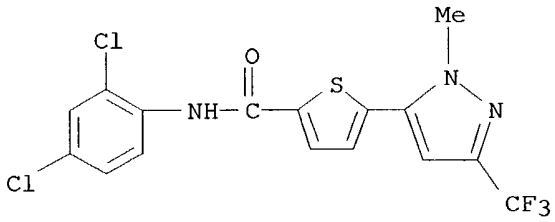
RN 223499-69-2 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-hydroxyphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



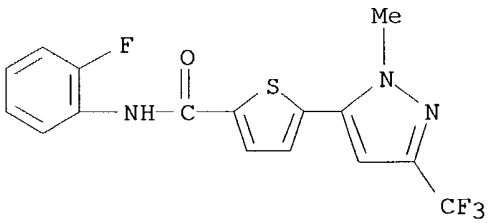
RN 223499-70-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-methylphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 223499-71-6 CAPLUS
CN 2-Thiophenecarboxamide, N-(2,4-dichlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

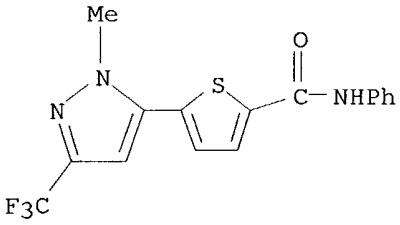


RN 223499-72-7 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-fluorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

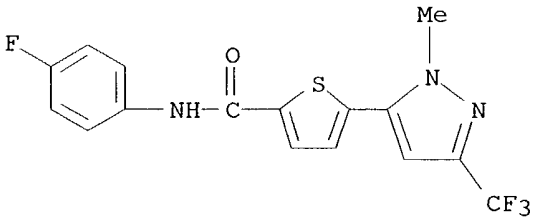


RN 223499-73-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-

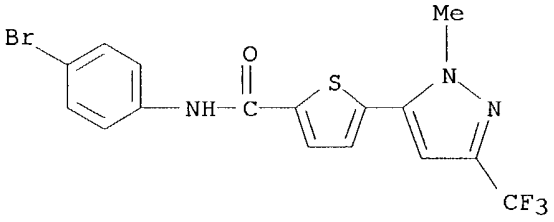
phenyl- (9CI) (CA INDEX NAME)



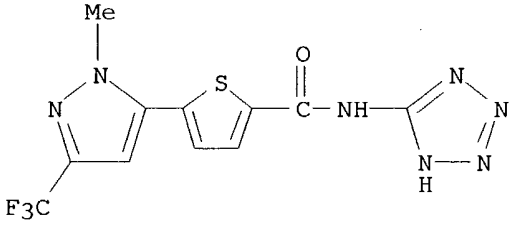
RN 223499-74-9 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-fluorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



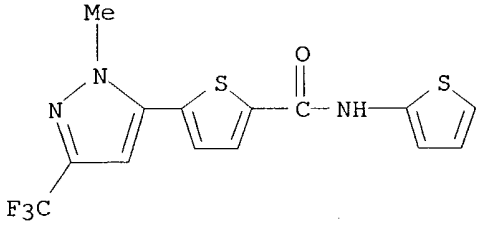
RN 223499-75-0 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-bromophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



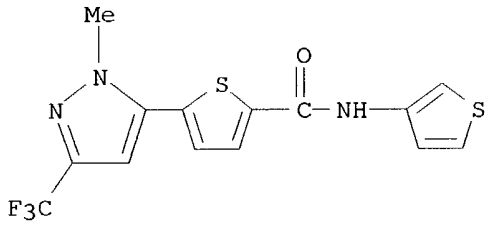
RN 223499-76-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



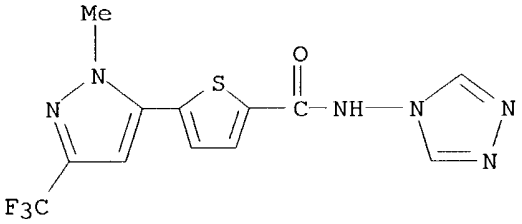
RN 223499-77-2 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-2-thienyl- (9CI) (CA INDEX NAME)



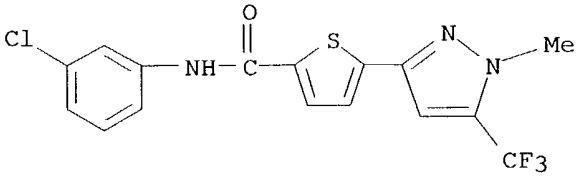
RN 223499-78-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-3-thienyl- (9CI) (CA INDEX NAME)



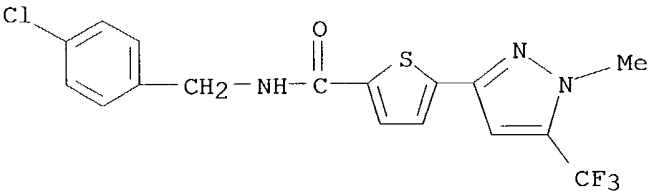
RN 223499-79-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-4H-1,2,4-triazol-4-yl- (9CI) (CA INDEX NAME)



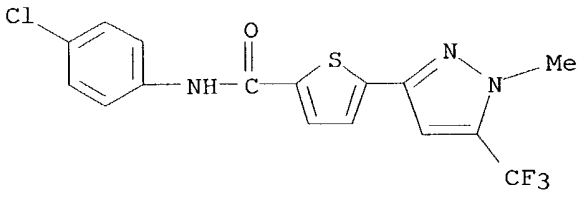
RN 223499-81-8 CAPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



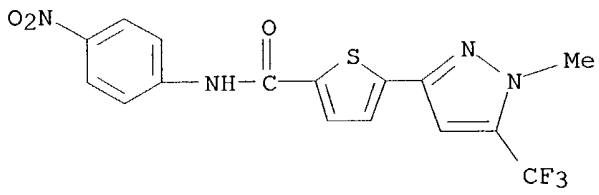
RN 223499-82-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[(4-chlorophenyl)methyl]-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



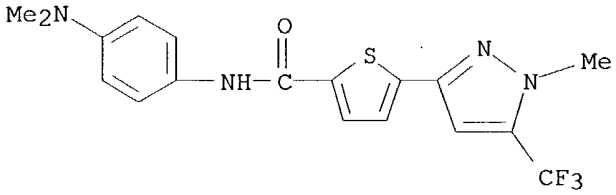
RN 223499-83-0 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RN 223499-84-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

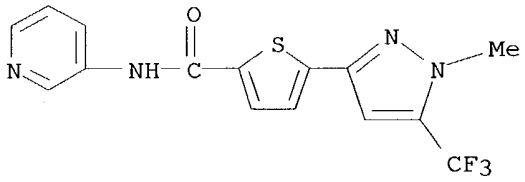


RN 223499-85-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[4-(dimethylamino)phenyl]-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

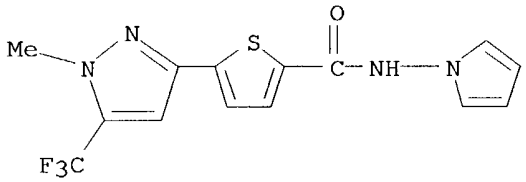


● HCl

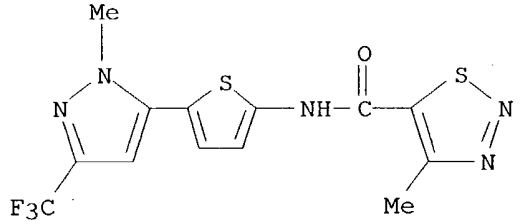
RN 223499-86-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 223499-87-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-1H-pyrrol-1-yl- (9CI) (CA INDEX NAME)

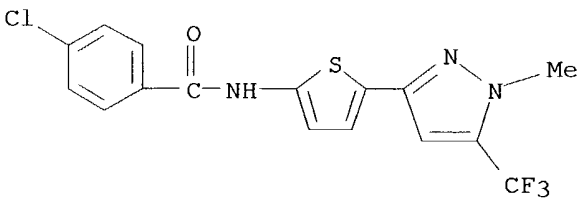


RN 223499-88-5 CAPLUS
CN 1,2,3-Thiadiazole-5-carboxamide, 4-methyl-N-[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]- (9CI) (CA INDEX NAME)

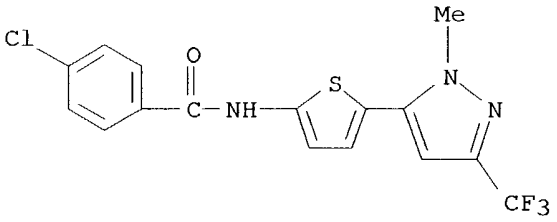


RN 223499-90-9 CAPLUS

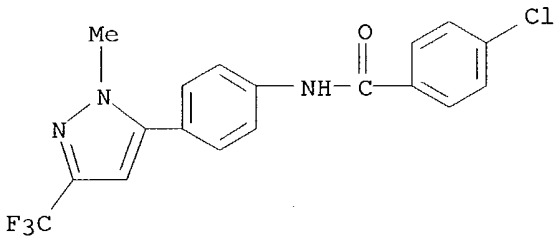
CN Benzamide, 4-chloro-N-[5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2-thienyl]- (9CI) (CA INDEX NAME)



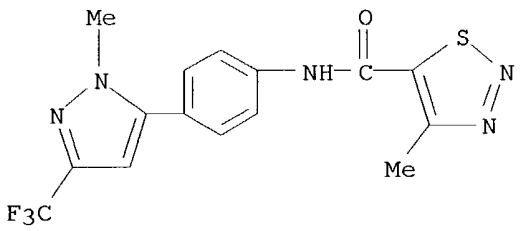
RN 223499-91-0 CAPLUS
CN Benzamide, 4-chloro-N-[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]- (9CI) (CA INDEX NAME)



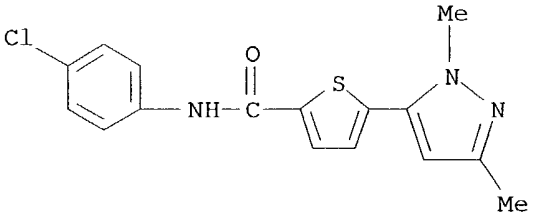
RN 223499-92-1 CAPLUS
CN Benzamide, 4-chloro-N-[4-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



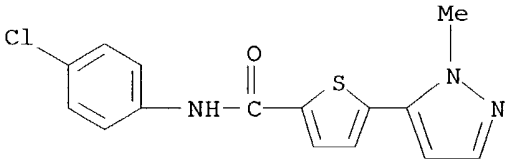
RN 223499-93-2 CAPLUS
CN 1,2,3-Thiadiazole-5-carboxamide, 4-methyl-N-[4-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



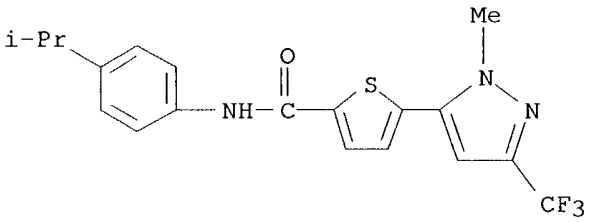
RN 223499-95-4 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-(1,3-dimethyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



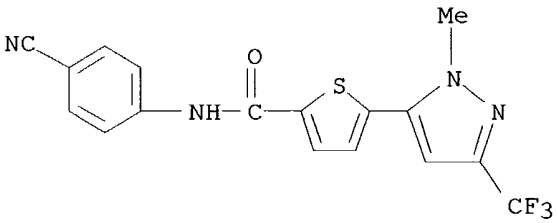
RN 223499-96-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-(1-methyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



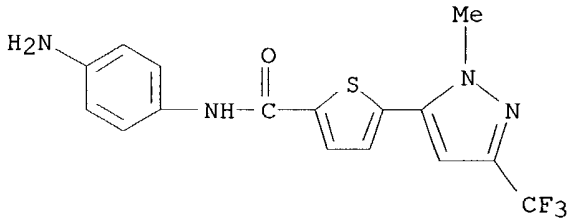
RN 223499-98-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[4-(1-methylethyl)phenyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



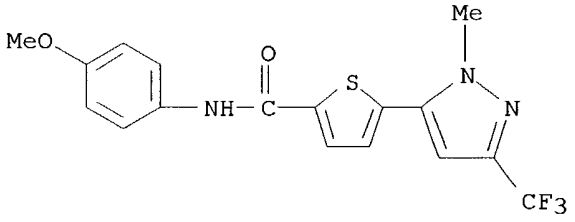
RN 223499-99-8 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-cyanophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



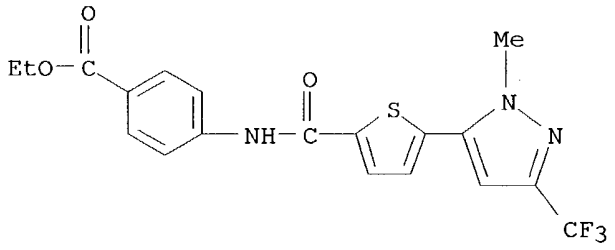
RN 223500-00-3 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-aminophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



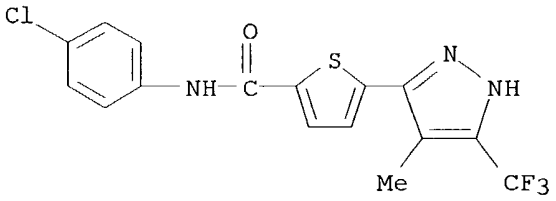
RN 223500-01-4 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-methoxyphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 223500-02-5 CAPLUS
CN Benzoic acid, 4-[[[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT **223500-11-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 production for treatment and prevention of diseases)
RN 223500-11-6 CAPLUS
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[4-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 40 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:745030 CAPLUS
DN 130:13915
TI Indole derivatives having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity
IN Gaster, Laramie Mary; Rami, Harshad Kantilal; Wyman, Paul Adrian
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

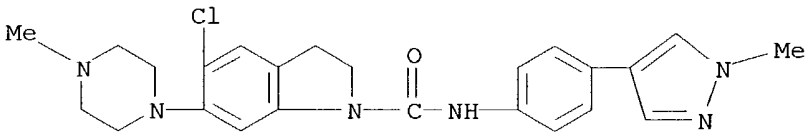
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850358	A1	19981112	WO 1998-EP2262	19980414
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9874310	A1	19981127	AU 1998-74310	19980414
	AU 732863	B2	20010503		
	EP 975593	A1	20000202	EP 1998-921462	19980414
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	TR 9902590	T2	20000621	TR 1999-9902590	19980414
	JP 2001524116	T2	20011127	JP 1998-547660	19980414
	BR 9809092	A	20020122	BR 1998-9092	19980414
	ZA 9803242	A	19991018	ZA 1998-3242	19980417
	TW 509687	B	20021111	TW 1998-87105843	19980417
	NO 9905065	A	19991015	NO 1999-5065	19991015
	MX 9909583	A	20000331	MX 1999-9583	19991018
PRAI	GB 1997-7829	A	19970418		
	GB 1998-1882	A	19980129		
	WO 1998-EP2262	W	19980414		

OS MARPAT 130:13915
AB The title compds. I [Ra is a group of formula Q, in which P1 is Ph, bicyclic aryl, a 5- to 7-membered heterocyclic ring containing 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur, or a bicyclic heterocyclic ring containing 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur; R1 = H, halo, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, hydroxy, hydroxyC1-6alkyl, hydroxyC1-6alkoxy, C1-6alkoxyC1-6alkoxy, C1-6alkanoyl, nitro, trifluoromethyl, cyano, SR9, SOR9, SO2R9, SO2NR10R11, CO2R10, CONR10R11, CO2NR10R11, CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cNR10COR11, (CH2)cCO2C1-6alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11, CR10:NOR11, NR10COOR11, CNR10:NOR11, where R10 and R11 are independently hydrogen or C1-6alkyl and c is 1 to 4; R2 = H, halo, C1-6alkyl, C3-6cycloalkyl, C3-6cycloalkenyl, C1-6alkoxy, acyl, aryl, acyloxy, hydroxy, nitro, trifluoromethyl, cyano, CO2R10, CONR10R11, NR10R11 where R10 and R11 are as defined for R1; a is 1, 2 or 3; or Ra is a group containing bridged rings; Y = NH, alkylamino, CH2, O; V = O, S; D = N, C, CH; W = (CR16R17)t where t = 2-4 and R16 and R17 = H, alkyl, etc.; Rb = H, halo, OH, etc.; Rc = H, alkyl] were prepared and their 5HT1A,, 5HT1B, and 5HT1D receptor binding determined E.g., 5-methoxy-6-(4-methylpiperazin-1-yl)indole

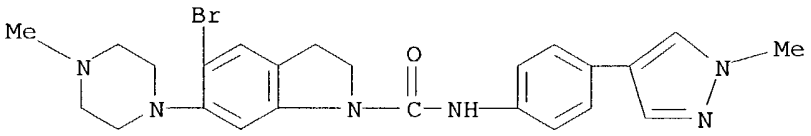
was treated with KOCMe3, then with 4-bromo-3-methylphenyl isocyanate to give 1-[(4-bromo-3-methylphenyl)aminocarbonyl]-5-methoxy-6-(4-methylpiperazin-1-yl)indole.

IT **216059-23-3P 216059-24-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity)

RN 216059-23-3 CAPLUS
CN 1H-Indole-1-carboxamide, 5-chloro-2,3-dihydro-6-(4-methyl-1-piperazinyl)-N-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 216059-24-4 CAPLUS
CN 1H-Indole-1-carboxamide, 5-bromo-2,3-dihydro-6-(4-methyl-1-piperazinyl)-N-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

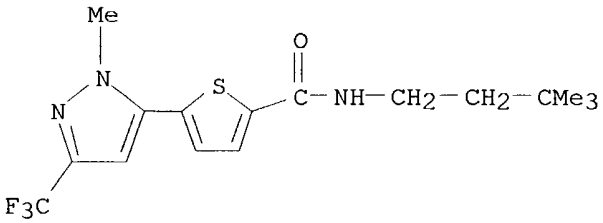


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 41 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:604906 CAPLUS
DN 129:216422
TI Preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as class III antiarrhythmic agents
IN Lloyd, John; Rovnyak, George C.; Stein, Philip D.; Ahmad, Saleem; Atwal, Karnail S.; Caulfield, Thomas J.; Poss, Michael A.
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

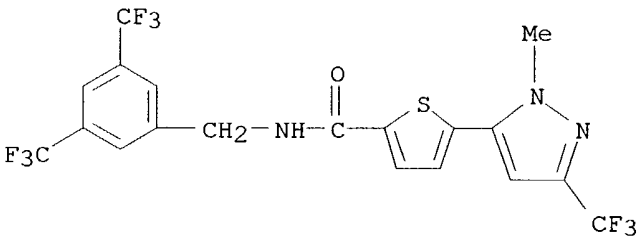
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837068	A1	19980827	WO 1998-US2364	19980206
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9863209	A1	19980909	AU 1998-63209	19980206
	US 2002137968	A1	20020926	US 2001-973826	20011010
	US 6624309	B1	20030923	US 2002-254398	20020925
PRAI	US 1997-38811P	P	19970221		
	US 1998-8825	B1	19980120		
	WO 1998-US2364	W	19980206		
	US 1999-468648	A1	19991221		
	US 2001-973826	B1	20011010		

OS MARPAT 129:216422
AB R2ZC(:X)NHR1 [R1 = (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 = heterocyclyl, aryl; X = O, S, (alkyl)imino, NCN, etc.; Z = bond, C:C (sic), NH] were prepared as class III antiarrhythmic agents (no data). Thus, 2,2-dimethylcyclopentanone was treated with 4-MeC6H4SO2CH2NC and the reduced product amidated by 4-(BuCH2CH2O)C6H4COCl to give 4-(BuCH2CH2O)C6H4CONHR1 (R1 = 2,2-dimethylcyclopentylmethyl).
IT **212379-92-5P 212380-07-9P 212381-41-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as class III antiarrhythmic agents)
RN 212379-92-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(3,3-dimethylbutyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

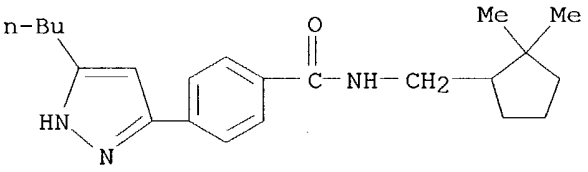


Previous.

RN 212380-07-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 212381-41-4 CAPLUS
CN Benzamide, 4-(5-butyl-1H-pyrazol-3-yl)-N-[(2,2-dimethylcyclopentyl)methyl]- (9CI) (CA INDEX NAME)

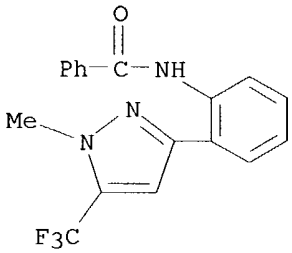


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

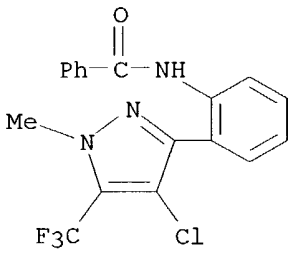
L25 ANSWER 42 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:603272 CAPLUS
DN 129:230732
TI Preparation of N-(2-heterocyclylphenyl)amides as herbicides
IN Andree, Roland; Drewes, Mark Wilhelm; Findeisen, Kurt; Kluth, Joachim; Linker, Karl-Heinz; Mueller, Klaus-Helmut; Schallner, Otto; Dollinger, Markus
PA Bayer A.-G., Germany
SO Ger. Offen., 70 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19708928	A1	19980910	DE 1997-19708928	19970305
	WO 9839304	A1	19980911	WO 1998-EP972	19980220
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9866226	A1	19980922	AU 1998-66226	19980220
	AU 731129	B2	20010322		
	EP 973752	A1	20000126	EP 1998-908103	19980220
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	BR 9808200	A	20000516	BR 1998-8200	19980220
	JP 2001513785	T2	20010904	JP 1998-538103	19980220
	MX 9908144	A	20000131	MX 1999-8144	19990903
	US 6602826	B1	20030805	US 1999-367476	19990920
	US 6686318	B1	20040203	US 2003-420203	20030422
PRAI	DE 1997-19708928	A	19970305		
	WO 1998-EP972	W	19980220		
	US 1999-367476	A3	19990920		

OS MARPAT 129:230732
AB RZNR1R2 [I; R = heterocyclyl; R1 = H, OH, alkyl, (di)(alkyl)amino, acyl, etc.; R2 = alkanoyl, aroyl, alkoxycarbonyl, alkylsulfonyl, etc.; Z = (un)substituted 1,2-phenylene] were prepared Thus, 5,2-Cl(O2N)C6H3NH2 was treated successively with CLCO2CCl3 and EtOH and the product cyclocondensed with F3CC(NH2):CHCO2Et to give phenylpyrimidinedione II (R3 = NO2) which was converted in 2 steps to II (R3 = NHCOCMe3). Data for biol. activity of I were given.
IT **212903-85-0P 212903-87-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-heterocyclylphenyl)amides as herbicides)
RN 212903-85-0 CAPLUS
CN Benzamide, N-[2-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

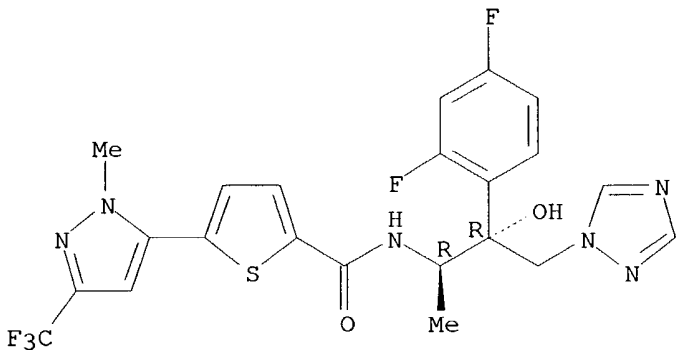


RN 212903-87-2 CAPLUS
CN Benzamide, N-[2-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 43 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:269994 CAPLUS
DN 128:278647
TI New Azole Antifungals. 2. Synthesis and Antifungal Activity of Heterocyclecarboxamide Derivatives of 3-Amino-2-aryl-1-azolyl-2-butanol
AU Bartroli, Javier; Turmo, Enric; Alguero, Monica; Boncompte, Eulalia; Vericat, Maria L.; Conte, Lourdes; Ramis, Joaquim; Merlos, Manuel; Garcia-Rafanell, Julian; Forn, Javier
CS Research Center, J. Uriach Cia. S.A., Barcelona, 08026, Spain
SO Journal of Medicinal Chemistry (1998), 41(11), 1855-1868
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of 92 azole antifungals containing an amido alc. unit was synthesized. The nature and substitution of the amide portion was systematically modified in search of improved antifungal activity, especially against filamentous fungi. The compds. were tested in vitro against a variety of clin. important pathogens and in vivo (po) in a murine candidosis model. Thiazole and thiophene carboxamides carrying both a substituted Ph ring and a small alkyl group were best suited for activity against filamentous fungi. In a subset of these compds., the amide portion was conformationally locked by means of a pyrimidone ring and it was proven that only an orthogonal orientation of the Ph ring yields bioactive products. A tendency to display long plasma elimination half-lives was observed in both series. Two compds., I and 107, representative of the open and cyclic amides, resp., were chosen for further studies. Both candidates showed excellent activity in in vivo murine models of candidosis and aspergillosis, but their long elimination rates and high toxicities were still unsatisfactory. This work describes the SARs found within this series. The next paper displays the results obtained in a related series of compds., the quinazolinones.
IT **187997-93-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antifungal activity of heterocyclecarboxamide derivs. of 3-amino-2-aryl-1-azolyl-2-butanol)
RN 187997-93-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L25 ANSWER 44 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:752936 CAPLUS
DN 128:34690
TI Preparation of N-(acylaminobutyl)tetrahydroisoquinoline derivatives as modulators of dopamine D3 receptors.
IN Stemp, Geoffrey; Johns, Amanda
PA Smithkline Beecham P.L.C., UK; Stemp, Geoffrey; Johns, Amanda
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

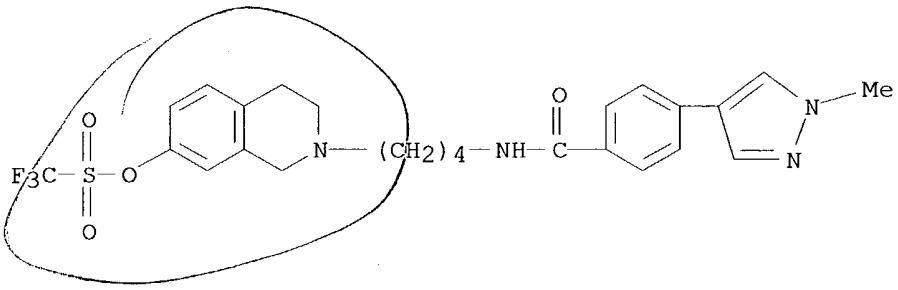
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743262	A1	19971120	WO 1997-EP2434	19970506
	W: AL, AM, AT, AU, AZ, BA, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9728974	A1	19971205	AU 1997-28974	19970506
	EP 917530	A1	19990526	EP 1997-923065	19970506
	EP 917530	B1	20030219		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CN 1224418	A	19990728	CN 1997-196136	19970506
	BR 9709220	A	19990810	BR 1997-9220	19970506
	TR 9802284	T2	20000522	TR 1998-9802284	19970506
	NZ 332477	A	20000728	NZ 1997-332477	19970506
	JP 2000510137	T2	20000808	JP 1997-540515	19970506
	AT 232850	E	20030315	AT 1997-923065	19970506
	ES 2191838	T3	20030916	ES 1997-923065	19970506
	ZA 9704026	A	19981125	ZA 1997-4026	19970509
	US 6046210	A	20000404	US 1998-180156	19981103
	NO 9805242	A	19981209	NO 1998-5242	19981110
	KR 2000010905	A	20000225	KR 1998-709052	19981110
PRAI	GB 1996-9888	A	19960511		
	GB 1996-17189	A	19960816		
	GB 1997-4490	A	19970305		
	WO 1997-EP2434	W	19970506		

OS MARPAT 128:34690
AB Title compds. [I; R1 = H, halo, OH, cyano, NO2, CF3, OCF3, F3CSO2O, alkyl, alkoxy, aralkoxy, alkylthio, alkoxyalkyl, cycloalkylalkoxy, alkanoyl, alkoxycarbonyl, alkylsulfonyl, alkylsulfonyloxy, alkylsulfonylalkyl, arylsulfonyl, arylsulfonyloxy, arysulfonylalkyl, alkylsulfonamido, alkylamido, alkylsulfonamidoalkyl, alkylamidoalkyl, arylsulfonamido, arylcarboxamido, arylsulfonamidoalkyl, arylcarboxamidoalkyl, aroyl, aroylalkyl, arylalkanoyl, etc.; R2 = H, alkyl; q = 1, 2; Ar, Ar1 = (substituted) Ph, 5-6 membered aromatic heterocyclyl; Y = bond, NHCO, CONH, CH2, (CH2)mY1(CH2)n; Y1 = O, S, SO2, CO; m, n = 0, 1; m+n = 0, 1], were prepared as, e.g., antipsychotics (no data). Thus, sodium triacetoxyborohydride, 4-(4-phenylbenzoylamino)butyraldehyde, and 7-methoxy-1,2,3,4-tetrahydroisoquinoline were stirred 16 h in 1,2-dichloroethane to give 83% 7-methoxy-N-[4-(4-phenylbenzoylamino)butyl]-1,2,3,4-tetrahydroisoquinoline.

IT **199677-02-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(acylaminobutyl)tetrahydroisoquinoline derivs. as modulators of dopamine D3 receptors)

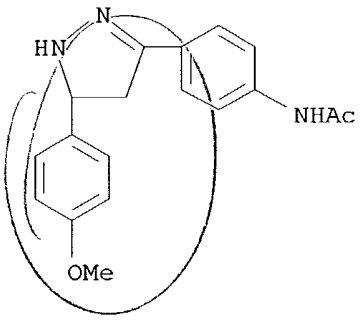
RN 199677-02-6 CAPLUS

CN Methanesulfonic acid, trifluoro-, 1,2,3,4-tetrahydro-2-[4-[[4-(1-methyl-1H-pyrazol-4-yl)benzoyl]amino]butyl]-7-isoquinolinyl ester (9CI) (CA INDEX NAME)

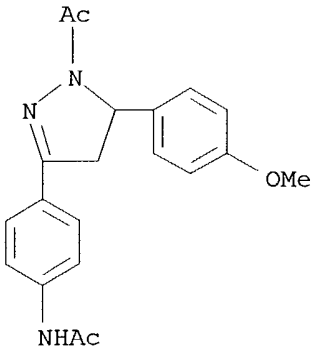


L25 ANSWER 45 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:698412 CAPLUS
DN 127:332777
TI Synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates
AU Sayed, A. Z.; Eman, H. A.; Selim, M. R.
CS Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt
SO Pakistan Journal of Scientific and Industrial Research (1996), 39(1-4), 14-17
CODEN: PSIRAA; ISSN: 0030-9885
PB Pakistan Council of Scientific and Industrial Research
DT Journal
LA English
AB New aminopyrazoline derivs. (I), where R = H, COCH3; R' = H, Ph, SO2R", R" = Ph, 4-tolyl, 2,5-(NHCOCH3)(CH3)C6H3 has been obtained by the reaction of new benzalacetophenone derivs. with hydrazine hydrate and their derivs. Further reactions were carried out to prepare other pyrazolines. The structural determination of the prepared compds. has been confirmed using elemental anal., chemical reactions and spectral data.

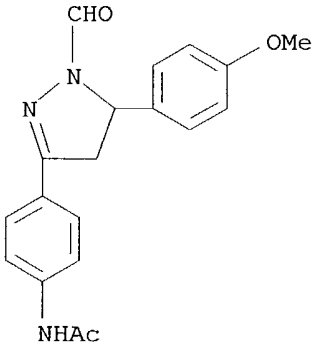
IT **85791-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates)
RN 85791-58-8 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



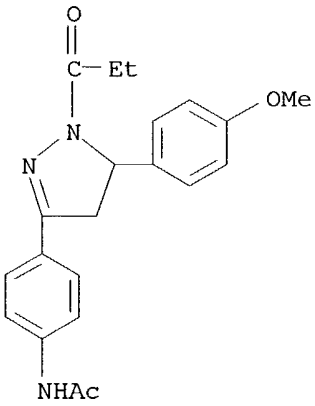
IT **85791-61-3P 188291-90-9P 188291-91-0P 188291-92-1P 188291-93-2P 188291-94-3P 188291-95-4P 188291-96-5P 188291-97-6P 197960-91-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates)
RN 85791-61-3 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



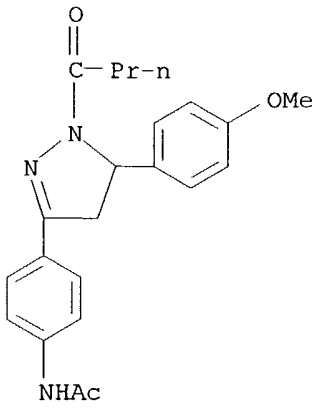
RN 188291-90-9 CAPLUS
CN Acetamide, N-[4-[1-formyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



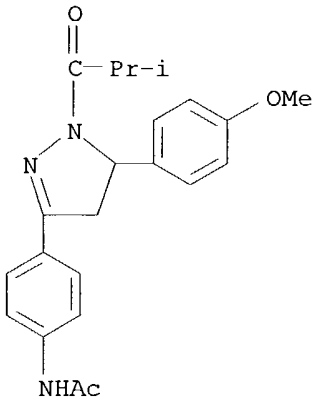
RN 188291-91-0 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



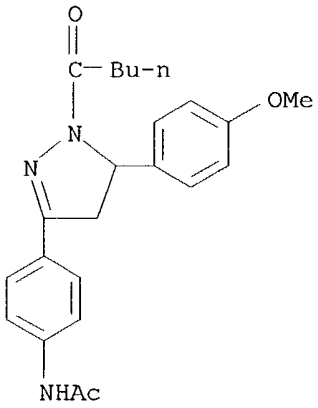
RN 188291-92-1 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxobutyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



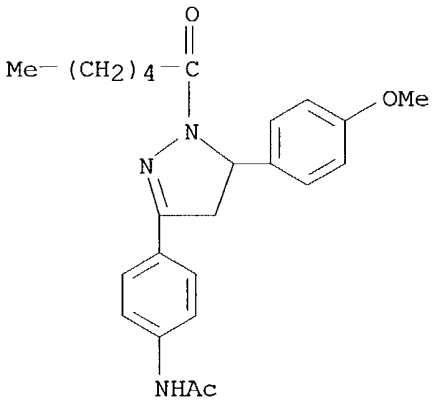
RN 188291-93-2 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(2-methyl-1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



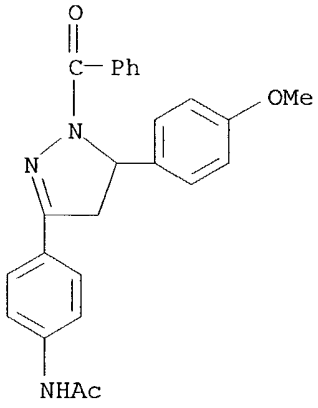
RN 188291-94-3 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopentyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



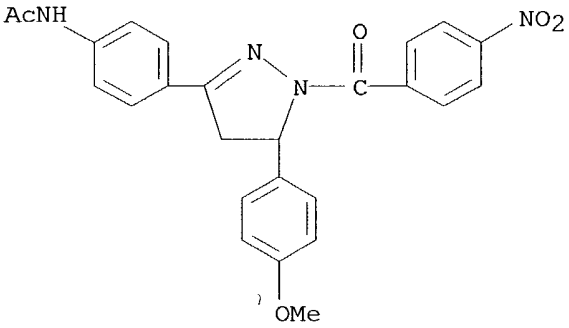
RN 188291-95-4 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxohexyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



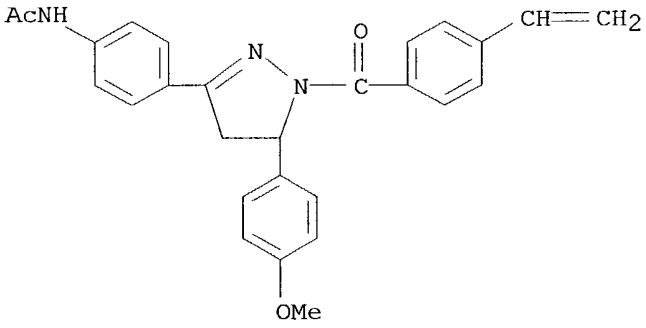
RN 188291-96-5 CAPLUS
CN Acetamide, N-[4-[1-benzoyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



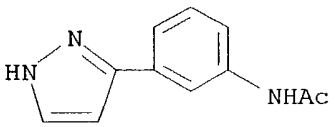
RN 188291-97-6 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(4-nitrobenzoyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



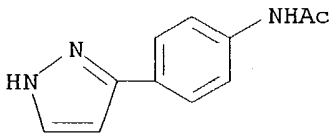
RN 197960-91-1 CAPLUS
CN Acetamide, N-[4-[1-(4-ethenylbenzoyl)-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 46 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:576862 CAPLUS
DN 127:293164
TI Functionalized pyrazoles through a facile one-pot procedure from N-tolyl-N-propargylhydrazine and aryl iodides or vinyl triflates
AU Cacchi, Sandro; Fabrizi, Giancarlo; Carangio, Antonella
CS Dipartimento Studi Chimica Tecnologia Sostanze Biologicamente Attive, Universita "La Sapienza", Rome, I-00185, Italy
SO Synlett (1997), (8), 959-961
CODEN: SYNLES; ISSN: 0936-5214
PB Thieme
DT Journal
LA English
OS CASREACT 127:293164
AB 3-Substituted pyrazoles were prepared in good overall yield through a facile one-pot procedure. The synthesis includes the Pd-catalyzed coupling of readily available N-tosyl-N-propargylhydrazine with aryl iodides or vinyl triflates, the Pd-catalyzed annulation of the resulting N-tosyl-N-(1-aryl/vinyl-1-propyn-3-yl)hydrazines, and subsequent treatment with KOCMe3.
IT **197093-24-6P 197093-26-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazoles from tolylpropargylhydrazine and aryl iodides or vinyl triflates)
RN 197093-24-6 CAPLUS
CN Acetamide, N-[3-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 197093-26-8 CAPLUS
CN Acetamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 47 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:226815 CAPLUS
DN 126:212156
TI Preparation of heteroarylcarboxamides as agrochemical and medical fungicides
IN Bartroli, Javier; Turmo, Enric; Anguita, Manuel
PA J. Uriach & Cia. S.A., Spain; Bartroli, Javier; Turmo, Enric; Anguita, Manuel
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9705131	A1	19970213	WO 1996-EP3419	19960802
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
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	ES 2107376	B1	19980701		
	BR 9606546	A	19980714	BR 1996-6546	19950802
	ES 2112774	A1	19980401	ES 1995-2042	19951020
	ES 2112774	B1	19990516		
	CA 2201478	AA	19970213	CA 1996-2201478	19960802
	AU 9667889	A1	19970226	AU 1996-67889	19960802
	EP 783502	A1	19970716	EP 1996-928404	19960802
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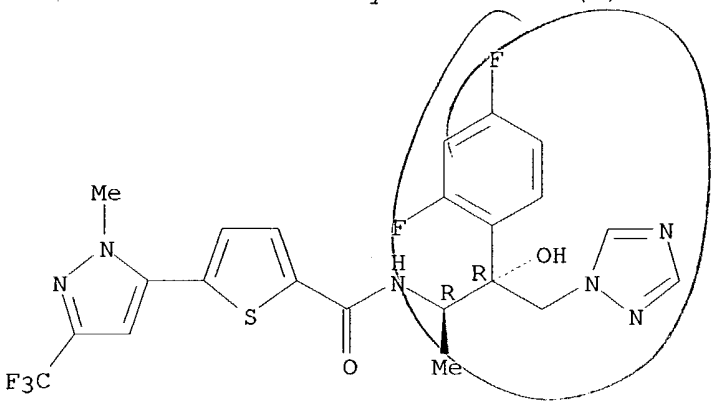
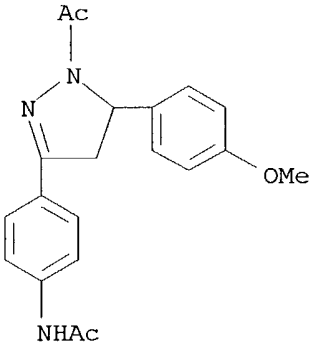
	JP 10507205	T2	19980714	JP 1996-507253	19960802
	US 5888941	A	19990330	US 1997-809815	19970331
	NO 9701471	A	19970530	NO 1997-1471	19970401
PRAI	ES 1995-1564	A	19950802		
	ES 1995-2042	A	19951020		
	WO 1996-EP3419	W	19960802		

OS MARPAT 126:212156
AB RCH2CR5(OR4)CR1R2NR3COZ1(CH2)mZ2(CH2)qR6 [I; R = imidazolo or 1,2,4-triazo-1-yl; R1 = alkyl; R2 = H or alkyl; R1R2 = alkylene; R3 = H (halo)alkyl, Ph, etc.; R4 = H; R3R4 = CH2, CH2CH2, CH(OH)CH2, COCH2; R5 = (halo- or CF3-substituted) Ph; R6 = (un)substituted Ph, -heterocyclyl; Z1 = (un)substituted phenylene or -heterocyclyene; Z2 = bond, O, SO0-2, NR6; m,q = 0-2] were prepared Thus, (2R,3R)-3-amino-2-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol was amidated by 1-(4-chlorophenyl)-1H-pyrazole-4-carboxylic acid (preparation given) to give title compound (R,R)-II. Data for biol. activity of I were given.
IT **187997-93-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarboxamides as agrochem. and medical fungicides)
RN 187997-93-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

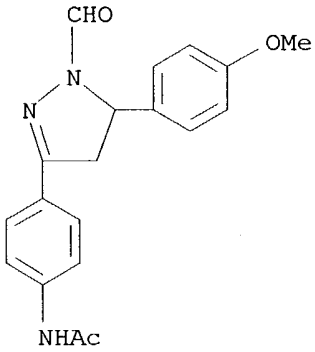
Absolute stereochemistry. Rotation (-).



L25 ANSWER 48 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:194068 CAPLUS
DN 126:225248
TI Synthesis of new pyrazolines from aminomethoxychalcones
AU Sayed, A. Z.; Emam, H. A.; Selim, M. R.
CS Chemistry Department, Faculty of Science, Al-Azhar University, Nasr, Egypt
SO Al-Azhar Journal of Pharmaceutical Sciences (1996), 17, 107-115
CODEN: AAJPFT; ISSN: 1110-1644
PB Al-Azhar University, Faculty of Pharmacy
DT Journal
LA English
AB Pyrazolines I (R = 4-aminophenyl, 4-acetamidophenyl; R1 = H, Ph, arylsulfonyl, acyl, etc.) were prepared from 4-RCOCH:CHC6H4OMe.
IT **85791-61-3P 188291-90-9P 188291-91-0P**
188291-92-1P 188291-93-2P 188291-94-3P
188291-95-4P 188292-02-6P 188292-03-7P
188292-04-8P 188292-05-9P 188292-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 85791-61-3 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

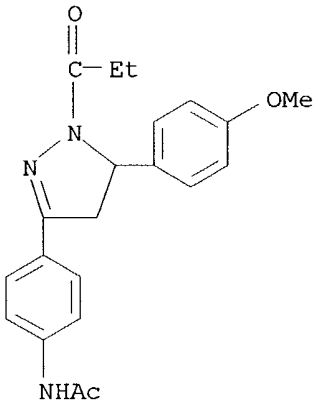


RN 188291-90-9 CAPLUS
CN Acetamide, N-[4-[1-formyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

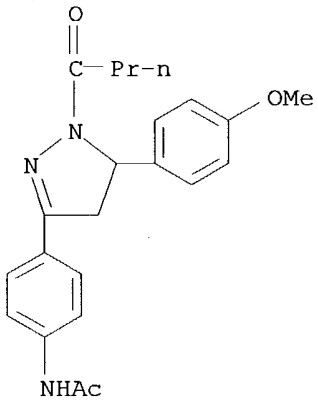


RN 188291-91-0 CAPLUS

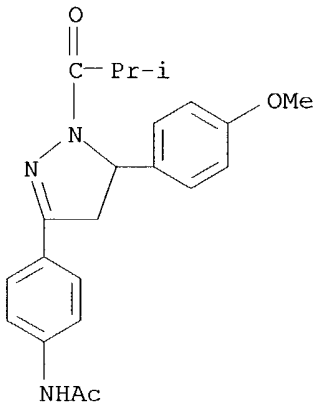
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



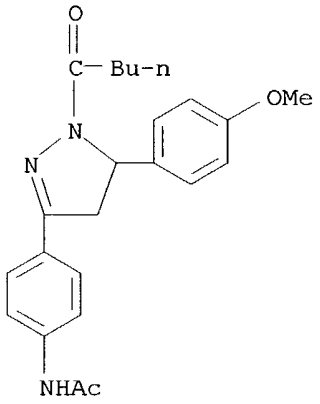
RN 188291-92-1 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxobutyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



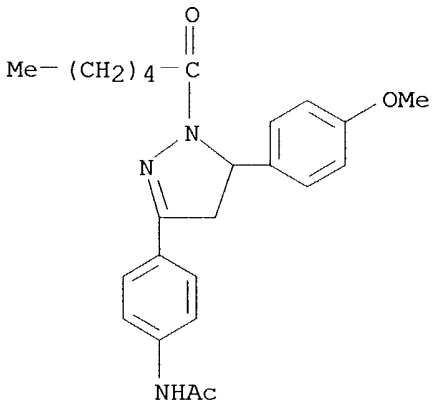
RN 188291-93-2 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(2-methyl-1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



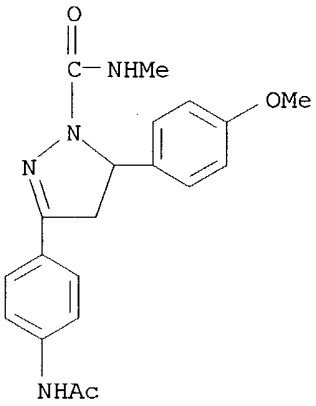
RN 188291-94-3 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopentyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



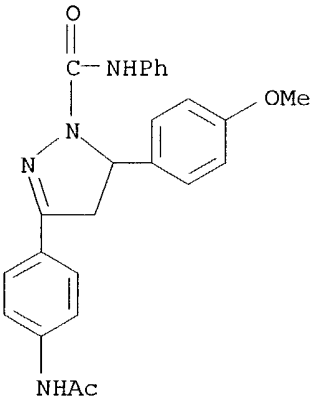
RN 188291-95-4 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxohexyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



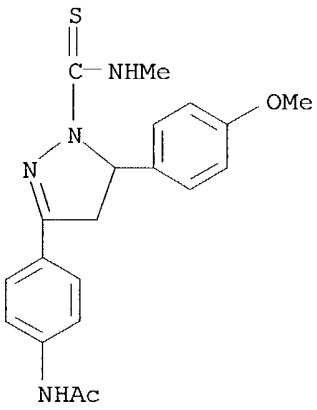
RN 188292-02-6 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



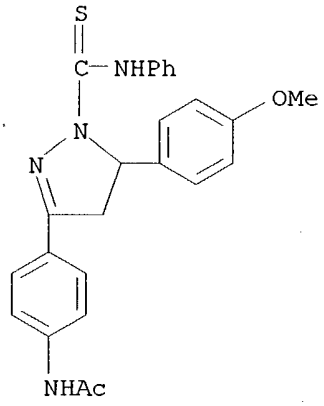
RN 188292-03-7 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-N-phenyl- (9CI) (CA INDEX NAME)



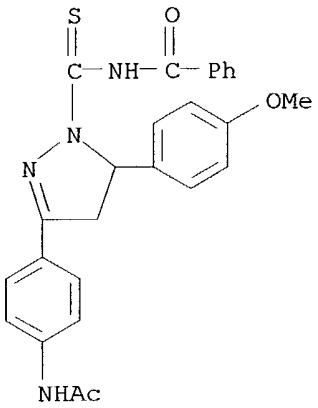
RN 188292-04-8 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-[(methylamino)thioxomethyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 188292-05-9 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-[(phenylamino)thioxomethyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

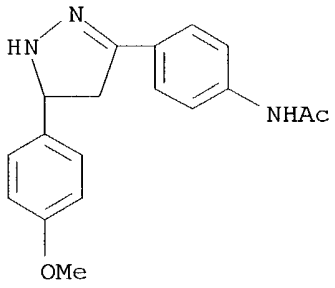


RN 188292-06-0 CAPLUS
CN Benzamide, N-[[3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-1-yl]thioxomethyl]- (9CI) (CA INDEX NAME)

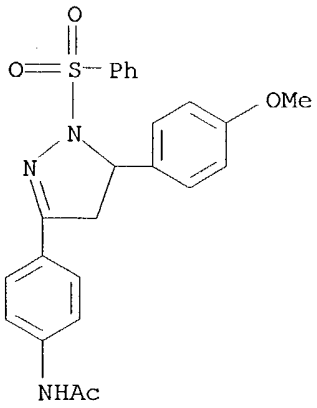


IT **85791-58-8P 188291-66-9P 188291-68-1P**
188291-71-6P 188291-96-5P 188291-97-6P
188291-98-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolines)

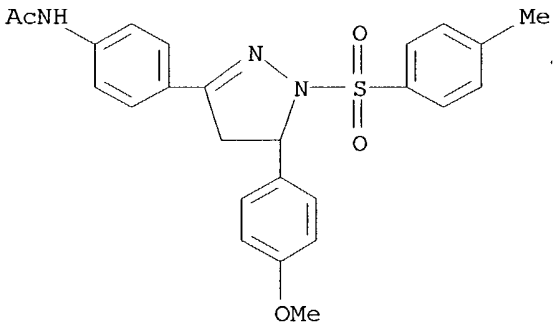
RN 85791-58-8 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



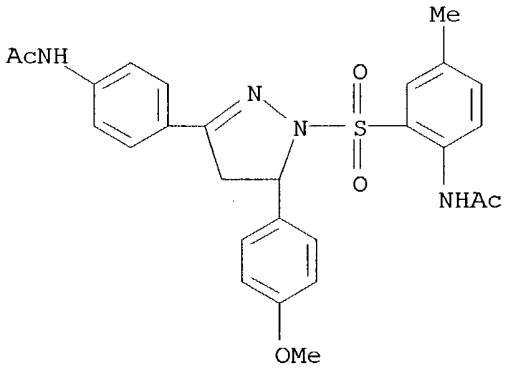
RN 188291-66-9 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(phenylsulfonyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 188291-68-1 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

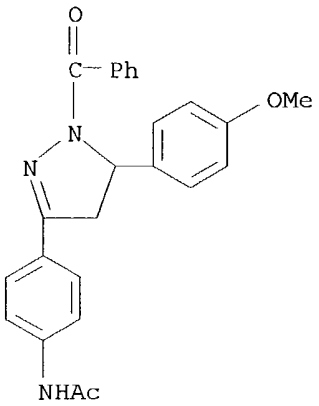


RN 188291-71-6 CAPLUS
CN Acetamide, N-[4-[1-[[2-(acetylamino)-5-methylphenyl]sulfonyl]-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

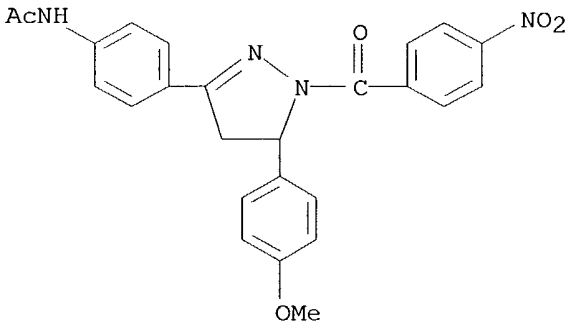


RN 188291-96-5 CAPLUS
CN Acetamide, N-[4-[1-benzoyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-

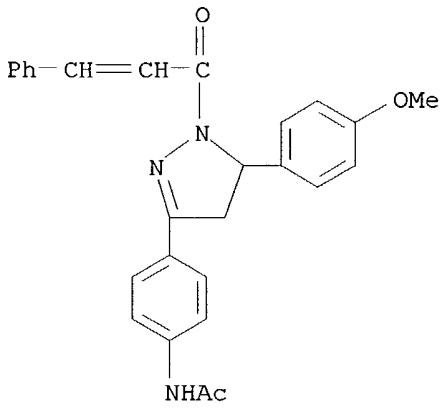
yl]phenyl]- (9CI) (CA INDEX NAME)



RN 188291-97-6 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(4-nitrobenzoyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 188291-98-7 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxo-3-phenyl-2-propenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 49 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:462227 CAPLUS
DN 125:115150
TI Cyclic hexapeptides having antibiotic activity
IN Ohki, Hidenori; Tomishima, Masaki; Yamada, Akira; Takasugi, Hisashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611210	A1	19960418	WO 1995-JP1983	19950929
	W: AU, CA, CN, FI, HU, JP, KR, MX, NO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2202058	AA	19960418	CA 1995-2202058	19950929
	AU 9535780	A1	19960502	AU 1995-35780	19950929
	AU 696949	B2	19980924		
	EP 788511	A1	19970813	EP 1995-932935	19950929
	EP 788511	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1168675	A	19971224	CN 1995-196643	19950929
	JP 10507174	T2	19980714	JP 1995-512472	19950929
	JP 2897427	B2	19990531		
	HU 77736	A2	19980728	HU 1998-338	19950929
	JP 10324695	A2	19981208	JP 1998-136756	19950929
	JP 3518665	B2	20040412		
	RU 2165423	C2	20010420	RU 1997-107338	19950929
	AT 229541	E	20021215	AT 1995-932935	19950929
	PT 788511	T	20030430	PT 1995-932935	19950929
	ES 2187575	T3	20030616	ES 1995-932935	19950929
	IL 115484	A1	20000716	IL 1995-115484	19951002
	ZA 9508458	A	19960507	ZA 1995-8458	19951006
	BR 9504791	A	19961022	BR 1995-4791	19951006
	FI 9701397	A	19970527	FI 1997-1397	19970404
	NO 9701544	A	19970604	NO 1997-1544	19970404
	US 6107458	A	20000822	US 1997-809723	19970521
	US 6265536	B1	20010724	US 1999-248267	19990211
PRAI	GB 1994-20425	A	19941007		
	GB 1995-8745	A	19950428		
	JP 1996-512472	A3	19950929		
	WO 1995-JP1983	W	19950929		
	US 1997-809723	A3	19970521		

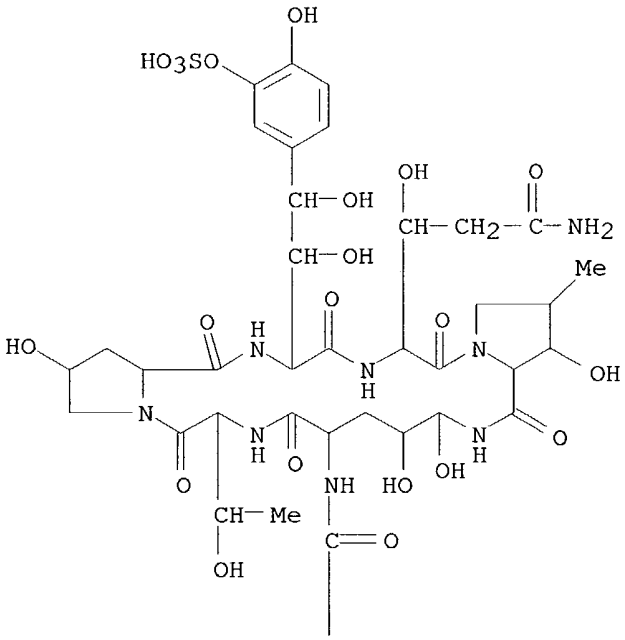
OS MARPAT 125:115150
AB The invention relates to new cyclic polypeptide derivs. I [R1 = variety of substituted acyl groups] and their pharmaceutically acceptable salts. The compds. have antimicrobial activities (especially, antifungal activities) and inhibitory activity on β-1,3-glucan synthase (no data), and are useful for prophylactic and/or therapeutic treatment of infectious diseases including Pneumocystis carinii infection (e.g., P. carinii pneumonia). Examples include 124 compds. I, plus 346 precursor prepns. For instance, reaction of the precursor I.Na [R1 = H] with 1-[6-[(octyloxy)methyl]picolinoyl]benzotriazole 3-oxide in DMF in the presence of DMAP gave title compound I [R1 = Q1]. In a test against Candida albicans FP-633 in vitro, I [R1 = Q2] had MIC of 0.2 μg/mL.
IT **179166-01-9P 179166-34-8P 179166-54-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic hexapeptides active against fungi and Pneumocystis carinii)

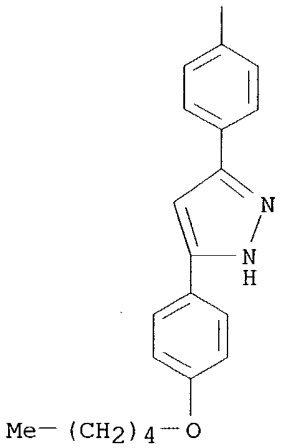
RN 179166-01-9 CAPLUS

CN Proline, 4,5-dihydroxy-N2-[4-[5-[4-(pentyloxy)phenyl]-1H-pyrazol-3-yl]benzoyl]ornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6→1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

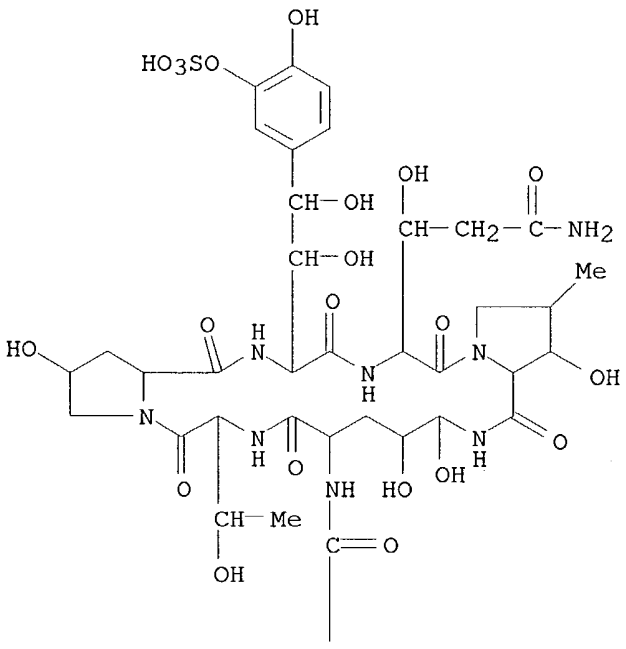


● Na

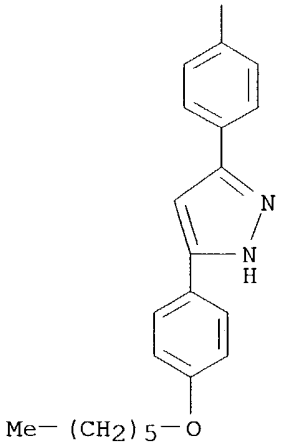
RN 179166-34-8 CAPLUS

CN Proline, N2-[4-[5-[4-(hexyloxy)phenyl]-1H-pyrazol-3-yl]benzoyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6→1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

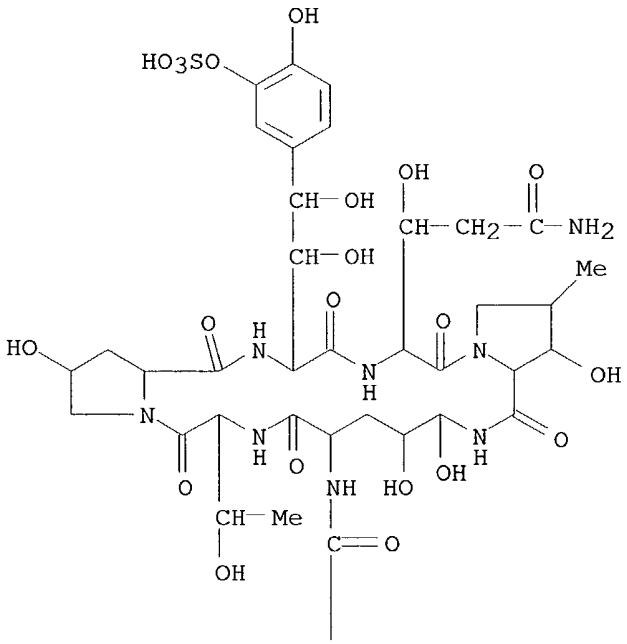


● Na

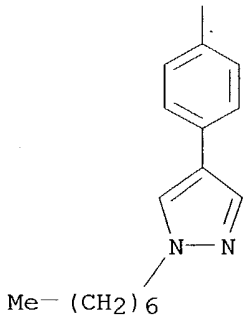
RN 179166-54-2 CAPLUS

CN Proline, N2-[4-(1-heptyl-1H-pyrazol-4-yl)benzoyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6→1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● Na

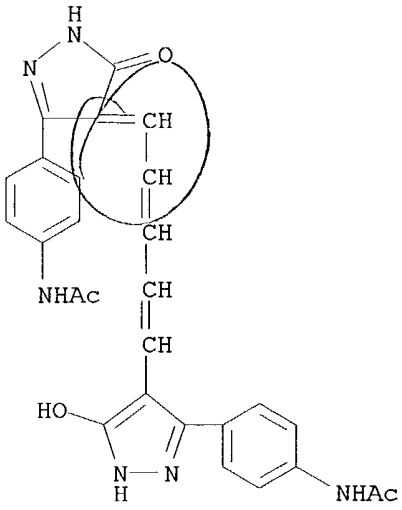
L25 ANSWER 50 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:451675 CAPLUS
DN 125:100003
TI Image formation method of silver halide photographic photoreceptor
IN Suzuki, Keiichi; Hirano, Shigeo
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 52 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08095208	A2	19960412	JP 1994-256062	19940927
PRAI JP 1994-256062		19940927		

AB A photog. photoreceptor composed of a ≥1 photosensitive Ag halide emulsion layer formed on a support is exposed to light and developed, wherein (A) the Ag halide photoreceptor containing ≥1 compound shown as I (Z1 = nonmetallic atom. group which is necessary for formation of 6-membered N-containing aromatic hetero ring with N and X1; X1 = N, CR12, R12 = same as R11; R1 = alkyl, alkenyl, alkynyl, aryl, hetero ring; R11 = H, halo, substitution group which bond to ring via C, O, N, and S; m1 = 0, integral number equal or less than the maximum possible substitution no; when m1 are ≥2, R11 may be same or different, maybe bonded to each other to form ring; 2 radicals, which are formed by loosing 1 H from I, may be bonded to form bis-type structure; Y1 = ion pair for charge balance; n1 = required number for charge balance) are contained in the emulsion layer and/or other hydrophilic colloidal layer, (B) a solid disperse dye are contained in the photoreceptor, and (C) the developer liquid containing a main agent are shown as II [P, Q = OH, hydroxyalkyl, carboxyl, carboxyalkyl, sulfo, sulfoalkyl, amino, aminoalkyl, alkyl, alkoxy, mercapto; P and Q may be an atom. group which may be bonded to each other to form 5-7-membered ring with 2 vinyl C whose R1 and R2 are substituted and C whose Y is substituted; examples of the ring structures may be formed with O, CR4R5, CR6, C(:O), NR7, N:; R4-7 = H, OH, carboxyl, C1-10 alkyl which may be substituted with OH, carboxyl, sulfo].

IT **165126-08-9P**
RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(image formation method of silver halide photog. photoreceptor)

RN 165126-08-9 CAPLUS
CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)


H
N
N
O
CH
CH
CH
NHAc
CH
CH
HO
N
N
H
NHAc

L25 ANSWER 51 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:121332 CAPLUS
DN 124:289529
TI 3-[4-(Methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful as inflammation inhibitors
IN Lee, Len F.; Penning, Thomas D.; Kramer, Steven W.
PA G. D. Searle and Co., USA
SO U.S., 40 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5486534	A	19960123	US 1994-278297	19940721
	CA 2195123	AA	19960208	CA 1995-2195123	19950720
	WO 9603385	A1	19960208	WO 1995-US8788	19950720
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9531267	A1	19960222	AU 1995-31267	19950720
	EP 772597	A1	19970514	EP 1995-927154	19950720
	EP 772597	B1	20011212		
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	JP 10503201	T2	19980324	JP 1995-505781	19950720
	EP 1127878	A1	20010829	EP 2001-112883	19950720
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	AT 210648	E	20011215	AT 1995-927154	19950720
	PT 772597	T	20020531	PT 1995-927154	19950720
	ES 2169760	T3	20020716	ES 1995-927154	19950720
	JP 3490716	B2	20040126	JP 1996-505781	19950720
	US 5580985	A	19961203	US 1995-535688	19950928
	US 5756530	A	19980526	US 1996-721787	19960925
	US 6028072	A	20000222	US 1997-776090	19970609
PRAI	US 1994-278297	A	19940721		
	EP 1995-927154	A3	19950720		
	WO 1995-US8788	W	19950720		

OS MARPAT 124:289529
AB A class of pyrazolyl compds. is described for use in treating inflammation and inflammation-related disorders and is defined by formula I wherein R1 is a radical selected from hydrido, alkyl, alkenyl, alkynyl, haloalkyl, aralkyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, aminoalkyl, alkylaminoalkyl, carboxyalkyl, alkoxycarbonylalkyl, alkylaminocarbonylalkyl, N-hydroxyaminocarbonylalkyl, N-hydroxy-N-alkyl-aminocarbonylalkyl, arylaminocarbonylalkyl and aminocarbonylalkyl; wherein R2 is aryl substituted at a substitutable position with a radical selected from alkylsulfonyl and sulfamyl; wherein R3 is selected from aryl, cycloalkyl, and cycloalkenyl; wherein R3 is optionally substituted at a substitutable position with one or more radicals selected from halo, alkylthio, alkylsulfinyl, alkyl, cyano, carboxyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, N-alkyl-N-arylaminocarbonyl, haloalkyl, hydroxyl, alkoxy, hydroxyalkyl, haloalkoxy, amino, alkylamino, arylamino, heterocyclo and nitro; and wherein R4 is

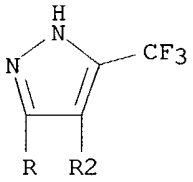
selected from hydrido, alkyl, haloalkyl, carboxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, aminocarbonylalkyl, hydroxyalkyl and aralkoxyalkyl; or a pharmaceutically-acceptable salt thereof. Thus, e.g., acylation of thioanisole with 4-fluorophenylacetic acid afforded 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]ethanone; acylation of the latter with 1-trifluoroacetylimidazole followed by heterocyclization with hydrazine afforded 4-(4-fluorophenyl)-3-[4-(methylthio)phenyl]-5-(trifluoromethyl)-1H-pyrazole; oxidation of latter to the 4-methylsulfonyl derivative followed by 1-ethylation afforded 1-ethyl-4-(4-fluorophenyl)-3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazole (II) which exhibited selective inhibition of cyclooxygenase II: ID50 = >10 μM for COX I, and <0.1 μM for COX II.

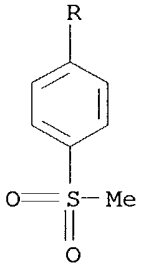
IT **175677-39-1P 175677-40-4P 175677-74-4P**
175677-75-5P 175678-89-4P 175678-90-7P
175679-25-1P 175679-26-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3-[4-(methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful as inflammation inhibitors)

RN 175677-39-1 CAPLUS

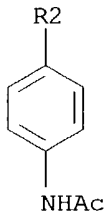
CN Acetamide, N-[4-[3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



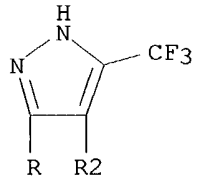


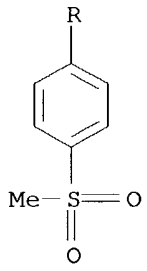
PAGE 2-A



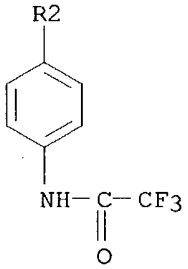
RN 175677-40-4 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[4-[3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

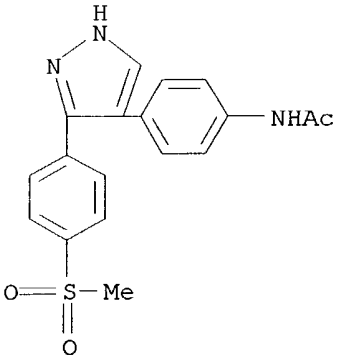




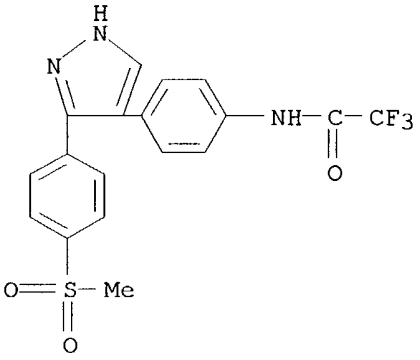
PAGE 2-A



RN 175677-74-4 CAPLUS
CN Acetamide, N-[4-[3-[4-(methylsulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

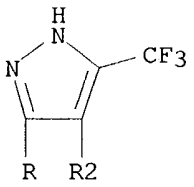


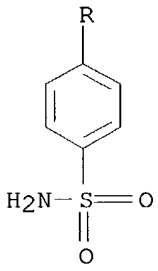
RN 175677-75-5 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[4-[3-[4-(methylsulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)



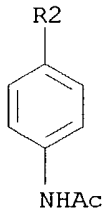
RN 175678-89-4 CAPLUS
CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



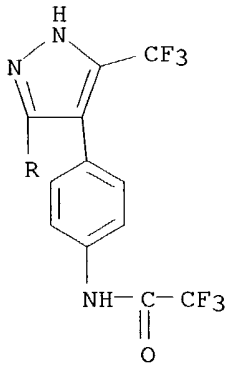


PAGE 2-A

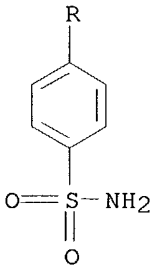


RN 175678-90-7 CAPLUS
CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

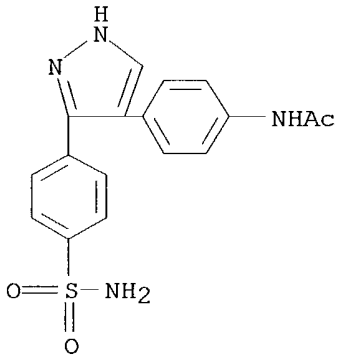
PAGE 1-A



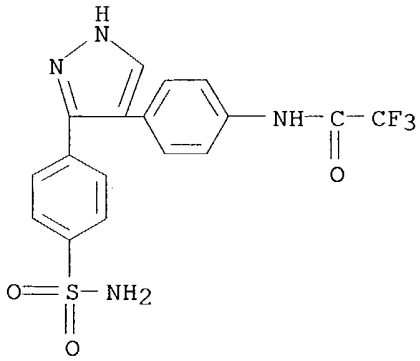
PAGE 2-A



RN 175679-25-1 CAPLUS
CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

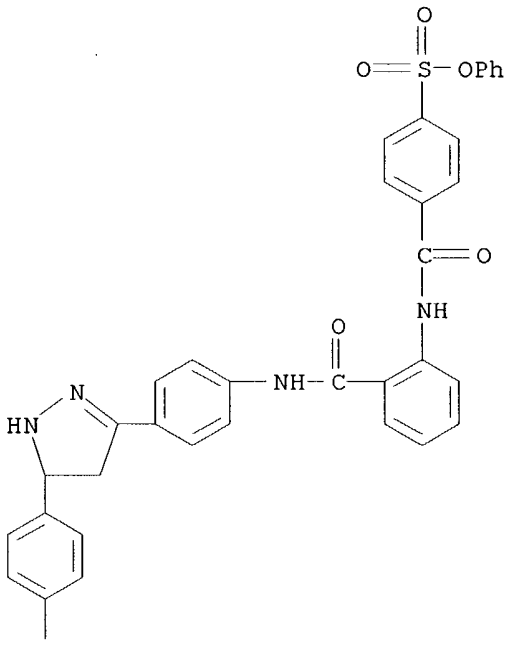


RN 175679-26-2 CAPLUS
CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



L25 ANSWER 52 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:119090 CAPLUS
DN 124:170374
TI Potentially active antimicrobial agents from 2-benzenesulfonyloxyphenyl-3,1-benzoxazine-4-one derivative
AU Habib, O.M.; Moawad, E.B.; Girges, M.M.; El-Shafei, A.M.
CS Chemistry Department, Mansoura Faculty of Science, Mansoura, Egypt
SO Bollettino Chimico Farmaceutico (1995), 134(9), 503-8
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica
DT Journal
LA English
AB Fourteen of nitrogeneous heterocyclic compds. that accommodate the sulfonate-ester moiety were synthesized through interaction of 2-benzenesulfonylo xyphenyl-3,1-benzoxazine-4-one with some nucleophilic reagents. The assigned structures for the prepared new compds. were confirmed on the basis of elemental and spectral data. Evaluation of the antimicrobial activity of these products, relative to standard antibiotics was tested and discussed.
IT **173984-93-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(potentially active antimicrobial agents from 2-benzenesulfonyloxyphenyl-3,1-benzoxazine-4-one derivative)
RN 173984-93-5 CAPLUS
CN Benzenesulfonic acid, 4-[[[2-[[[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A




Cl

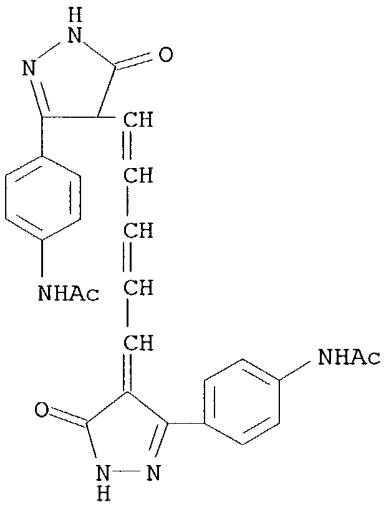
L25 ANSWER 53 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:61279 CAPLUS
DN 124:131438
TI High contrast silver halide photographic material with excellent storage stability
IN Suzuki, Keiichi; Sakurai, Seiya
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 81 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07295131	A2	19951110	JP 1994-110200	19940427
PRAI JP 1994-110200		19940427		

AB The title material contains a hydrazine derivative(s), R1NA1NA2G1R2 [R1 = aliphatic, aromatic; R2 = H, alkyl, aryl, unsatd. heterocyclyl, alkoxy, aryloxy, amino, hydrazino; G1 = CO, SO2, SO, POR3, COCO, thiocarbonyl, iminomethylene; A1, A2 = H, alkylsulfonyl, arylsulfonyl, acyl; R3 = H, alkyl, aryl, unsatd. heterocyclyl, alkoxy, aryloxy, amino, hydrazino], and a surfactant(s), OP(Q1R1)(Q2R2)(Q3LZ) [R1 = aliphatic, alicyclic, aromatic, heterocyclyl; R2 = aliphatic, alicyclic, aromatic, heterocyclyl, LZ; Q1-3 = single bond, O, S, NR3, NR3CO; R3 = H, aliphatic, alicyclic, aromatic, heterocyclyl, LZ; L = divalent connecting group; Z = ionic group] in a photog. emulsion layer(s) and/or hydrophilic colloidal layer(s), and dye solid dispersions.

IT **173063-40-6**
RL: DEV (Device component use); USES (Uses)
(high contrast silver halide photog. material with excellent storage stability containing)

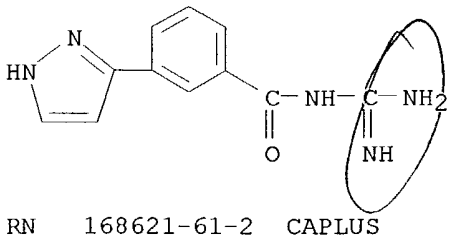
RN 173063-40-6 CAPLUS
CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-4,5-dihydro-5-oxo-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



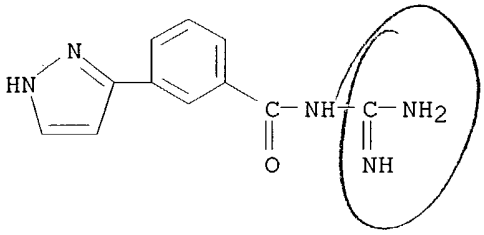
L25 ANSWER 54 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:835463 CAPLUS
DN 123:256771
TI Guanidine derivatives as inhibitors of Na+/H+ exchange in cells
IN Kuno, Atsushi; Inoue, Yoshikazu; Takasugi, Hisashi; Mizuno, Hiroaki; Yamasaki, Kumi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9426709	A1	19941124	WO 1994-JP786	19940512
	W: AU, CA, CN, HU, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	TW 393487	B	20000611	TW 1994-83104223	19940510
	CA 2163004	AA	19941124	CA 1994-2163004	19940512
	AU 9466912	A1	19941212	AU 1994-66912	19940512
	AU 685457	B2	19980122		
	HU 70206	A2	19950928	HU 1994-3233	19940512
	EP 699185	A1	19960306	EP 1994-914623	19940512
	EP 699185	B1	20010905		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1123545	A	19960529	CN 1994-192121	19940512
	CN 1080257	B	20020306		
	JP 08511243	T2	19961126	JP 1994-525245	19940512
	RU 2141946	C1	19991127	RU 1995-122558	19940512
	AT 205191	E	20010915	AT 1994-914623	19940512
	ES 2159558	T3	20011016	ES 1994-914623	19940512
	PT 699185	T	20020130	PT 1994-914623	19940512
	ZA 9403388	A	19950123	ZA 1994-3388	19940517
	US 5824691	A	19981020	US 1995-532804	19951109
	GR 3036549	T3	20011231	GR 2001-401402	20010906
PRAI	GB 1993-10074	A	19930517		
	GB 1993-25268	A	19931210		
	WO 1994-JP786	W	19940512		

OS MARPAT 123:256771
AB The N-benzoylguanidine derivs. or N-(heteroaroyl)guanidine derivs. I (X, Y, Z = nitrogen, methine; R2 = H, aryl, etc.; R3 = H, alkoxy, hydroxy, etc.) and pharmaceutically acceptable salts thereof were disclosed as pharmaceuticals. I inhibit the sodium/hydrogen exchange in cells and are hence useful for the treatment of cardiovascular diseases, cerebrovascular diseases, renal diseases, arteriosclerosis or shock. A claimed example compound is N-[3-(1H-pyrrol-1-yl)benzoyl]guanidine [i.e., N-(aminoiminomethyl)-3-(1H-pyrrol-1-yl)benzamide] (II).
IT **168620-95-9P 168621-61-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(aroyl)guanidine derivs. as sodium exchange inhibitors)
RN 168620-95-9 CAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 168621-61-2 CAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(1H-pyrazol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

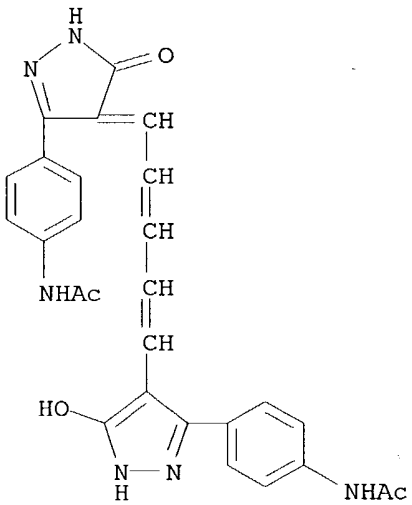
L25 ANSWER 55 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:686962 CAPLUS
DN 123:70241
TI Formation of high-contrast images with safe photographic developer
IN Yamamoto, Seiichi; Inoe, Nobuaki; Yasuda, Shoji
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 68 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07114152	A2	19950502	JP 1993-282117	19931018
PRAI JP 1993-282117		19931018		

AB The title image formation includes exposing a photog. material that has an emulsion layer containing ≥90 mol% AgCl and ≥1x10-6 mol Rh, Ru, Re, Os complex per mol Ag, and a hydrazine compound in the emulsion layer or other hydrophilic colloid layer, and then developing with a developer solution containing no dihydroxy benzene or its derivs. but ascorbic acid or its isomers or derivs.

IT **165126-08-9**
RL: DEV (Device component use); USES (Uses)
(solid dispersing dye contained in photog. material for image formation)

RN 165126-08-9 CAPLUS
CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



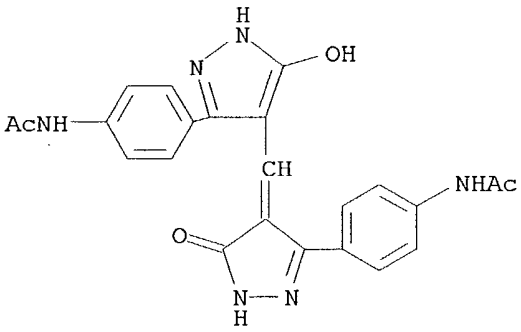
L25 ANSWER 56 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:677719 CAPLUS
DN 123:183350
TI Silver halide photographic material containing solid dispersion of oxonol dye
IN Yabuki, Yoshiharu; Suzuki, Keiichi
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07084339	A2	19950331	JP 1993-228397	19930914
JP 3074550	B2	20000807		
US 5441859	A	19950815	US 1994-305451	19940913
PRAI JP 1993-228397	A	19930914		

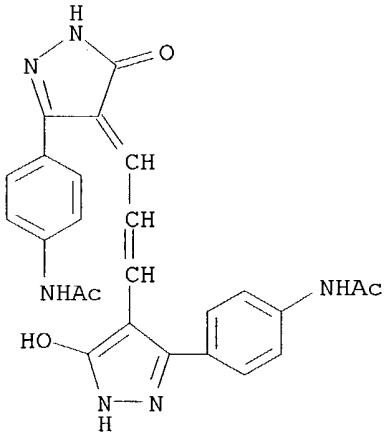
AB The material has a supported hydrophilic colloid layer containing a dispersion of solid particles of the dye I (R = alkyl, aryl, amino, alkoxy, etc.; L1, L2, L3 = methyne; Y = H, alkyl, alkoxy, halo; R and Y may form a ring0. Advantages include non-diffusibility throughout manufacturing stages and before processing, and easy wash-off property.

IT **167409-33-8 167409-34-9**
RL: DEV (Device component use); USES (Uses)
(Ag halide photog. material containing solid dispersion of oxonol dye)

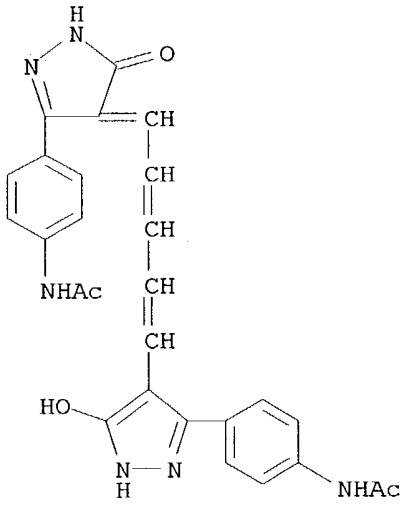
RN 167409-33-8 CAPLUS
CN Acetamide, N-[4-[4-[[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]methyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



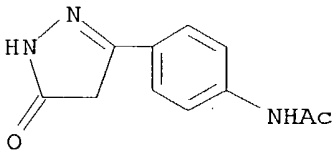
RN 167409-34-9 CAPLUS
CN Acetamide, N-[4-[4-[3-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



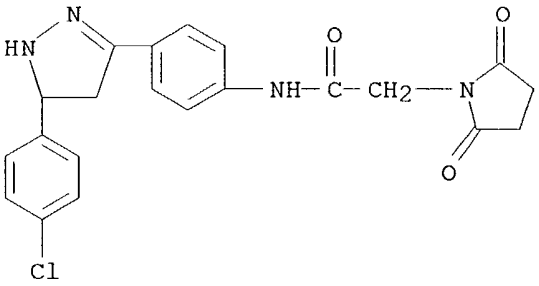
IT **165126-08-9P**
RL: DEV (Device component use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)
(Ag halide photog. material containing solid dispersion of oxonol dye)
RN 165126-08-9 CAPLUS
CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



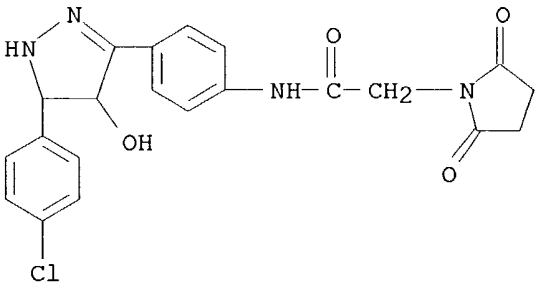
IT **99844-13-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxonol photog. dye)
RN 99844-13-0 CAPLUS
CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)


HN
N
O
NHAc

L25 ANSWER 57 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:432039 CAPLUS
DN 122:314473
TI Convenient synthesis of some heterocyclic compounds bearing a succinimido moiety
AU Essawy, S. A.; Wasfy, A. A. F.
CS Faculty Science, Benha University, Benha, Egypt
SO Egyptian Journal of Chemistry (1994), 37(3), 283-93
CODEN: EGJCA3; ISSN: 0367-0422
PB National Information and Documentation Centre
DT Journal
LA English
OS CASREACT 122:314473
AB The incorporation of the succinimido moiety into isoxazoline, pyrazoline, pyran, etc., derivs., is described. E.g., reaction of I with semicarbazide/NaOAc, followed by thionyl chloride, gave thiadiazole II.
IT **163487-76-1P 163487-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 163487-76-1 CAPLUS
CN 1-Pyrrolidineacetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



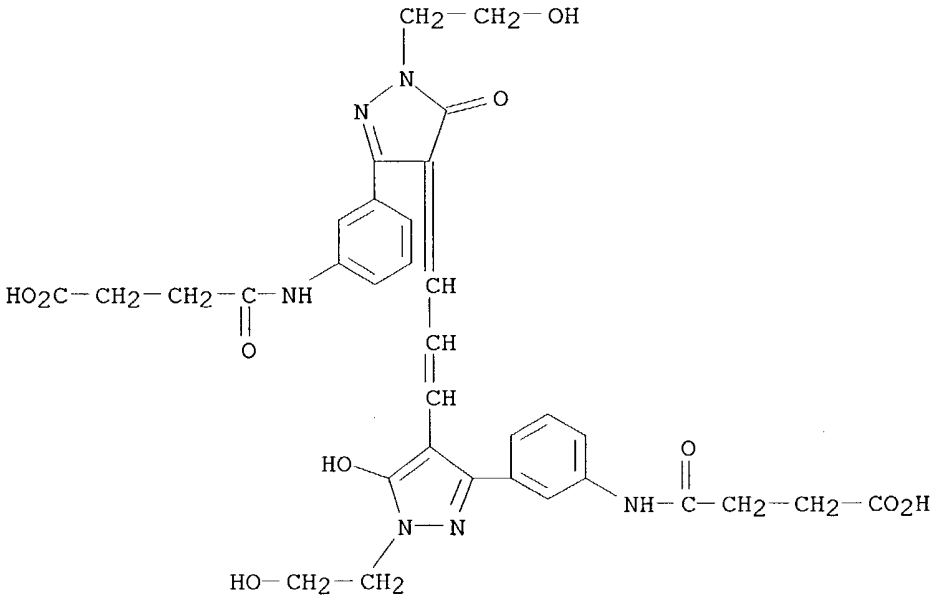
RN 163487-83-0 CAPLUS
CN 1-Pyrrolidineacetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-4-hydroxy-1H-pyrazol-3-yl]phenyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



L25 ANSWER 58 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:417141 CAPLUS
DN 117:17141
TI Silver halide photographic material containing pyrazolone dye
IN Usagawa, Yasushi; Kawashima, Yasuhiko; Kagawa, Nobuaki
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 03208043	A2	19910911	JP 1990-2212	19900109
PRAI JP 1990-2212		19900109		

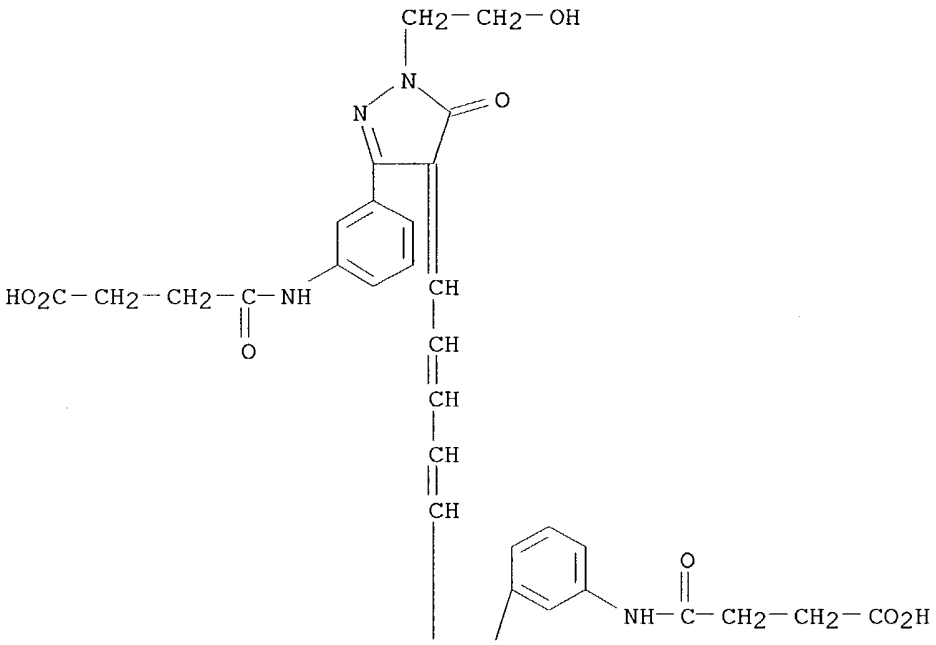
OS MARPAT 117:17141
AB A silver halide photog. material has on a support at least one photog. layer containing a solid microparticle dispersion of a pyrazolone dye (I; R1, R2 = CO2H or group having CO2H; R3, R4 = H, a substituent without CO2H; L1-L3 = methine; n = 0-2; when n = 2, L2 and L3 are same or different). The photog. material shows improved image quality, storage stability, and sharpness with little reduction in sensitivity.
IT **141795-79-1 141795-80-4**
RL: USES (Uses)
(photog. films containing, for improved image quality and storage stability)
RN 141795-79-1 CAPLUS
CN Butanoic acid, 4-[[3-[4-[3-[3-[3-[(3-carboxy-1-oxopropyl)amino]phenyl]-1,5-dihydro-1-(2-hydroxyethyl)-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-(2-hydroxyethyl)-1H-pyrazol-3-yl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



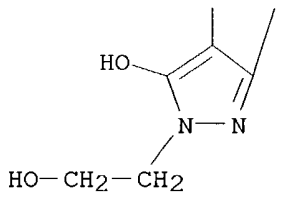
RN 141795-80-4 CAPLUS
CN Butanoic acid, 4-[[3-[4-[5-[3-[3-[(3-carboxy-1-oxopropyl)amino]phenyl]-1,5-

dihydro-1-(2-hydroxyethyl)-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(2-hydroxyethyl)-1H-pyrazol-3-yl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

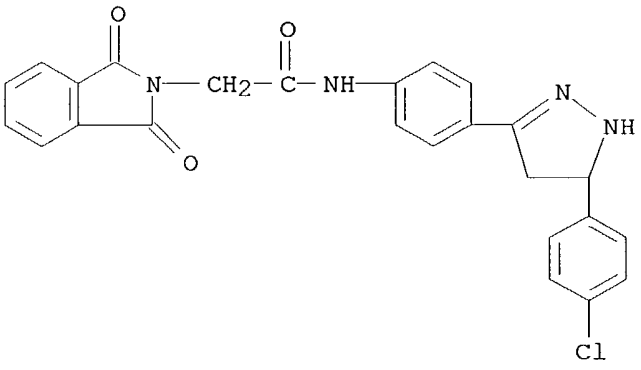
PAGE 1-A



PAGE 2-A



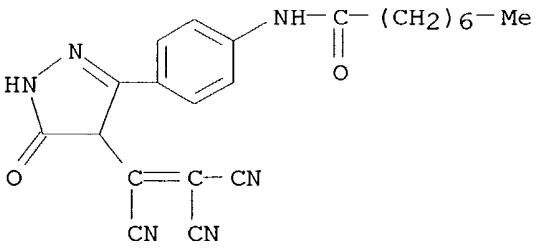
L25 ANSWER 59 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:106219 CAPLUS
DN 116:106219
TI Synthesis of some heterocyclic compounds containing the phthalimido moiety
AU Essawy, S. A.
CS Fac. Sci., Benha Univ., Benha, Egypt
SO Bulletin of the Faculty of Pharmacy (Cairo University) (1991), 29(2), 49-52
CODEN: BFPHA8; ISSN: 0575-1373
DT Journal
LA English
AB Cyclocondensation of RCOCH:CHC6H4Cl-4 (R = Q) with R1NHNH2 (R1 = H, Ph) and H2NCXNH2 (X = S, O, NH) gave pyrazoles I and pyrimidines II, resp. Condensing RCOMe with H2NCONHNH2 gave RCMe:NNHCONH2 which cyclized with SeO2 and SOCl2 to give selena- and thiadiazole III (Z = Se, S).
IT **139054-00-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 139054-00-5 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



L25 ANSWER 60 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:546539 CAPLUS
DN 115:146539
TI Silver halide photographic material containing tricyanoethylene dye
IN Kagawa, Nobuaki; Tanaka, Mari; Kawashima, Yasuhiko; Usagawa, Yasushi
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 03031840	A2	19910212	JP 1989-167228	19890628
PRAI JP 1989-167228		19890628		

OS MARPAT 115:146539
AB The photog. material has, on a support, ≥1 layer containing dye AC(CN):C(CN)2 (I; A = pyrazole or imidazole ring). The dye has good decoloring properties and the material gives clear images without fog. Thus, a Ag(Br,Cl) emulsion containing I (A = II) was coated on a film base to make a photog. film.
IT **135716-51-7**
RL: USES (Uses)
(dye, photog. film containing)
RN 135716-51-7 CAPLUS
CN Octanamide, N-[4-[4,5-dihydro-5-oxo-4-(tricyanoethenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

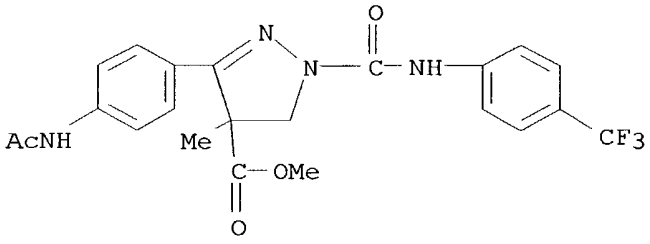


L25 ANSWER 61 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:228909 CAPLUS
DN 114:228909
TI Preparation of pesticidal N-aryl-3-aryl-4,5-dihydro-1H-pyrazole-1-carboxamides
IN Jacobson, Richard M.
PA Rohm and Haas Co., USA
SO U.S., 72 pp. Cont.-in-part of U.S. 4,663,341.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

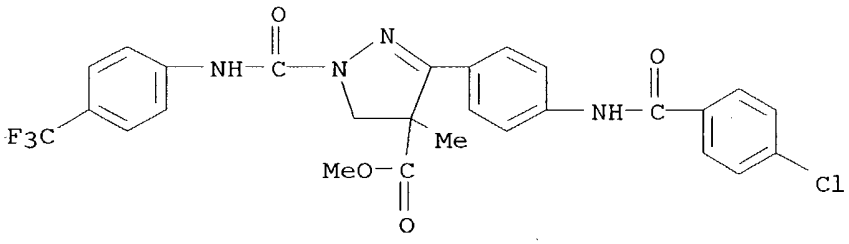
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4863947	A	19890905	US 1986-894981	19860811
	US 4663341	A	19870505	US 1985-689671	19850111
	CA 1275289	A1	19901016	CA 1985-473376	19850201
	IL 74305	A1	19890731	IL 1985-74305	19850211
	ZA 8501044	A	19860326	ZA 1985-1044	19850212
	NO 8500545	A	19850819	NO 1985-545	19850213
	NO 171364	B	19921123		
	NO 171364	C	19930303		
	AU 8538674	A1	19850822	AU 1985-38674	19850213
	AU 588796	B2	19890928		
	BR 8500673	A	19851001	BR 1985-673	19850213
	ES 540366	A1	19860516	ES 1985-540366	19850213
	FI 8500620	A	19850817	FI 1985-620	19850214
	FI 90975	B	19940114		
	FI 90975	C	19940425		
	DK 8500682	A	19850817	DK 1985-682	19850214
	JP 60190765	A2	19850928	JP 1985-25369	19850214
	JP 07059556	B4	19950628		
	HU 36346	A2	19850930	HU 1985-553	19850214
	HU 206248	B	19921028		
	CN 85101497	A	19860716	CN 1985-101497	19850401
	CN 1030682	B	19960117		
	DD 241845	A5	19870107	DD 1985-279716	19850815
	DD 253029	A5	19880106	DD 1985-299114	19850815
	DD 253028	A5	19880106	DD 1987-299113	19870106
PRAI	US 1984-580963		19840216		
	US 1985-689671		19850111		

OS MARPAT 114:228909
AB The title compds. I [A, B = (substituted) aryl; U = O, S, etc.; V = C3-6 cycloalkyl, RQ; Y = (substituted) alkyl, aryl, etc.; Q = H, halo, cyano, NO2, etc.; R = (CR1R2)n; R1,R2 = H, halo, cyano, NO2, OH, etc.; n = 0-10] were prepared A solution of N,3-bis(4-chlorophenyl)-4-phenyl-4,5-dihydro-1H-pyrazole-1-carboxamide in THF was added to a mixture of (Me2CH2NH and BuLi in hexane. The resulting mixture was stirred for 15 min. To this solution was added MeI and, after 15 min, AcOH was added. The reaction mixture was worked up to give pyrazole II. At 600 ppm, II gave 100% kill of Mexican bean beetle.
IT **131824-88-9P 131824-89-0P 131825-13-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pesticide)
RN 131824-88-9 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-[4-(acetylamino)phenyl]-4,5-dihydro-4-

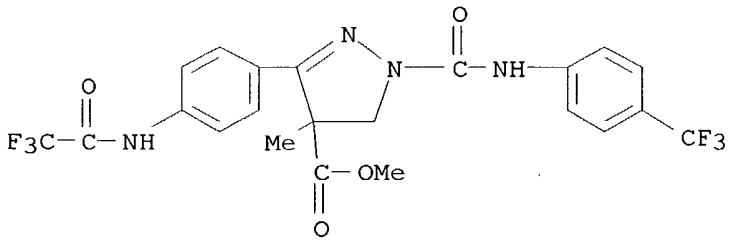
methyl-1-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



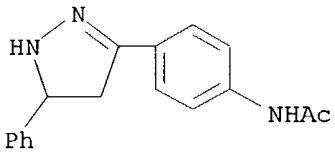
RN 131824-89-0 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-[4-[(4-chlorobenzoyl)amino]phenyl]-4,5-dihydro-4-methyl-1-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



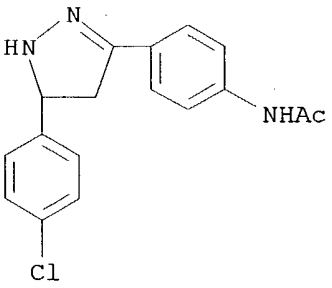
RN 131825-13-3 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 4,5-dihydro-4-methyl-3-[4-[(trifluoroacetyl)amino]phenyl]-1-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



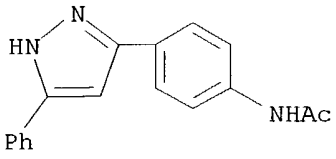
L25 ANSWER 62 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:23918 CAPLUS
DN 114:23918
TI Synthesis and some reactions of pyrimidine-2-thione derivatives
AU Mahmoud, M. R.; Soliman, A. Y.; Bakeer, H. M.
CS Fac. Sci., Ain Shams Univ., Cairo, Egypt
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(9), 830-5
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 114:23918
AB Cyclization of p-H2NC6H4COCO:CHR1 (R1 = Ph, p-ClC6H4) with H2NC(S)NH2 gave 42-53% I (R = 4). Cyclization of I with ClCH2CO2H in Ac2O-AcOH gave 36-46% II. Alkylation of I with BrCH2CO2Et and H2C:CHCN gave 32-46% I (R = CH2CO2Et, CH2CH2CN, resp.).
IT **131138-89-1P 131138-90-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydrogenation of)
RN 131138-89-1 CAPLUS
CN Acetamide, N-[4-(4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



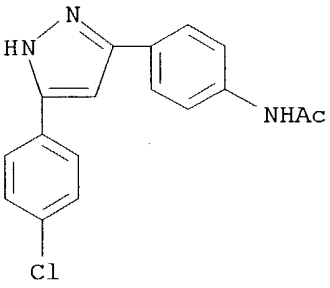
RN 131138-90-4 CAPLUS
CN Acetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



IT **131138-91-5P 131138-92-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 131138-91-5 CAPLUS
CN Acetamide, N-[4-(5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



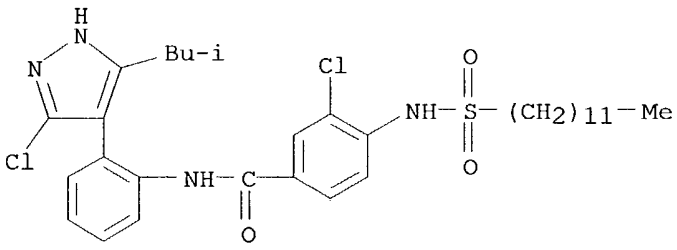
RN 131138-92-6 CAPLUS
CN Acetamide, N-[4-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



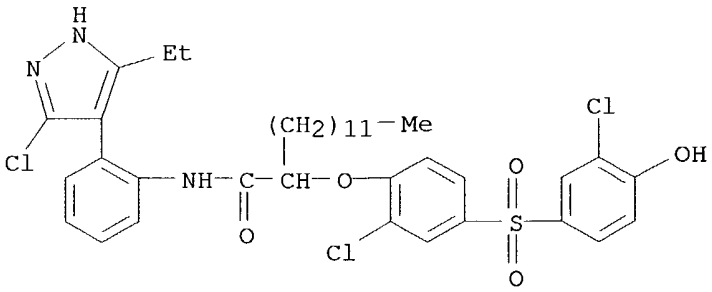
L25 ANSWER 63 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:431810 CAPLUS
DN 113:31810
TI Silver halide color photographic material containing azole compound as cyan coupler
IN Fukunaga, Hiroo; Yamakawa, Kazuyoshi; Furusawa, Genichi
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01250949	A2	19891005	JP 1988-76403	19880331

PRAI JP 1988-76403 19880331
OS MARPAT 113:31810
AB A Ag halide color photog. material having excellent color reproducibility contains an azole compound [I; R = H, blocking group; Z, Z1, Z2 = (substituted) methine, N, but the substituent ≠ OH, acyloxy, sulfonyloxy] as a cyan coupler. A color photog. film having II as a cyan coupler was processed to give images showing excellent color reproducibility and colorfastness on storage at 60° and 70% relative humidity.
IT **127828-91-5 127828-92-6**
RL: TEM (Technical or engineered material use); USES (Uses)
(cyan photog. coupler)
RN 127828-91-5 CAPLUS
CN Benzamide, 3-chloro-N-[2-[3-chloro-5-(2-methylpropyl)-1H-pyrazol-4-yl]phenyl]-4-[(dodecylsulfonyl)amino]- (9CI) (CA INDEX NAME)



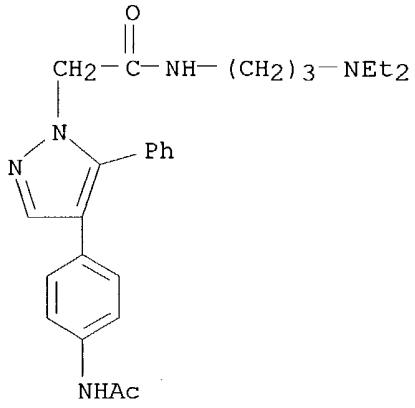
RN 127828-92-6 CAPLUS
CN Tetradecanamide, 2-[2-chloro-4-[(3-chloro-4-hydroxyphenyl)sulfonyl]phenoxy]-N-[2-(3-chloro-5-ethyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 64 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:158243 CAPLUS
DN 112:158243
TI Preparation of antiarrhythmic 1H-pyrazole-1-alkanamides
IN Bailey, Denis M.
PA Sterling Drug Inc., USA
SO U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 206,246.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4870095	A	19890926	US 1989-327226	19890322
	IL 86926	A1	19920715	IL 1988-86926	19880630
	AU 8818930	A1	19890119	AU 1988-18930	19880711
	AU 599251	B2	19900712		
	ZA 8804976	A	19890222	ZA 1988-4976	19880711
	ES 2039514	T3	19931001	ES 1988-111051	19880711
	FI 8803315	A	19890114	FI 1988-3315	19880712
	DK 8803881	A	19890116	DK 1988-3881	19880712
	NO 8803114	A	19890116	NO 1988-3114	19880712
	JP 01063573	A2	19890309	JP 1988-174823	19880713
PRAI	US 1987-72490		19870713		
	US 1988-206246		19880613		

OS CASREACT 112:158243; MARPAT 112:158243
AB The title compds. [I, II; R1 = H, alkyl; R2, R3 = H, OH, alkyl, alkoxy, alkylamino, alkylamido, alkylsulfonamido, NO2, NH2, cyano, halo; R4, R5 = H, (hydroxy)alkyl; or R4R5 = straight or branched C2-6 alkylene; R6 = H, OH; R7, R8 = H, OH, alkyl, alkoxy, halo; m = 1,2; A = CH2CH(OH)CH2, (CH2)n; n = 2-8], useful for the treatment of cardiac arrhythmias, are prepared Thus, a solution of 15g Et 4,5-diphenyl-1H-pyrazole-1-acetate in 17 mL 1-(3-aminopropyl)-2-pipecoline was stirred 5 h on a steam bath to give 17.0 g I [R1-R3 = R6-R8 = H, NR4R5 = 2-methyl-1-piperidinyl, A = (CH2)3, m = 1] (III). III at 30 mg/kg i.v. in anesthetized guinea pigs delayed the onset of aconitine HCl-induced arrhythmia including premature ventricular contraction (PVC) 25.9, sustained ventricular contraction (VTACH) 50.5, and sustained ventricular fibrillation (VFIB) 60.0 min vs. control values of 0.97, 1.48, and 3.96 min, resp.
IT **126053-36-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)
RN 126053-36-9 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-[4-(acetylamino)phenyl]-N-[3-(diethylamino)propyl]-5-phenyl- (9CI) (CA INDEX NAME)


O
CH2—C—NH—(CH2)3—NEt2
N
N
Ph
NHAc

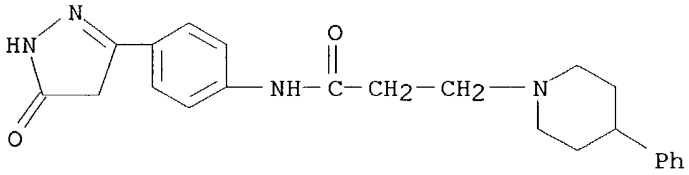
L25 ANSWER 65 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:138120 CAPLUS
DN 112:138120
TI Composition containing phenylpyridazinone derivatives for promoting growth and reducing fat in animals
IN Euler, Klaus; Lechtken, Peter; Kohler, Walter; Hoppe, Peter Paul; Schoener, Franz Josef; Geiss, Karl Heinz; Thyes, Marco
PA BASF A.-G., Fed. Rep. Ger.
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8903181	A1	19890420	WO 1988-EP905	19881010
W: US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DE 3735207	A1	19890427	DE 1987-3735207	19871017
EP 401219	A1	19901212	EP 1988-909318	19881010
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
PRAI DE 1987-3735207		19871017		
WO 1988-EP905		19881010		

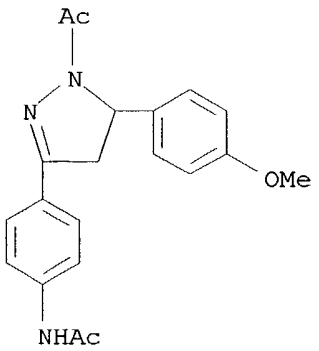
AB Phenylpyridazinone compds. [I, II, III, IV; R1-R3, R6-R12 = functional groups (e.g., amino-, hydroxy-, halo-), alkyl, aromatic, heterocyclic, alicyclic. heteroalicyclic; X,Y = C, hetero-atoms; A,B,A + B = functional group; R4 = H, Me, CH2OH; R5 = H; R4 + R5 = C1-2 alkylidene if A = B = H; M = O, S, substituted amine] are used as supplements in feed for mammals, birds, fish and reptiles where they improve feed utilization (weight gain per unit feed), growth rate, and reduce stored fat. Rats were fed on diets containing 21 of these compds. as supplements in the range 0-100 ppm. Feed utilization efficiency was increased by ≤14% with carcass protein-fat ratios improved by ≤40%. Some compds. were ineffective and others had the reverse effect.

IT **125882-67-9**
RL: BIOL (Biological study)
(feed supplement, effects on feed utilization and body-fat content of rats with)

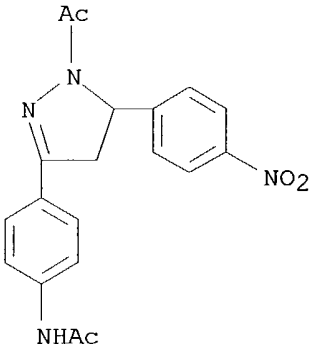
RN 125882-67-9 CAPLUS
CN 1-Piperidinepropanamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)



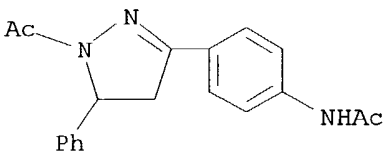
L25 ANSWER 66 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:477898 CAPLUS
DN 111:77898
TI Synthesis of some new β-lactams, 4-thiazolidinones, and pyrazolines
AU Fahmy, A. M.; Hassan, Kh. M.; Khalaf, A. A.; Ahmed, R. A.
CS Fac. Sci., Assiut Univ., Assiut, Egypt
SO Revue Roumaine de Chimie (1988), 33(7), 755-61
CODEN: RRCHAX; ISSN: 0035-3930
DT Journal
LA English
OS CASREACT 111:77898
AB N-Arylidene-p-aminoacetophenones and/or -p-amino-1-chalcones were converted to a series of β-lactams I, 4-thiazolidinones II (R = p-Cl, p-MeO, p-Me, p-HO, o-HO), and pyrazolines III and IV (R1 = H, p-Cl, p-O2N, p-MeO, p-Me, m-O2N), resp. p-ClCH2CONHC6H4COMe gave p-R2CH2CONHC6H4COCH=CHC6H4R-p(R = H, Cl, NO2, OMe; R1 = piperidino, morpholino), which cyclized with N2H4, PhNHNH2, or (NH2)2CS to give pyrazolines and pyrimidine-2-thiones, resp. II-IV had bactericidal and fungicidal activity, but I was active only against Penicillium notatum.
IT **85791-61-3P 85791-62-4P 115848-69-6P**
115848-70-9P 115848-71-0P 115848-72-1P
115848-90-3P 115848-91-4P 115848-92-5P
115848-93-6P 115848-94-7P 115848-95-8P
115848-96-9P 115848-97-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and microbicidal activity)
RN 85791-61-3 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



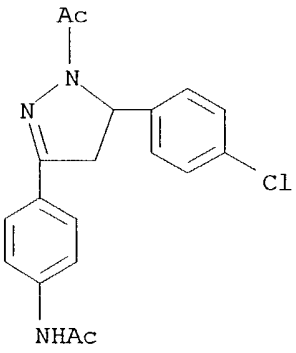
RN 85791-62-4 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



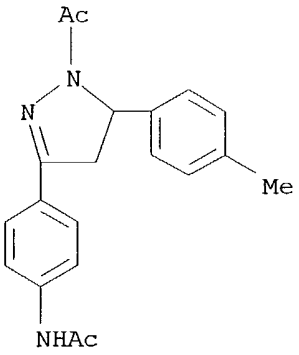
RN 115848-69-6 CAPLUS
CN Acetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



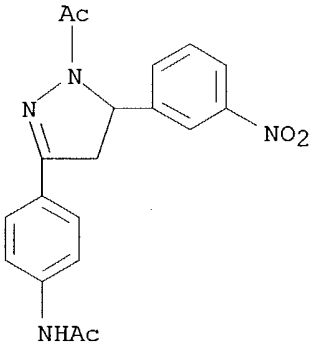
RN 115848-70-9 CAPLUS
CN Acetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



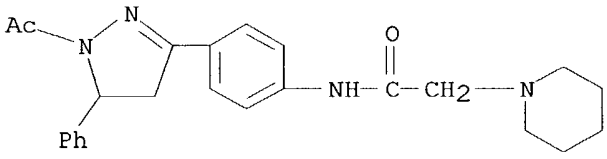
RN 115848-71-0 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



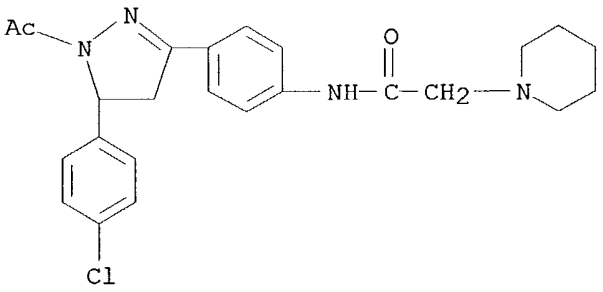
RN 115848-72-1 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(3-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



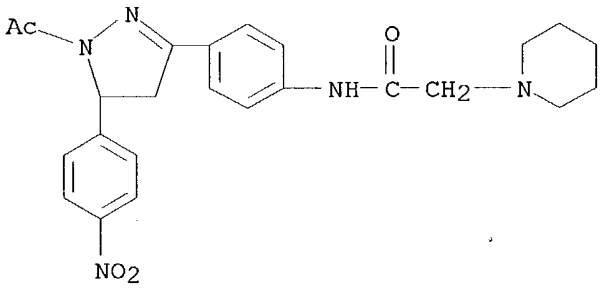
RN 115848-90-3 CAPLUS
CN 1-Piperidineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



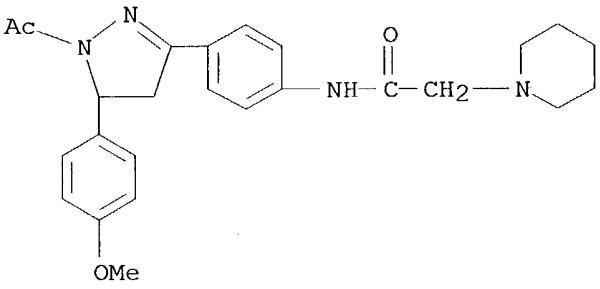
RN 115848-91-4 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



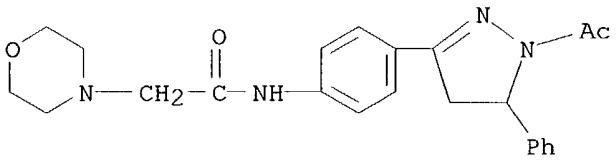
RN 115848-92-5 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 115848-93-6 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

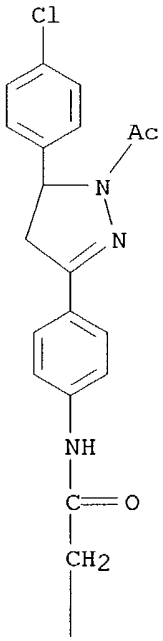


RN 115848-94-7 CAPLUS
CN 4-Morpholineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

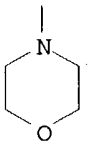


RN 115848-95-8 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

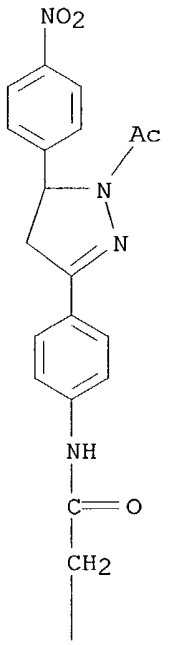


PAGE 2-A



RN 115848-96-9 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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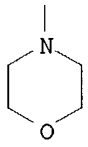
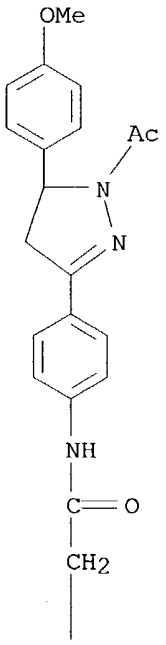
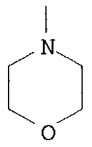


RN 115848-97-0 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



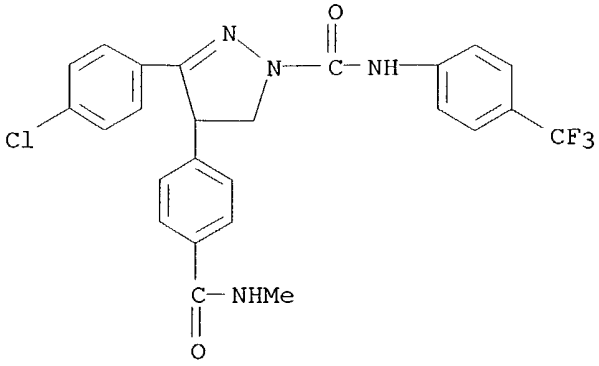
PAGE 2-A



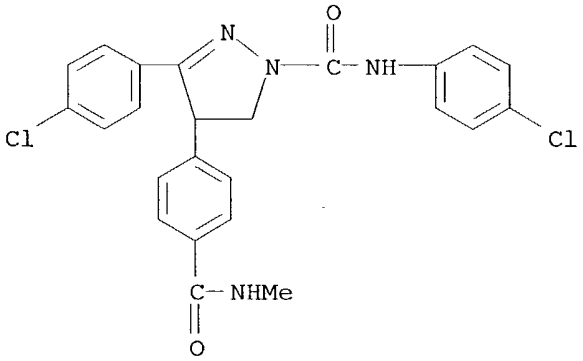
L25 ANSWER 67 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:407397 CAPLUS
DN 111:7397
TI Preparation of triphenylpyrazolines as insecticides
IN Lahm, George Philip
PA du Pont de Nemours, E. I., and Co., USA
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 300692	A1	19890125	EP 1988-306478	19880715
	R: ES, GR				
	WO 8900562	A1	19890126	WO 1988-US2335	19880715
	W: AU, BG, BR, DK, HU, JP, KR, SD, SU, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8819939	A1	19890213	AU 1988-19939	19880715
	EP 367796	A1	19900516	EP 1988-906671	19880715
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02504273	T2	19901206	JP 1988-506321	19880715
	CN 1030755	A	19890201	CN 1988-104451	19880716
	US 5006524	A	19910409	US 1990-438467	19900102
PRAI	US 1987-74795		19870717		
	US 1988-199584		19880603		
	WO 1988-US2335		19880715		

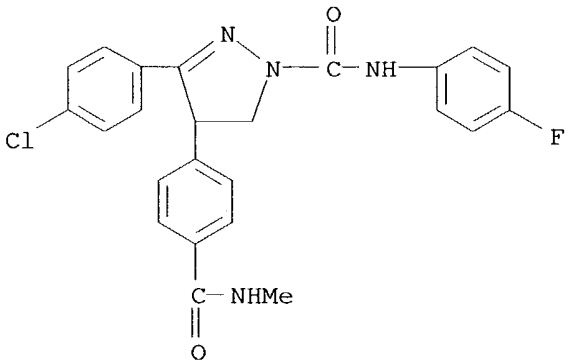
OS MARPAT 111:7397
AB The title compds. [I; R1-R3 = halo, cyano, N3, thiocyanato, NO2, R7, OR7, CO2R7, O2CR7, S(O)qR7, CONR7R8, etc.; when m, n or p = 2, R1, R2 or R3, taken together as OCH2O, OCH2CH2O, or CH2CH2O, form an (un)substituted benzo-fused 5- or 6-membered ring; R4 = CO2R9, CONR9R10, SO2NR9R10, etc.; R5 = H, C1-4 alkyl; R6 = H, Me; R7, R9 = H, (un)substituted C≤4 hydrocarbyl, PhCH2; R8, R10 = H, (un)substituted C≤4 hydrocarbyl; R7R8, R9R10 = C4-5 alkylene, CH2CH2OCH2CH2; X = O, S; Y = H, CHO, C≤6 (alkoxy)alkanoyl, haloalkanoyl, (halo)alkylthio, (un)substituted PhS; m, n = 0-5; p = 0-4; q = 0-2] were prepared as insecticides from deoxybenzoins II by a 3-step process. Me3SiCN was refluxed with 4-ClC6H4CHO in CH2Cl2 in the presence of ZnI2 to give 4-ClC6H4CH(CN)OSiMe3 which was converted in 3 steps to II (R2n= 4-Cl, R3p = H, R4 = 4-CO2Me). The latter was converted in 3 steps to I (R1m= 4-F3C, R5 = R6 = Y = H, X = O, R2-R4, n, p unchanged) (III). At 0.55 kg/ha III gave ≥80% kill of several insect larvae, e.g., Spodoptera frugiperda, as well as adult insects, e.g., Anthonomus grandis.
IT **120986-39-2P 120986-40-5P 120986-41-6P**
120986-42-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide)
RN 120986-39-2 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-4,5-dihydro-4-[4-[(methylamino)carbonyl]phenyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 120986-40-5 CAPLUS
CN 1H-Pyrazole-1-carboxamide, N,3-bis(4-chlorophenyl)-4,5-dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

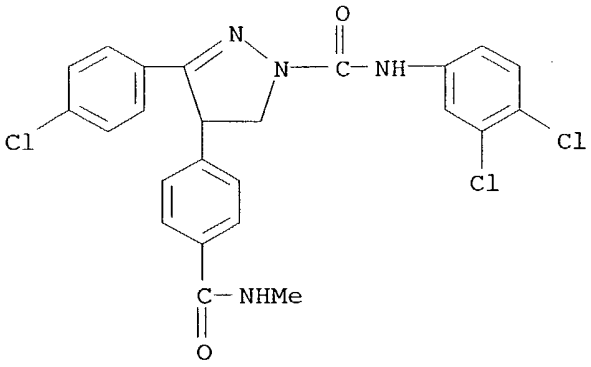


RN 120986-41-6 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-N-(4-fluorophenyl)-4,5-dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

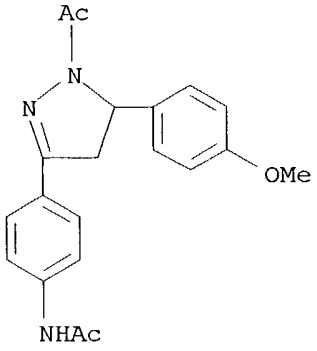


RN 120986-42-7 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-N-(3,4-dichlorophenyl)-4,5-

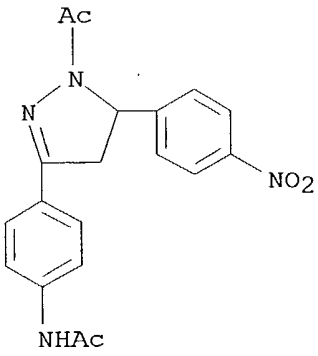
dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



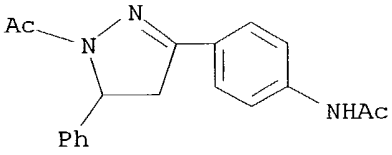
L25 ANSWER 68 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:492871 CAPLUS
DN 109:92871
TI Synthesis of some new β-lactams, 4-thiazolidinones and pyrazolines
AU Fahmy, A. M.; Hassan, K. M.; Khalaf, A. A.; Ahmed, R. A.
CS Fac. Sci., Assiut Univ., Assiut, Egypt
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1987), 26B(9), 884-7
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 109:92871
AB Reaction of ClCH2COCl and HSCH2CO2H with RC6H4CH:NC6H4COMe-4 (R = 4-Cl, 4-OMe, 4-Me, 4-OH, 2-OH) gave β-lactam I and thiazolidinones II, resp. 4-R1CH:NC6H4COCH:CHR1 (R1 = Ph, 4-ClC6H4, 4-O2NC6H4, 4-MeOC6H4, 4-MeC6H4, 3-O2NC6H4) were converted to pyrazolines, e.g. III (R2 = NHAc, N:CHR1). Cyclocondensation of 4-R3CH2CONHC6H4COCH:CHR1 (R1 = Ph, 4-ClC6H4, 4-O2NC6H4, 4-MeOC6H4; R3 = piperidino, morpholino) with N2H4, PhNHNH2 and thiourea gave pyrazolines IV and V and pyrimidinethiones VI resp. The newly prepared compds. were tested for antibacterial and antifungal activity and were moderately active.
IT **85791-61-3P 85791-62-4P 115848-69-6P**
115848-70-9P 115848-71-0P 115848-72-1P
115848-90-3P 115848-91-4P 115848-92-5P
115848-93-6P 115848-94-7P 115848-95-8P
115848-96-9P 115848-97-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antibacterial and antifungal activity of)
RN 85791-61-3 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



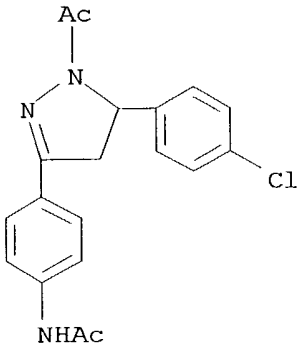
RN 85791-62-4 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



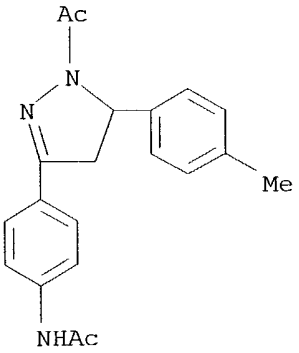
RN 115848-69-6 CAPLUS
CN Acetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



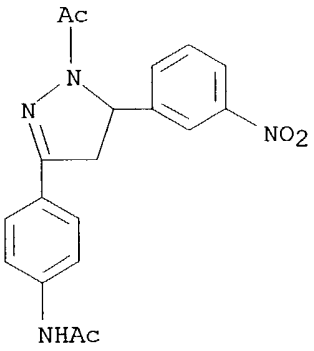
RN 115848-70-9 CAPLUS
CN Acetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



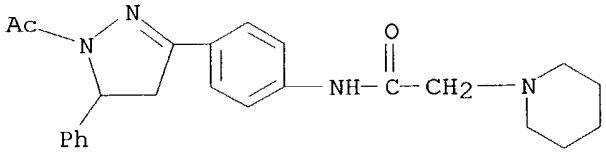
RN 115848-71-0 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



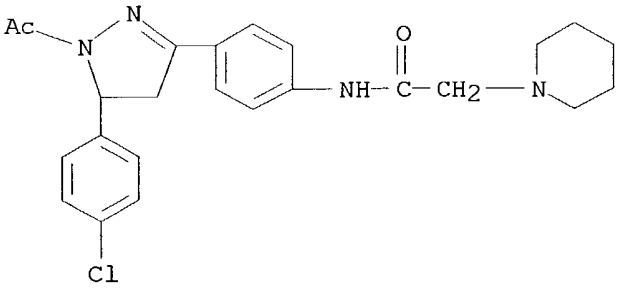
RN 115848-72-1 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(3-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



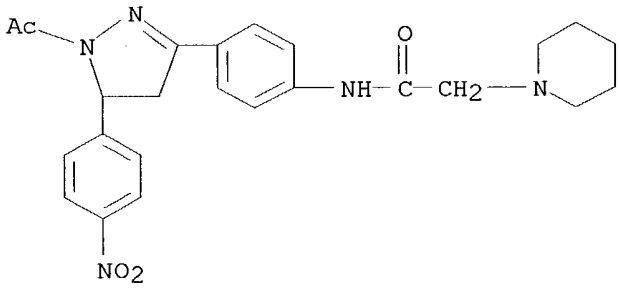
RN 115848-90-3 CAPLUS
CN 1-Piperidineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



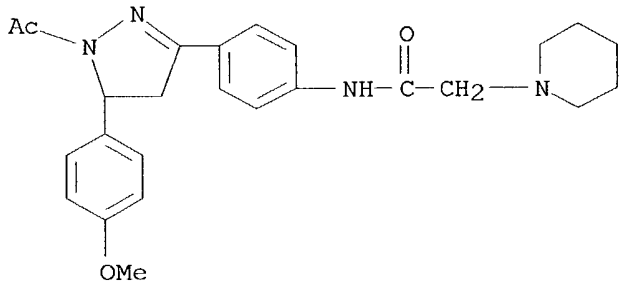
RN 115848-91-4 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



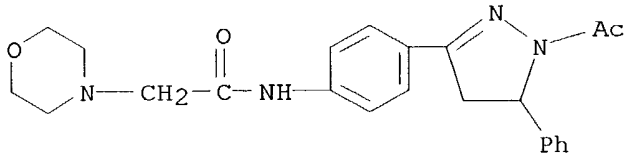
RN 115848-92-5 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 115848-93-6 CAPLUS
CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

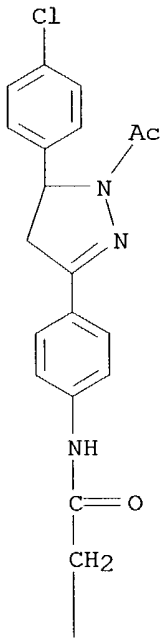


RN 115848-94-7 CAPLUS
CN 4-Morpholineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

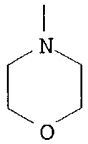


RN 115848-95-8 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

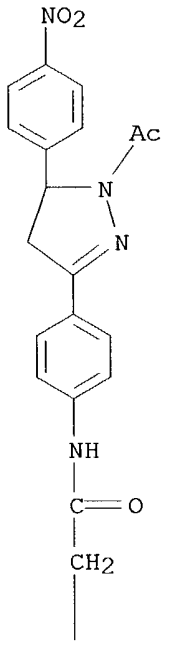


PAGE 2-A

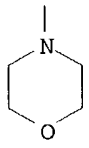


RN 115848-96-9 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

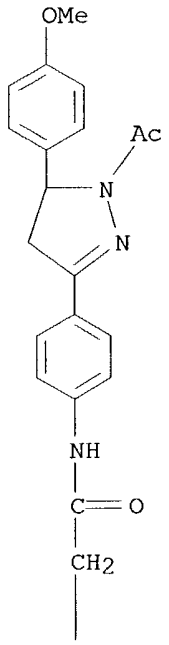


PAGE 2-A

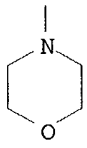


RN 115848-97-0 CAPLUS
CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

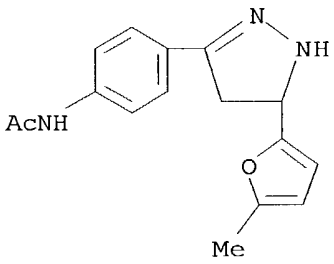
PAGE 1-A



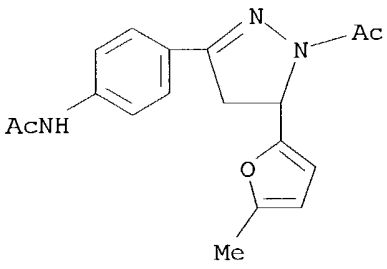
PAGE 2-A



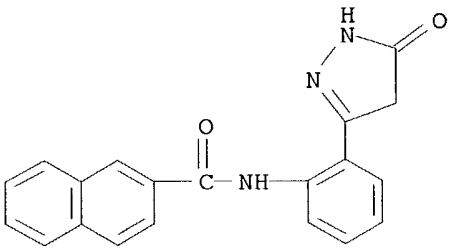
L25 ANSWER 69 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:598165 CAPLUS
DN 107:198165
TI Synthesis and biological testing of some α-thienyl and α-furyl derivatives
AU El-Kerdawy, M. M.; El-Emam, A. A.
CS Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
SO Journal of the Chemical Society of Pakistan (1987), 9(2), 285-93
CODEN: JCSPDF; ISSN: 0253-5106
DT Journal
LA English
OS CASREACT 107:198165
AB Cyclocondensation of furyl chalcone analogs I (X = O, R = Me, R1 = 2-thienyl, C6H4NHAc-4) with R2NHNH2 [R2 = H, Ph, 4-O2NC6H4, 2,4-(O2N)2C6H3] gave pyrazolines II in 45-80% yields. Condensation of II (R2 = Ph, R1 = C6H4NH2-4) with aldehydes, e.g. R3C6H4CHO (R3 = H, 4-MeO, 4-HO, 2-Me2N) gave the corresponding anils, e.g. II (R2 = Ph, R1 = 4-C6H4N:CHC6H4R3) in 40-75% yields. Cyclocondensation of I (X = O, S, R = H, Me, Br, R1 = 2-thienyl, C6H4NHAc-4) with H2NCOCH2CN gave pyridones III (R4 = CN) in 55-60% yields. Alkylation of III (X = S, R = H, R1 = 2-thienyl, R4 = CN) with Grignard reagents gave III (R4 = Ac, COEt, Bz) in 50-75% yields. II [R1 = 2-thienyl, R2 = 2,4-(O2N)2C6H3; R1 = 4-C6H4N:CHC6H3BrOH-5,2, R2 = Ph] were active against Staphylococcus aureus and Candida albicans, resp., and an LD50 study was carried out for II [R1 = 2-thienyl, R2 = 2,4-(O2N)2C6H3].
IT **111121-65-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, with acetic anhydride)
RN 111121-65-4 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(5-methyl-2-furanyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



IT **111121-73-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111121-73-4 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(5-methyl-2-furanyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)


N
N
Ac
AcNH
O
Me

L25 ANSWER 70 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:102210 CAPLUS
DN 106:102210
TI Synthesis and some reactions of 2-(α/β-naphthyl)-3,1-benzoxazin-4(H)-ones and 3-amino-2-(β-naphthyl)quinazolin-4(3H)-one.
AU Mohamed, M. M.; El-Khamary, A. A.; El-Nagdy, S.; Shoshaa, S. W.
CS Fac. Sci., Ain Shams Univ., Cairo, Egypt
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(2), 207-11
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 106:102210
AB The title benzoxazinones I (R = 1-naphthyl, 2-naphthyl) have been prepared by the reaction of α- or β-naphthoyl chloride (2 mol) and anthranilic acid (1 mol) in the presence of a catalytic amount of pyridine, and their reactions with amines, formamide and active methylene compds. have been studied. 3-Aminoquinazolinone II (X = O) has been synthesized by the action of hydrazine hydrate on I (R = 2-naphthyl) in n-butanol and its reaction with Ac2O, aldehydes, esters, and P2S5 has been investigated. Cleavage of the C:S bond in the thione II (X = S) with N2H4.H2O and copper bronze has been observed
IT **106696-40-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106696-40-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-[2-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

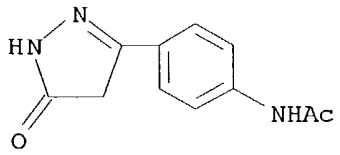


L25 ANSWER 71 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:524288 CAPLUS
DN 105:124288
TI Heat-developable photosensitive material
IN Sato, Kozo; Yabuki, Yoshiharu; Hirai, Hiroyuki; Kawata, Ken
PA Fuji Photo Film Co., Ltd. , Japan
SO Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 177033	A2	19860409	EP 1985-112479	19851002
	EP 177033	A3	19861120		
	EP 177033	B1	19880824		
	R: DE, GB, NL				
	JP 61084640	A2	19860430	JP 1984-206833	19841002
	JP 04013704	B4	19920310		
	CA 1256733	A1	19890704	CA 1985-491866	19850930
	US 4657848	A	19870414	US 1985-782811	19851002
PRAI	JP 1984-206833		19841002		

AB A heat-developable photosensitive material is described containing a base precursor of the formula I (R = H, alkyl, cycloalky, alkenyl, alkynyl, aralkyl, aryl, heterocyclyl, alkylene, cycloalkylene, alkenylene, alkynylene, aralkylene, arylene, or a divalent heterocyclic group; R1 = H or alkyl group; R2 = alkyl, alkoxyl, halogen, acylamino, sulfonylamino, alkylamino, dialkylamino, alkylsulfonyl, arylsulfonyl, CN, carbamoyl, sulfamoyl, or alkoxycarbonyl; Z = CO, CO2, NR3CO, SO2, NR3SO2, PO3R4, or POR, where R3 = H or alkyl and R4 = alkyl; M = alkali metal, alkaline earth metal, quaternary ammonium group or an ammonium group represented by BH where B = organic base; l = 0-3; m, n = 1 or 2. The material provides an image of high d., decreased fog, improved stability under high temperature and high humidity, and good photog. performance. Thus a poly(ethylene terephthalate) support film was coated with a composition containing a Ag(Br,I) emulsion 10, a gelatin dispersion of a coupler 3.5, CH3CONH-p-C6H4C.tplbond.CCO2H.(NH)C(NH2)2 base precursor 0.24, 10% aqueous solution of gelatin 5 g, and a solution of 2 g of 2,6-dichloro-p-aminophenol in 17 mL of H2O. The material was imagewise exposed for 5 s to 2000 lx light and heated for 20 s at 150° to obtain a cyan image with min. d. of 0.16 and a maximum d. of 2.15.

IT **99844-13-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
RN 99844-13-0 CAPLUS
CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



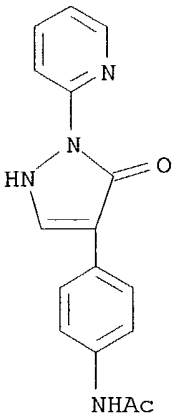
L25 ANSWER 72 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:186404 CAPLUS
DN 104:186404
TI 2-Heteroaryl-4-aryl-4-pyrazolin-3-ones
IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul; Schmitt, Hans Georg; Paulus, Wilfried
PA Bayer A.-G. , Fed. Rep. Ger.
SO Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 165448	A2	19851227	EP 1985-105794	19850511
	EP 165448	A3	19880907		
	EP 165448	B1	19911016		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
	DE 3419127	A1	19851128	DE 1984-3419127	19840523
	DE 3430433	A1	19860227	DE 1984-3430433	19840818
	AT 68493	E	19911115	AT 1985-105794	19850511
PRAI	DE 1984-3419127		19840523		
	DE 1984-3430433		19840818		
	EP 1985-105794		19850511		

AB Fungicidal title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, amino, (un)substituted alkyl, alkoxy, condensed carbocycle, heterocycle; R2 = alkoxy, alkylthio, halo, cyano, NO2, CONH2, (un)substituted alkyl, condensed carbocyclo; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prepared Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were refluxed in EtOH followed by addition of aqueous NaOH and further refluxing to give 63% I (R = H, X = Z = N, Y = CH, m = n = 0). I are more effective fungicides against, e.g., Phytophthora infestans on tomato plants than known agricultural fungicides.

IT **101960-03-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as agricultural fungicide)

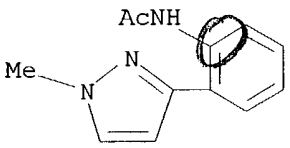
RN 101960-03-6 CAPLUS
CN Acetamide, N-[4-[2,3-dihydro-3-oxo-2-(2-pyridinyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)


N
N
HN
O
NHAc

L25 ANSWER 73 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:575812 CAPLUS
DN 99:175812
TI Herbicidal sulfonamides
IN Wolf, Anthony David; Rorer, Morris Padgett
PA du Pont de Nemours, E. I., and Co. , USA
SO Eur. Pat. Appl., 271 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 83975	A2	19830720	EP 1983-300073	19830106
	EP 83975	A3	19840801		
	EP 83975	B1	19871119		
	R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
	US 4465505	A	19840814	US 1982-428806	19821007
	US 4511392	A	19850416	US 1982-436631	19821029
	AT 30915	E	19871215	AT 1983-300073	19830106
	CA 1239929	A1	19880802	CA 1983-419031	19830106
	US 4606755	A	19860819	US 1984-685026	19841221
	US 4695311	A	19870922	US 1986-861260	19860509
	US 4810282	A	19890307	US 1987-60204	19870610
PRAI	US 1982-337932		19820107		
	US 1982-337934		19820107		
	US 1982-428806		19821007		
	US 1982-436631		19821029		
	EP 1983-300073		19830106		
	US 1984-685026		19841221		
	US 1986-861260		19860509		

OS CASREACT 99:175812
AB Benzenesulfonamides I (R = azolyl, azinyl; R1 = H, F, Cl, Br, Me, CF3, OMe; R2 = H, Me; R3 = substituted pyrimidinyl, triazinyl; X = O, S) (67 compds.) were prepared Thus, 2-O2NC6H4COMe was treated with Me2NCH(OMe)2 to give 2-O2NC6H4COCH:CHNMe2, which was cyclized with NH2OH to the isoxazole II (R4 = NO2). Reduction of the nitro group, diazotization, and reaction with SO2-HCl gave II (R4 = SO2Cl), which was amidated and treated with BuNCO and COCl2 to give II (R4 = SO2NCO). Treatment of the isocyanate with 2-amino-4,6-dimethoxypyrimidine gave III which, at 0.05 kg/ha preemergence, gave total control of e.g., nutsedge.
IT **87488-79-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 87488-79-7 CAPLUS
CN Acetamide, N-[2-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

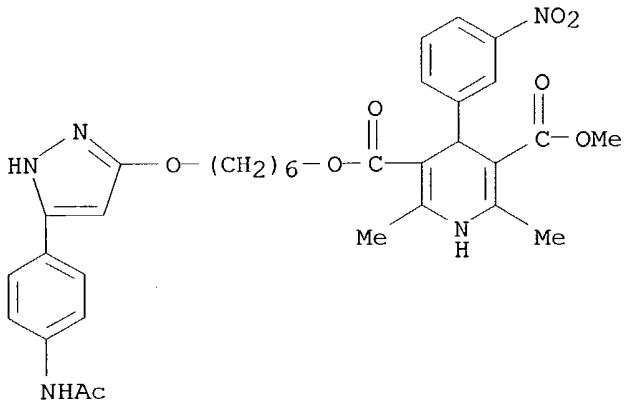


Intermediate

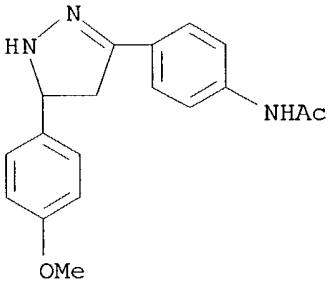
L25 ANSWER 74 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:438457 CAPLUS
DN 99:38457
TI 1,4-Dihydropyridine derivatives with vasodilating and hypotensive activity
PA Tokyo Tanabe Co. Ltd., Japan
SO Fr. Demande, 81 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2511370	A1	19830218	FR 1982-14008	19820811
	FR 2511370	B1	19861024		
	JP 58026882	A2	19830217	JP 1981-125216	19810812
	JP 63021674	B4	19880509		
	JP 58131982	A2	19830806	JP 1982-13398	19820201
	JP 63023193	B4	19880516		
	GB 2108108	A1	19830511	GB 1982-23168	19820811
	GB 2108108	B2	19850807		
	DE 3230400	A1	19830324	DE 1982-3230400	19820812
	DE 3230400	C2	19930225		
	US 4418197	A	19831129	US 1983-457867	19830113
PRAI	JP 1981-125216		19810812		
	JP 1982-13398		19820201		

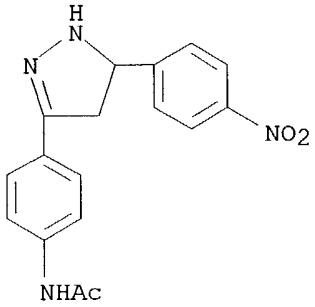
OS CASREACT 99:38457
AB Pyrazoles I [X = (un)substituted (CH2)6; R = O2NC6H4, dihalophenyl; R1 = alkyl, alkoxyalkyl; R2 = (un)substituted alkyl, pyridyl, Ph] (119 compds.) were prepared Thus, I [X = (CH2)6, R = 3-O2NC6H4, R1 = Me, R2 = 5-Me, II] was obtained in 62.4% yield by treating the tosyloxyhexyl dihydropyridinecarboxylate with 3-methyl-5-pyrazolone. At 3 μg/kg i.v. in dogs II gave a decrease in blood pressure of 14.5 ± 1.3 mmHg for 46.5 ± 2.8 min. and a change in heart rate of 0.8 beats/min.
IT **86419-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive activity of)
RN 86419-06-9 CAPLUS
CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 6-[[5-[4-(acetylamino)phenyl]-1H-pyrazol-3-yl]oxy]hexyl methyl ester (9CI) (CA INDEX NAME)



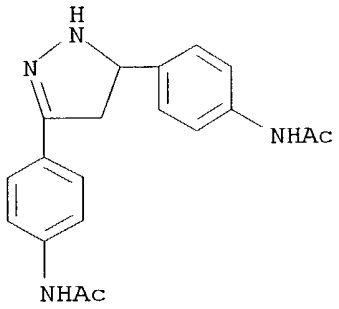
L25 ANSWER 75 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:197690 CAPLUS
DN 98:197690
TI Syntheses and investigations of amino ketones. V. Reactions of 4'-acetamidochalcones with hydroxylamine and hydrazine
AU Sykulski, Jerzy; Rokita-Trygubowicz, Teresa
CS Inst. Fundam. Chem. Sci., Sch. Med., Lodz, 90-145, Pol.
SO Acta Poloniae Pharmaceutica (1982), 39(1-3), 89-93
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA Polish
OS CASREACT 98:197690
AB 4'-Acetamidochalcone refluxed with NH2OH.HCl in EtOH gave I (R = R1 = R2 = H) (II). I (R = Ac, R1 = H, R2 = MeO and NO2) were prepared analogously. II with Ac2O gave I (R = R1 = Ac, R2 = H). A similar acetylation gave rise to the formation of I (R = R1 = Ac, R2 = NO2). Pyrazoline derivs. III (R2 = MeO, NO2, NHAc, R3 = H) were obtained in the reaction of the appropriate aminochalcones with NH2NH2.H2O in EtOH; their subsequent acetylation gave the corresponding III (R3 = Ac).
IT **85791-58-8P 85791-59-9P 85791-60-2P**
85791-61-3P 85791-62-4P 85791-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 85791-58-8 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)



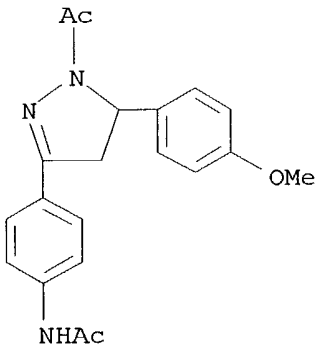
RN 85791-59-9 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)



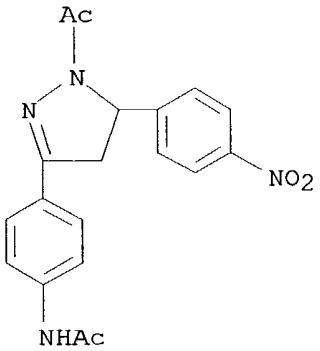
RN 85791-60-2 CAPLUS
CN Acetamide, N,N'-[(4,5-dihydro-1H-pyrazole-3,5-diyl)di-4,1-phenylene]bis- (9CI) (CA INDEX NAME)



RN 85791-61-3 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

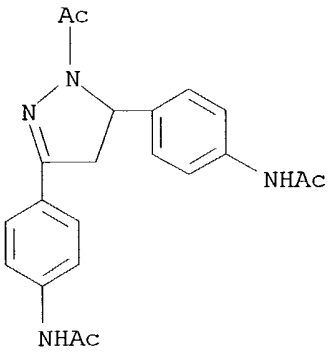


RN 85791-62-4 CAPLUS
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

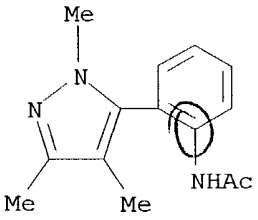


RN 85791-63-5 CAPLUS
CN Acetamide, N,N'-[(1-acetyl-4,5-dihydro-1H-pyrazole-3,5-diyl)di-4,1-

phenylene]bis- (9CI) (CA INDEX NAME)

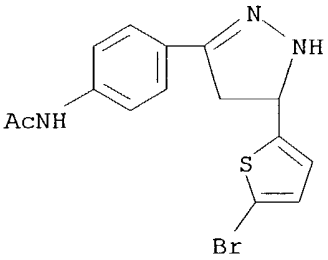


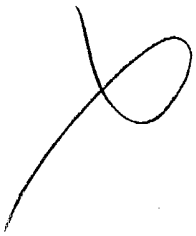
L25 ANSWER 76 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:179274 CAPLUS
DN 98:179274
TI The ring closure and rearrangement of N-(2-aminobenzoyl)-N-methylhydrazones of β-dicarbonyl compounds
AU Gal, Melinda; Feher, Odon; Tihanyl, Endre; Horvath, Gyula; Jerkovich, Gyula
CS Inst. Drug Res., Budapest, H-1325, Hung.
SO Tetrahedron (1982), 38(19), 2933-8
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 98:179274
AB N-(2-Aminobenzoyl)-N-methylhydrazones of β-dicarbonyl compds. underwent a variety of cyclization and rearrangement reactions depending on the substituents and the reaction media. MeCOCHRCMe:NNMeCOC6H4NR1R2-o [I; R-R2 = H (II); R = H, R1 = Me, R2 = H, Me] without solvent at 160° or in refluxing tetralin gave the corresponding pyrazoles III. Refluxing II in EtOH/NaOEt gave 79.7% IV. Refluxing I (R = Me, R1 = R2 = H) in EtOH/NaOEt for 1 h gave 24.2% pyrazoloquinolinone V and 40.6% pyrazole VI.
IT **75075-90-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 75075-90-0 CAPLUS
CN Acetamide, N-[2-(1,3,4-trimethyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



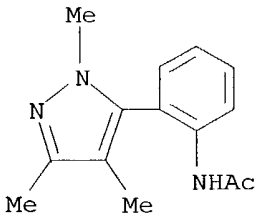
Intermediate

L25 ANSWER 77 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:174968 CAPLUS
DN 94:174968
TI Synthesis of some pyrazolines as schistosomicidal agents
AU Abou Ouf, A. A.; El-Kerdawy, M. M.; Farghaly, A. M.; Moustafa, M. A.
CS Pharm. Chem. Dep., Mansoura Fac. Pharm., Mansoura, Egypt
SO Journal of Drug Research (1979), 11(1-2), 73-80
CODEN: JDGRAX; ISSN: 0368-1866
DT Journal
LA English
AB Condensation of 5-bromo-2-thiophinecarboxaldehyde with RC6H4COMe (R = H, 4-Me, 4-AcNH, 2-HO) gave the chalcones I, which cyclized with N2H4 to give pyrazolines II (R1 = H). Acetylation of II (R1 = H) gave II (R1 = Ac). IR and NMR spectra of these compds. were recorded, but their schistosomicidal activities were not tested.
IT **77345-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
RN 77345-09-6 CAPLUS
CN Acetamide, N-[4-[5-(5-bromo-2-thienyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

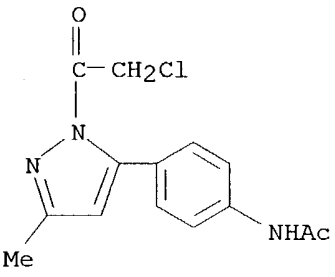




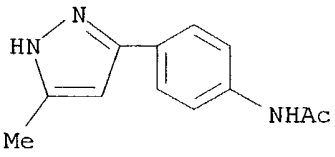
L25 ANSWER 78 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:604524 CAPLUS
DN 93:204524
TI The ring closure and rearrangement of 1-(2-amino)-benzoyl-1-methylhydrazones of β-dicarbonyl compounds: on the formation and crystal structure of 3a,9a-dihydro-1,3,3a,9a-tetramethyl-4H-pyrazolo[3,4-b]quinolin-4-one
AU Gal, Melinda; Feher, Odon; Tihanyi, Endre; Horvath, Gyula; Jerkovich, Gyula; Argay, Gyula; Kalman, Alajos
CS Inst. Drug Res., Budapest, H-1325, Hung.
SO Tetrahedron Letters (1980), 21(16), 1567-70
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 93:204524
AB 2-H2NC6H4CONMeN:CMeCHRCOMe (I; R = H) reacted with NaOEt/EtOH giving pyrazoloquinoline II, whereas on thermolysis pyrazole III (R = COC6H4NH2-2, R1 = Me) was obtained. I (R = Me) reacted with NaOEt/EtOH giving III (R = Me, R1 = C6H4NHAc-2) and the title pyrazoloquinolinone (IV). The structure of IV was determined by x-ray crystallog. anal. The cyclization mechanism is discussed.
IT **75075-90-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 75075-90-0 CAPLUS
CN Acetamide, N-[2-(1,3,4-trimethyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



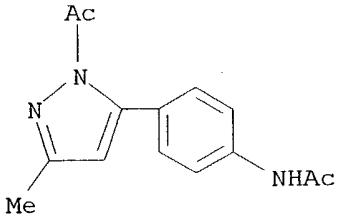
L25 ANSWER 79 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1979:474524 CAPLUS
DN 91:74524
TI Syntheses and studies on amino ketones. Part III. Reaction of 1-(p-acetamidophenyl)butane-1,3-dione with hydrazine
AU Sykulski, Jerzy; Rokita-Trygubowicz, Teresa
CS Dep. Org. Chem., Sch. Med., Lodz, 90145, Pol.
SO Polish Journal of Chemistry (1979), 53(2), 395-401
CODEN: PJCHDQ; ISSN: 0137-5083
DT Journal
LA English
OS CASREACT 91:74524
AB The title reaction gave I (R = Ac) or its tautomer. Deacetylation with HCl gave I (R = H) or its tautomer. Several ring-acylated derivs. of I (R = Ac, H) were prepared
IT **70958-36-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and mass spectrum of)
RN 70958-36-0 CAPLUS
CN Acetamide, N-[4-[1-(chloroacetyl)-3-methyl-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



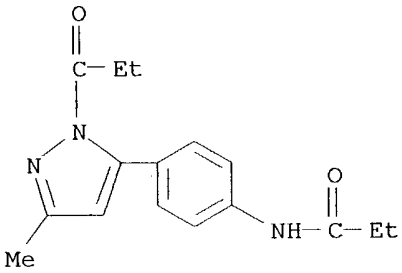
IT **70958-31-5P 70958-33-7P 70958-34-8P**
70958-35-9P 70958-37-1P 70958-38-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 70958-31-5 CAPLUS
CN Acetamide, N-[4-(5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



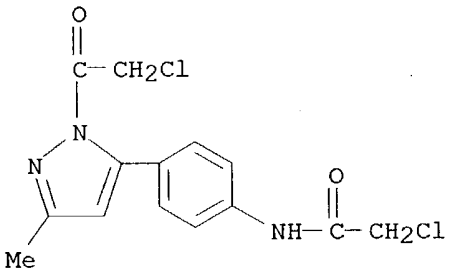
RN 70958-33-7 CAPLUS
CN Acetamide, N-[4-(1-acetyl-3-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



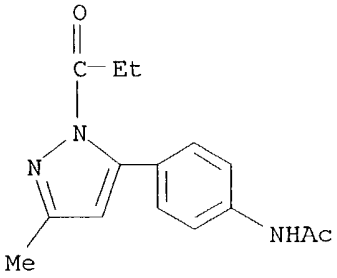
RN 70958-34-8 CAPLUS
CN Propanamide, N-[4-[3-methyl-1-(1-oxopropyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



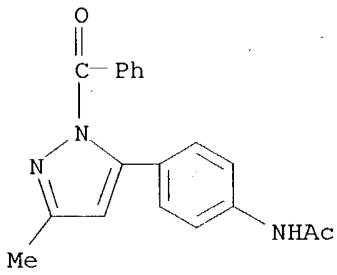
RN 70958-35-9 CAPLUS
CN Acetamide, 2-chloro-N-[4-[1-(chloroacetyl)-3-methyl-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 70958-37-1 CAPLUS
CN Acetamide, N-[4-[3-methyl-1-(1-oxopropyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 70958-38-2 CAPLUS
CN Acetamide, N-[4-(1-benzoyl-3-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



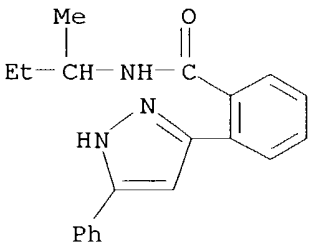
L25 ANSWER 80 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1973:58410 CAPLUS
DN 78:58410
TI Plant growth regulating 3,5-diphenylpyrazoles
IN Johnson, Alexander Lawrence; Sweetser, Philip Bliss
PA du Pont de Nemours, E. I., and Co.
SO Ger. Offen., 70 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2219702	A	19721116	DE 1972-2219702	19720421
	IL 39092	A1	19760229	IL 1972-39092	19720327
	IT 955155	A	19730929	IT 1972-22831	19720407
	AU 7241026	A1	19731018	AU 1972-41026	19720412
	ZA 7202576	A	19730131	ZA 1972-2576	19720417
	ES 401879	A1	19760201	ES 1972-401879	19720418
	CA 982588	A1	19760127	CA 1972-140051	19720419
	BR 7202417	A0	19730503	BR 1972-2417	19720420
	NL 7205441	A	19721024	NL 1972-5441	19720421
	FR 2136595	A5	19721222	FR 1972-14198	19720421
	JP 58011401	B4	19830302	JP 1972-39729	19720421
PRAI	US 1971-136576		19710422		
	US 1972-230508		19720229		

AB About 30 title compds. (e.g. I, Rn = 4-F, 3-MeO, 4-Me, 3-Br, 2,4,6-Me3, 4-Cl, or 2-MeO; R1 = H or Et; R2 = H, Me, or Ac; R3 = OH, OMe, OEt, OPr, OBu, OCH2CH2OH, COSH, CONH2, or CONHBu; R4 = H or Me) were prepared either from phthalic anhydride (or its 4-methyl derivative) and MeCOC6H5-nRn via 2,4-NaO2CR4C6H3COCHNaCOC6H5-nRn and reaction with R2NHNH2 or (in the case of R1 = R2 = R4 = H) by cleavage of II with R3H and optionally Na. I were used against weeds in culture plant fields, e.g. sugar cane, soybean, peanut, or citrus, and for growth regulation of cotton, soybeans, and peanuts.

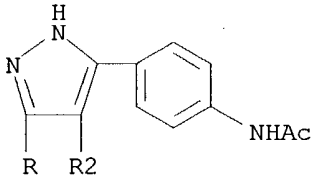
IT **39785-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

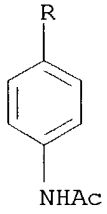
RN 39785-15-4 CAPLUS
CN Benzamide, N-(1-methylpropyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

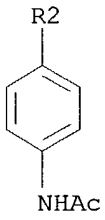


L25 ANSWER 81 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:498492 CAPLUS
DN 75:98492
TI 3,4,5-Triphenylpyrazoles
AU Comrie, A. M.
CS Sch. Pharm. Sci., Univ. Strathclyde, Glasgow, UK
SO Journal of the Chemical Society [Section] C: Organic (1971), (16), 2807-10
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
AB Benzoin reacted with N2H4.HCl in EtOH to give benzil, benzil azine, deoxybenzoin, BzH, PhCN, BzOH, BzOEt, desylamine-HCl, 3,4,5-triphenylpyrazole (I), and 3,4,5,6-tetraphenylpyridazine and their hydrochlorides. N-Alkyl derivs. of I were prepared from the dialkyl sulfates, and N-acyl and N-sulfonyl derivs. from the acid and sulfonyl chlorides. Nitration of I gave 3,4,5-tris(p-nitrophenyl)pyrazole, and bromination gave a mixture of bromo derivs.
IT **33314-45-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33314-45-3 CAPLUS
CN Acetanilide, 4',4''',4'''''-pyrazole-3,4,5-triyltris- (8CI) (CA INDEX NAME)

PAGE 1-A






R2
NHAc

L25 ANSWER 82 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:59354 CAPLUS
DN 74:59354
TI Photographic product containing a chromogenic coupler
IN Barr, Charles R.
PA Eastman Kodak Co.
SO Fr. Demande, 39 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

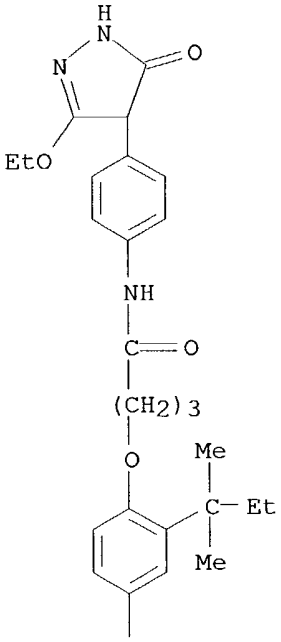
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2011487		19700306		
	GB 1269072			GB	
	US 3620746		19710000	US	
PRAI	US		19680401		

AB A photog. product for recording several images consists of a support on which are coated 2 hydrophilic colloid Ag halide emulsion layers. The 1st layer next to the support is made up of a coarse-grained emulsion sensitive to ≥1 portion of the spectrum which upon exposure and development can form in the presence of the oxidation products of a color developer with primary aromatic groups a colored image. The 2nd layer of hydrophilic colloid contains a Ag halide emulsion with finer grains than those of the 1st layer and which is sensitive to a portion of the spectrum different from that of the 1st layer. The 2nd emulsion contains, in addition, (1) a coupler which reacts with the oxidation products of a primary aromatic amine developer so as to form a colored image, which is preferably of a different color from the image formed in the 1st layer and (2) a nondiffusible hydroquinone derivative of general formula I which liberates a developer inhibitor, where Q and Q1 are H or an acyl group which is eliminated in an alkaline medium; R is a heterocyclic radical such as 5-tetrazolyl, 2-benzoxazolyl, 2-benzothiazolyl, or 2-oxadiazolyl; B is a photog. inert group which renders the compound nondiffusible; Q2 is H, SO3M, or CO2M where M is H or an alkaline metal. Nondiffusible couplers containing methylenic chains, such as the cyanoacetyl derivs. cyanoacetylcoumarone and cyanoacetylbenzoyl, are used.

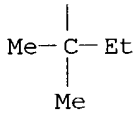
IT **32180-71-5**
RL: USES (Uses)
(photographic coupler)

RN 32180-71-5 CAPLUS
CN Butyranilide, 4-(2,4-di-tert-pentylphenoxy)-4'-(3-ethoxy-5-oxo-2-pyrazolin-4-yl)- (8CI) (CA INDEX NAME)

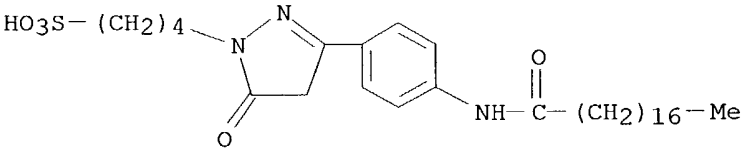
PAGE 1-A



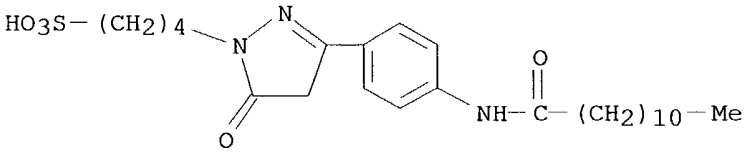
PAGE 2-A



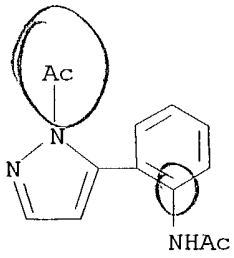
L25 ANSWER 83 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1967:46385 CAPLUS
DN 66:46385
TI Synthesis of water soluble hydrazines and 5-pyrazolones
AU Schindler, Wolfgang
SO Veroeffentlichungen der Wissenschaftlichen Photo-Laboratorien, Wolfen (1965), 10, 277-82
CODEN: VWPWAI; ISSN: 0372-6975
DT Journal
LA German
AB Butane sultone (28 g.) was added portionwise to 100 g. 60% H2NNH2.H2O (I) and the exothermic reaction cooled with water gave ω-sulfobutyl hydrazine (II), m. 163-5°. II (4.2 g.) boiled in 350 ml. alc. 0.5 hr. with 2.6 g. BzH under reflux gave N-(ω-sulfobutyl)-N'-benzylidenehydrazine, m. 172-4°. Propane sultone (12.2 g.) was added with cooling and stirring to 50 g. 50% I to give 78% ω-sulfopropylhydrazine, m. 195-7°. Thiosemicarbazide (9.1 g.) in 100 ml. 50% MeOH was treated by stirring at room temperature with 14 g. butane sultone. After keeping at least 20 hrs., the solvent was distilled in vacuo. After several days, the clear viscose precipitate was treated with MeOH and boiled briefly to give 53% N1-ω-(sulfobutyl)thiosemicarbazide, m. 195-7°. II (0.02 mole) and the corresponding β-oxo ester (0.02 mole) boiled under reflux in 10-20 ml. AcOH gave III. The following III were prepared (R, m.p., and % yield given): Me, 200-3°, 85; Ph, 262-5°, 54; p-O2-NC6H4 (IV), 280-5°, 23; p-H2NC6H4 (V), >300°, 34 (prepared from IV by reduction with Raney Ni); C17H35, 115-25°, 22; C6H4OC18H37-p, 290-5°, 45; C6H4NHCOC17H35-p, 238-40°, 35; C6H4NHCOC11H23-p, 226-30°, 81. The last two compds. were prepared by heating V and the corresponding acid chloride 2 hrs. in a 2:1 mixture of HC-ONMe2-C5H5N.
IT **14369-11-0P 14369-12-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14369-11-0 CAPLUS
CN 2-Pyrazoline-1-butanesulfonic acid, 5-oxo-3-(p-stearamidophenyl)- (8CI) (CA INDEX NAME)

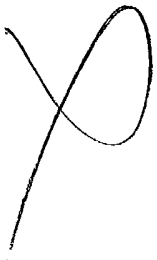


RN 14369-12-1 CAPLUS
CN 2-Pyrazoline-1-butanesulfonic acid, 3-(p-lauramidophenyl)-5-oxo- (8CI) (CA INDEX NAME)

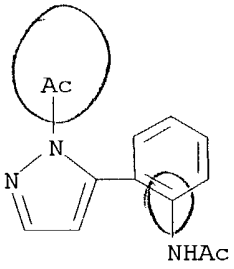


L25 ANSWER 84 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1963:81516 CAPLUS
DN 58:81516
OREF 58:13950g-h,13951a-b
TI Interaction and association of bases and nucleosides in aqueous solutions
AU Ts'o, Paul O. P.; Melvin, Ingelore S.; Olson, Alfred C.
CS California Inst. of Technol.
SO Journal of the American Chemical Society (1963), 85, 1289-96
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable
AB The molal osmotic coefficients (ϕ) of aqueous purine, uridine, and cytidine in the concentration range of 0.1 to 1.0 molal, and inosine and caffeine at 0.1 molal, have been determined by thermoelec. measurements of vapor pressure lowering at 25°. The activity coefficients of purine, uridine, and cytidine were calculated The data indicate that these solutes associate extensively in solution and that the association process does not proceed simply to the dimer stage, but continues to form higher polymers. The results are consistent with a set of association processes which have equal equilibrium consts. for all successive steps. Equilibrium consts. at 25° and standard free energies for association of purine, uridine, and cytidine were found to be 2.1, 0.6, 0.9 molal-1 and -440, 290, 80 cal./mole, resp. The interaction of one base with another base was examined by measuring the increase of solubility of adenine-C14 or thymine-C14 in the presence of varying concns. of a variety of interactants at 25.5° and 38°. The solubility of adenine or thymine was increased by the addition of soluble purine, nucleosides, pyrimidine, or phenol, and was essentially unchanged by the addition of cyclohexanol, adonitol, or urea. The total base-C14 in solution was assumed to be composed of the free base in solution and the H2O-soluble base-interactant complex. Equilibrium consts. for these association processes have been estimated, and they agree semiquant. with the results from measurements of ϕ. The tendency for association and interaction of the free bases and nucleosides in solution can be arranged in the series: purine-purine > purine-pyrimidine > pyrimidine-pyrimidine. The relationship of this finding to the vertical-stacking interaction of the bases in nucleic acids is discussed.
IT **93003-25-9**, Acetanilide, 2'-(1-acetylpyrazol-5-yl)-
(preparation of)
RN 93003-25-9 CAPLUS
CN Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME)

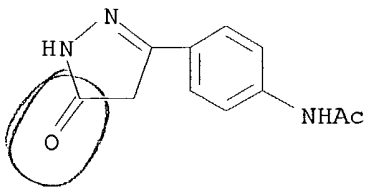




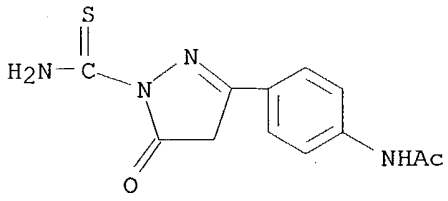
L25 ANSWER 85 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1963:81515 CAPLUS
DN 58:81515
OREF 58:13950f-g
TI Investigations in heterocycles. XII. The synthesis of pyrazolo[1,5-c]quinazolines
AU DeStevens, George; Halamandaris, Angela; Bernier, Marcel; Blatter, Herbert M.
CS CIBA Pharm. Co., Summit, NJ
SO Journal of Organic Chemistry (1963), 28, 1336-9
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA Unavailable
AB cf. CA 58, 523f. The facile rearrangement of 4-hydroxyquinoline and its derivs. in the presence of excess N2H4.H2O gives rise to 5-(o-aminophenyl)pyrazoles. These compds. in turn serve as intermediates in the synthesis of some new heterocycles, pyrazolo[1,5-c]quinazolines (I). The chemical and spectral properties of these substances are discussed.
IT **93003-25-9**, Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (preparation of)
RN 93003-25-9 CAPLUS
CN Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME)



L25 ANSWER 86 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1959:56397 CAPLUS
DN 53:56397
OREF 53:10188b-f
TI New antituberculosis agents. XXXVII. Thiosemicarbazones of oxo acids. 3. Thiosemicarbazones of aroylacetates and their derivatives
AU Belzecki, Czeslaw; Urbanski, Tadeusz
SO Roczniki Chemii (1958), 32, 779-87
CODEN: ROCHAC; ISSN: 0035-7677
DT Journal
LA Unavailable
AB p-RC6H4C(:NNHCSNH2)CH2CO2Et were prepared by the method above (R and m.p. given): NO2 (I), 170-2°; OMe (II), 123-4°; Br (III), 172-3° (all from alc. and all melting with decomposition). 3-C5H4NC(:NNHCSNH2)CH2CO2Et (IV), m. 153°, and 4-C5H4NC(:NNHCSNH2)CH2CO2Et (V), m. 169-70°, were similarly prepared Semicarbazones with R = NH2 (VI), m. 182°, and R = NHAc (VII), m. 152°, were prepared by melting 0.1 mole thiosemicarbazide and 0.1 mole carbonyl compound together, heating at 105-15° until the H2O was driven off, and working up. I-VII were cyclized by the method of B. and U. (ibid. 30, 781(1956)) to give p-R'C6H4C:N.N(CSNH2).CO.CH2 (R' and m.p. given): NO2, 264-8°; NH2, 224-6°; NHAc, 259-60°; OMe, 165°; Br, 251°; 3-pyridyl, 236°; and 4-pyridyl, 222-3°. The aroylacetic esters reacted with hydrazine to yield p-substituted 3-aryl-5-pyrazolones. When the substituents were NO2, NH2, NHAc, OMe, Br, 3-pyridyl, and 4-pyridyl, the m.ps. were 238-9°, 235-6°, 261-3°, 222-3°, 248-9°, 259-60°, and 278-9°, resp. The esters reacted with hydroxylamine to give p-substituted 3-aryl-5-isoxazolones. For the same substituents as above, the corresponding m.ps. were 161-3°, 182°, 190°, 143°, 141-3°, 151-3°, and 199°, resp. The tuberculostatic activity in vitro against M. tuberculosis BCG H37Rv and M. smegmatis was tested for all compds. The most efficient p-substituents in the thiosemicarbazones were OMe and Br, those in the thioformamidoarylpyrazolones were p-BrC6H4, and 3-pyridyl. When the thioformamide group was eliminated there was no influence of p-substituents.
IT **99844-13-0**, Acetanilide, 4'-(5-oxo-2-pyrazolin-3-yl)-
108801-36-1, 2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5-oxothio-
(preparation of)
RN 99844-13-0 CAPLUS
CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 108801-36-1 CAPLUS
CN 2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5-oxothio- (6CI) (CA INDEX NAME)


S
H2N
C
N
N
O
NHAc

L25 ANSWER 87 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1959:9371 CAPLUS
DN 53:9371
OREF 53:1747i,1748a-g
TI Vat dyes
IN Saftien, Karl; Anton, Ernst
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 923028		19550131	DE	

AB Vat dyes of intensive yellow, orange, red, or blue shades of good fastness properties and readily dyed in warm or hot vats, are obtained by mixing the chlorides of dicarboxylic acids of the structure HOOC-AXBCOOH, where A and B stand for the same or different aromatic groups and X for a 5- or 6-membered heterocyclic ring with ≥2 C atoms, with amino compds. of the anthraquinone series. For example, a mixture of the dichloride (I) of the 2,5-bis(p-carboxyphenyl)-1,3,4-oxadiazole 24.5, 1-aminoanthraquinone (II) 30.8, and dry PhNO2 350 parts is heated at 150° for 3 hrs. with good stirring. After cooling, the product is filtered, washed with PhNO2 and alc., and dried to give yellow needles, soluble in H2SO4, dyeing cotton yellow. I is prepared by oxidation of 2,5-bis(p-tolyl)-1,3,4-oxadiazole with chromic acid/glacial AcOH, followed by KMnO4, and treatment of the intermediate with SOCl2 in PhNO2. Similarly are prepared (components, color of product, and color on cotton given): 1-amino-5-benzamidoanthraquinone (III), I, yellow-red, reddish yellow; 4-amino-1,9-anthrapyrimidine, I, red-yellow, yellow; Na salt of 1-mercapto-2-aminoanthraquinone, I, yellow-brown, yellow (after treatment with alkaline NaOCl); I, 2-(1,4-diaminoanthraquinonyl)anthra[2,3]thiazole 5,10-dione, deep blue, blue; II, 2,5-bis(4-carboxyphenyl)-1,3,4-thiadiazole dichloride (IV), yellow, reddish yellow; IV, III, yellow-red, reddish yellow; II, 3,6-bis(4-carboxyphenyl)-1,2,4,5-tetrazine (V) and SOCl2, yellow, yellow; II, the dichloride (VI) of 4,5-bis(4-carboxyphenyl)-4-imidazolin-2-one, red-yellow, yellow; II, the dichloride (VII) of 3,5-bis(4-carboxyphenyl)pyrazole, red-yellow, yellow; III, VII, orange, reddish yellow; II, SOCl2, and 2,5-bis(4-carboxyphenyl)furan (VIII), orange, red-yellow; VIII, SOCl2, III, yellow-red, blue-violet; III, SOCl2, and 2-(p-carboxyphenyl)-5-(p-carboxybiphenylyl)-1,3,4-oxadiazole (IX), orange, yellow; III, the m,m'-dicarboxylic acid dichloride isomer (X) of I, orange, yellow; and III, SOCl2, and 2,5-bis(4-carboxyphenyl)-1,3,4-triazole (XI), red-yellow, yellow. IV is prepared from 2,5-di(p-tolyl)-1,3,4-thiadiazole (obtained by treatment of di(p-tolyl)hydrazine with PS5) by oxidation with CrO3 in glacial AcOH, then with KMnO4 in aqueous Na2CO3 solution and by subsequent conversion of the dicarboxylic acid formed into the dichloride with SOCl2. V is prepared by converting Me p-cyanobenzoate in Et2O with EtOH and HCl into an intermediate which with H2NNH2.H2SO4 and alkali is changed into a V ester from which V is obtained by saponification with alc. KOH. VI is prepared by condensing p-toluoin with urea in glacial AcOH to give 4,5-di(p-tolyl)4-imidazolin-2-one which is converted into the dicarboxylic acid of VI by oxidation with CrO3/glacial AcOH and KMnO4. Chlorination with SOCl2 yields VI. VII is obtained from bis(p-bromobenzoyl)methane which is converted into 3,5-bis(p-bromophenyl)pyrazole with H2NNH2.H2O. Conversion with CuCN in pyridine yields 3,5-bis(p-cyanophenyl)pyrazole from which, after saponification with dilute

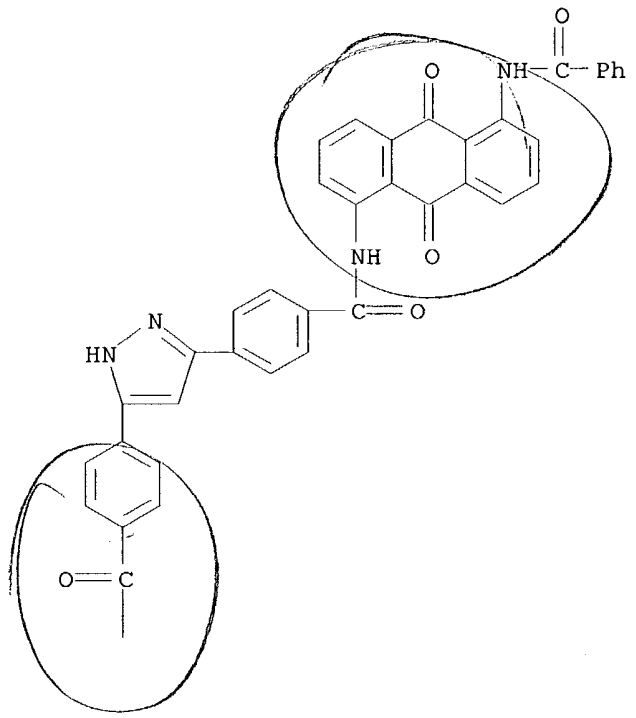
H2SO4, the dicarboxylic acid is obtained giving VII after treatment with SOCl2. VIII is produced by conversion of 2,5-bis(p-bromophenyl)furan with CuCN into 2,5-bis(p-cyanophenyl)furan and saponification with dilute H2SO4.H2NNH2 with 1 mole each of p-toluoyl chloride and p-tolylbenzoyl chloride gives 1-(p-toluoyl)-2-(p-methylphenylbenzoyl)hydrazine which is heated to 300°. The 2-(p-tolyl)-5-(p-methylbiphenylyl)-1,3,4-oxadiazole formed is oxidized with CrO3/glacial AcOH and KMnO4 to IX. X is obtained by oxidation of 2,5-di(m-tolyl)-1,3,4-oxadiazole with CrO3/glacial AcOH, reoxidation with KMnO4, and conversion into the dichloride with SOCl2. XI is produced from di(p-toluoyl)-1,3,4-triazole which is oxidized to XI with CrO3/glacial AcOH and KMnO4.

IT **120579-99-9**, Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]bis[5-benzamido- **122316-74-9**, Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di-
(preparation of)

RN 120579-99-9 CAPLUS

CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]bis[5-benzamido- (6CI) (CA INDEX NAME)

PAGE 1-A



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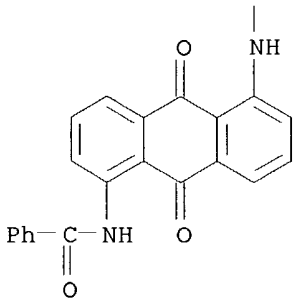
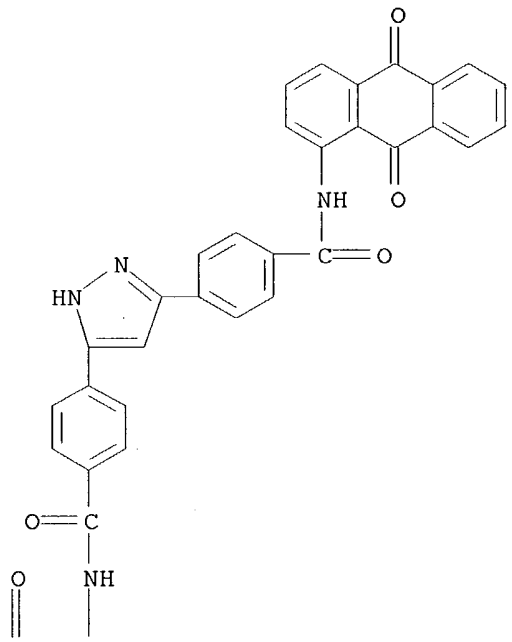


RN 122316-74-9 CAPLUS
CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di- (6CI) (CA INDEX NAME)

PAGE 1-A



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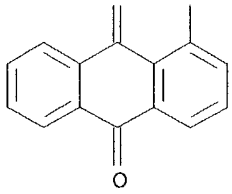
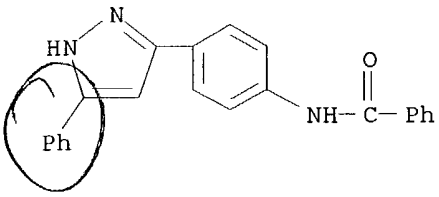


L25 ANSWER 88 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1958:15814 CAPLUS
DN 52:15814
OREF 52:2866b-h
TI N- and C-Benzoylation of p-aminoacetophenone with methyl benzoate by sodium amide. Synthesis of β-diketones having p-acylamino and p-hydroxy groups
AU Hauser, Charles R.; Eby, Charles J.
CS Duke Univ., Durham, NC
SO Journal of Organic Chemistry (1957), 22, 909-12
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA Unavailable
OS CASREACT 52:15814
AB p-H2NC6H4Ac (I) underwent N-benzoylation with NaNH2 and BzOMe (II) to give p-benzoylacetophenone (III) which then underwent C-benzoylation with these reagents to give the corresponding β-diketone amide (IV). IV was cyclized with N2H4 (V) and CO(NH2)2 (VI) to give a pyrazole (VII) and pyrimidol (VIII), resp. Other acylations of ketone amides and the benzoylation of p-HOC6H4Ac (IX) were effected to form the corresponding β-diketones. These condensations furnish a significant extension of the Claisen method of synthesis of β-diketones. The mechanism was considered to involve intermediate dianions. I (13.5 g.) left 0.5 hr. with 0.10, 0.30, or 0.40 mole NaNH2 in 350 ml. liquid NH3, then during 5 min. 0.10, 0.20, or 0.30 mole II added in an equal volume Et2O, the mixture stirred 1.5 hr., and the NH3 removed with simultaneous addition of Et2O, the mixture from equivalent amts. filtered, the solids triturated with 6N HCl, and dried gave 21.15 g. III, m. 199-201°; 10% unchanged I was recovered. In the expts. with excess NH2Na and II the crude product was dissolved in hot MeOH and excess saturated aqueous CuAc2 added, and the resulting precipitate collected to give the Cu chelate of IV, m. 362° (decomposition). The Cu salt dissolved in concentrated H2SO4 and poured on ice gave free IV, m. 184.5-6° (alc.), gave a red test with FeCl3. Evaporation of the mother liquors gave III. Thus, the yields of III and IV for the above reactions were (equivs. NaNH2, equivs. II, and % yield of III and IV given): 1, 1, 89, 0; 3, 2, 46, 32; 4, 3, 21, 54. III (12 g.) left 15 min. with 0.15 mole NaNH2 in 350 ml. NH3, then treated with 13.6 g. II in Et2O during 20 min., and left 1 hr., and worked up as above gave 8.9 g. IV. IV (1.7 g.) in 250 ml. MeOH treated dropwise with 4.8 g. V with heating, the heating continued 0.5 hr., the solution treated with 100 ml. H2O, and cooled gave 1.5 g. 3-p-N-benzamidophenyl-5-phenylpyrazole (VII), m. 247-50°, gave a neg. test with FeCl3. IV (3.43 g.), 70 ml. alc., and 0.96 g. VI refluxed 8 days with 11 ml. alc. 2.1N HCl gave 58% 4-p-benzamido-6-phenylpyrimidol (VIII).HCl, m. 297-9° (MeOH). NaNH2 (0.15 mole) in 350 ml. liquid NH3 with 11.9 g. III treated 20 min. with 10.2 g. EtCO2Me in 50 ml. Et2O, the NH3 immediately replaced with Et2O, left 24 hrs. at room temperature, and the product separated gave 2.9 g. 1-p-N-benzamidophenylpentane-1,3-dione, needles, m. 170.5-2.0° (95% alc.). p-N-Acetamidoacetophenone (8.85 g.) added to 0.15 mole NaNH2 in NH3, followed by 13.6 g. II, and the NH3 replaced by Et2O and refluxed 24 hrs. gave 2.85 g. 1-p-N-acetamidophenyl-3-phenylpropane-1,3-dione, m. 162-4° (C6H6). Similarly, using EtCO2Me instead of II gave 13% 1-p-N-acetamidophenylpentane-1,3-dione, m. 135-6.5° (C6H6). Similarly, 8.65 g. IX treated in NaNH2 and NH3 with 17.3 g. II gave 3.30 g. 1-p-hydroxyphenyl-3-phenylpropane-1,3-dione, m. 154-6° (C6H6). Sodioacetophenone failed to undergo acylation with Me p-benzamidobenzoate or p-hydroxybenzoate in the presence of excess NaNH2 under the above conditions.

IT **112248-32-5**, Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]-
(preparation of)
RN 112248-32-5 CAPLUS
CN Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (6CI) (CA INDEX NAME)



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L5           37 S L4 SSS SAM
L6              SCREEN 1839
L7              SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L8              STRUCTURE UPLOADED
L9              QUE L8 AND L6 NOT L7
L10          14 S L9 SSS SAM
L11             SCREEN 1839
L12             SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
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L26           4 L24

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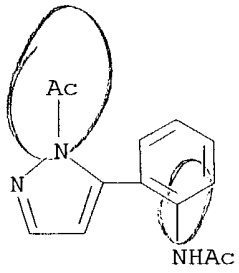
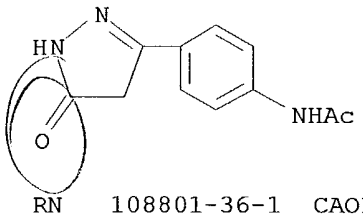
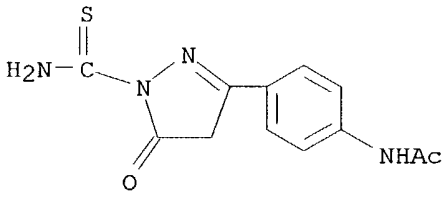
L26 ANSWER 1 OF 4 CAOLD COPYRIGHT 2004 ACS on STN
AN CA58:13950f CAOLD
TI heterocycles - (XII) synthesis of pyrazolo[1,5-c]quinazolines
AU De Stevens, George; Halamandaris, A.; Bernier, M.; Blatter, H. M.
IT **93003-25-9**
RN 93003-25-9 CAOLD
CN Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME)



L26 ANSWER 2 OF 4 CAOLD COPYRIGHT 2004 ACS on STN
AN CA53:10187i CAOLD
TI new antituberculosis agents - (XXXVII-XXXVIII) thiosemicarbazones of oxo acids (2) of aroyl fatty acids, (3) of aroylacetates and their derivs.
AU Belzecki, Czeslaw; Urbanski, T.
IT **99844-13-0 108801-36-1**
RN 99844-13-0 CAOLD
CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 108801-36-1 CAOLD
CN 2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5-oxothio- (6CI) (CA INDEX NAME)

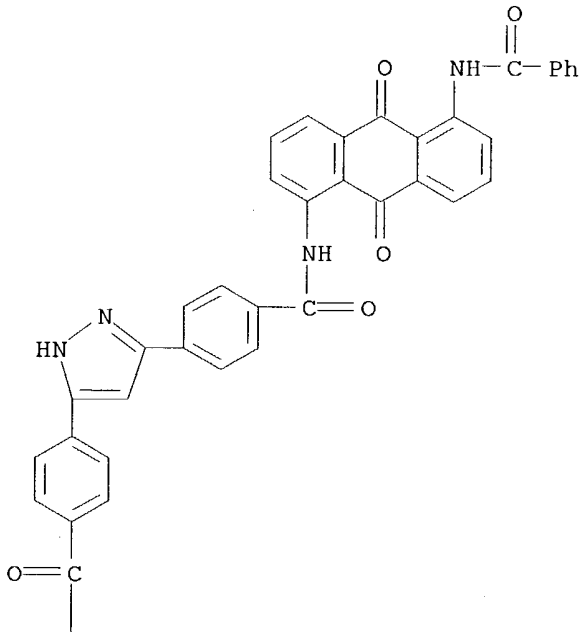


L26 ANSWER 3 OF 4 CAOLD COPYRIGHT 2004 ACS on STN
AN CA53:1747i CAOLD
TI vat dyes
AU Saftien, Karl; Anton, E.
DT Patent

PATENT NO.	KIND	DATE
DE 923028		

IT **120579-99-9 122316-74-9**
RN 120579-99-9 CAOLD
CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]bis[5-benzamido- (6CI) (CA INDEX NAME)

PAGE 1-A



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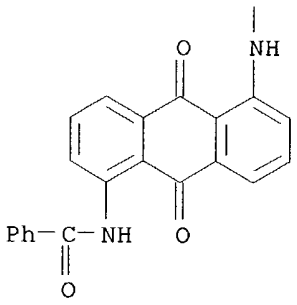
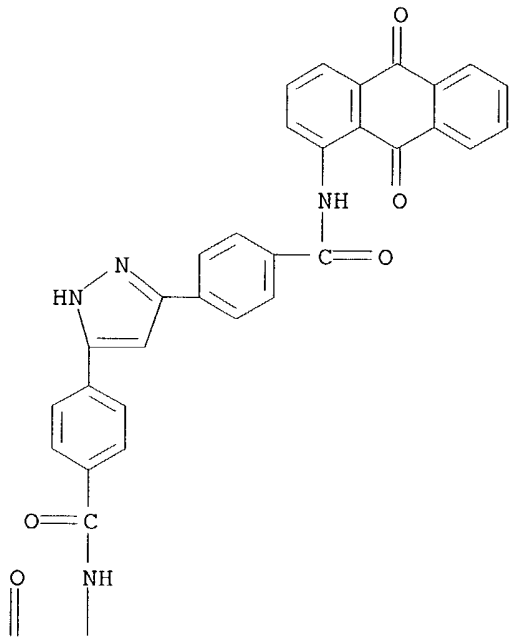


RN 122316-74-9 CAOLD

CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di- (6CI) (CA INDEX NAME)

PAGE 1-A



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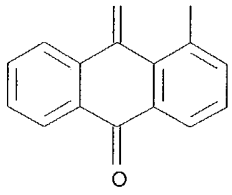
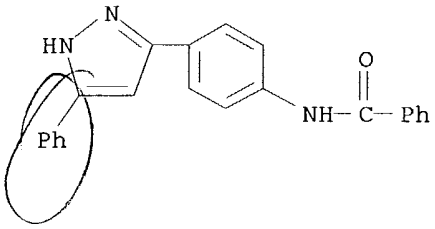


L26 ANSWER 4 OF 4 CAOLD COPYRIGHT 2004 ACS on STN
AN CA52:2866b CAOLD
TI N- and C-benzoylation of p-aminoacetophenone with Me benzoate by Na amide-synthesis of β-diketones having p-acylamino and p-hydroxy groups
AU Hauser, Charles R.; Eby, C. J.
IT **112248-32-5**
RN 112248-32-5 CAOLD
CN Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (6CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.34	830.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-60.98

STN INTERNATIONAL LOGOFF AT 07:29:06 ON 28 MAY 2004